

REP G1=(0-10) A VAR G2=12-7 13-9/12-9 13-7/15-7 16-9/15-9 16-7/17-7 18-9/17-9 18-7/19-7 2 1-9/22-7 24-9/25-7 27-9 NODE ATTRIBUTES: CONNECT IS E1 RC AT 10
CONNECT IS E2 RC AT 17
CONNECT IS E2 RC AT 18
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN HIC AT 10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

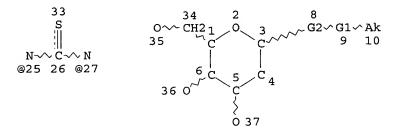
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE

L5 5868 SEA FILE=REGISTRY SSS FUL L4

L6 5868 SEA FILE=REGISTRY ABB=ON PLU=ON L3 OR L5

L7 STR



REP G1 = (0-5) A

VAR G2=12-3 13-9/12-9 13-3/15-3 16-9/15-9 16-3/17-3 18-9/17-9 18-3/19-3 2

1-9/22-3 24-9/25-3 27-9

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 10

CONNECT IS E2 RC AT 17

CONNECT IS E2 RC AT 18

DEFAULT MLEVEL IS ATOM

GGCAT IS LIN HIC AT 10

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

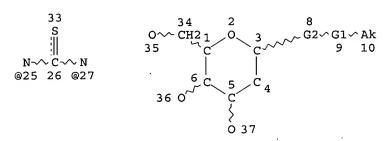
NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L8 1094 SEA FILE=REGISTRY SUB=L6 SSS FUL L7

L9 STR





REP G1 = (0-5) A

VAR G2=12-3 13-9/12-9 13-3/15-3 16-9/15-9 16-3/17-3 18-9/17-9 18-3/19-3 2

1-9/22-3 24-9/25-3 27-9

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 10

CONNECT IS E2 RC AT 17

CONNECT IS E2 RC AT 18

DEFAULT MLEVEL IS ATOM

IS LIN HIC AT 10 GGCAT

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

L101094 SEA FILE=REGISTRY SUB=L6 SSS FUL L9

1094 SEA FILE=REGISTRY ABB=ON PLU=ON L8 OR L10 L11

264 SEA FILE=HCAPLUS ABB=ON PLU=ON L11 L12

160420 SEA FILE=HCAPLUS ABB=ON PLU=ON DRUG DELIVERY SYSTEMS+OLD/CT L13

16 SEA FILE=HCAPLUS ABB=ON PLU=ON L12 AND L13 L14

=> d l14 ibib ab hitstr 1-16

L14 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

2003:796878 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 139:306530

TITLE: Flt3-ligand for enhancing immune response of vaccine

against cancer, allergy and infection

Mckenna, Hilary J.; Liebowitz, David N.; Maliszewski, INVENTOR(S):

Charles R.

PATENT ASSIGNEE(S): Immunex Corporation, USA PCT Int. Appl., 96 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ______

```
WO 2003083083
                          A2
                                20031009
                                            WO 2003-US9773
                                                                    20030326
    WO 2003083083
                          Α3
                                20040624
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
        W:
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
             NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
             GW, ML, MR, NE, SN, TD, TG
     US 2004022760
                          Α1
                                20040205
                                            US 2003-401364
                                                                    20030326
PRIORITY APPLN. INFO.:
                                            US 2002-368263P
                                                                P 20020326
                                            US 2002-427835P
                                                                P 20021119
```

AB The present invention relates to methods of using Flt3-ligand (Flt3-L) in immunization protocols to enhance immune responses against vaccine antigens. Embodiments include administering Flt3-ligand prior to immunizing a subject with a vaccine, wherein the vaccine comprises at least one antigen formulated in one or more adjuvants. Methods of treating and preventing cancer, allergy and infection using Flt3-ligand immunization protocols are also provided. Methods of using Flt3-ligand immunization protocols for in vivo evaluation of antigens and adjuvants are also provided.

IT 294664-93-0, BAY R1005

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (Flt3-ligand for enhancing immune response of vaccine against cancer, allergy and infection)

RN 294664-93-0 HCAPLUS

CN Dodecanamide, N-[2-[(2-amino-4-methyl-1-oxopentyl)amino]-2-deoxy-β-Dglucopyranosyl]-N-octadecyl-, (S)-, monoacetate (salt) (9CI) (CA INDEX
NAME)

CM 1

CRN 174083-44-4 CMF C42 H83 N3 O6

Absolute stereochemistry. Rotation (+).

CM 2

CRN 64-19-7 CMF C2 H4 O2

O || HO- C- CH3

L14 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:472330 HCAPLUS

DOCUMENT NUMBER:

139:51597

TITLE:

Inosine monophosphate adjuvant for bacterial and virus

vaccines

INVENTOR(S):

Hadden, John; Naylor, Paul H.; Signorelli, Kathy L.

PATENT ASSIGNEE(S):

Immuno-Rx, Inc., USA
PCT Int. Appl., 65 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 2003049670	A2 20030619	WO 2002-US23765	20020726
WO 2003049670	A3 20031106		
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BY, BZ,	CA, CH, CN,
CO, CR, CU,	CZ, DE, DK, DM,	DZ, EC, EE, ES, FI, GB,	GD, GE, GH,
GM, HR, HU,	ID, IL, IN, IS,	JP, KE, KG, KP, KR, KZ,	LC, LK, LR,
LS, LT, LU,	LV, MA, MD, MG,	MK, MN, MW, MX, MZ, NO,	NZ, OM, PH,
PL, PT, RO,	RU, SD, SE, SG,	SI, SK, SL, TJ, TM, TN,	TR, TT, TZ,
UA, UG, US,	UZ, VN, YU, ZA,	ZM, ZW, AM, AZ, BY, KG,	KZ, MD, RU,
TJ, TM			
RW: GH, GM, KE,	LS, MW, MZ, SD,	SL, SZ, TZ, UG, ZM, ZW,	AT, BE, BG,
CH, CY, CZ,	DE, DK, EE, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,
PT, SE, SK,	TR, BF, BJ, CF,	CG, CI, CM, GA, GN, GQ,	GW, ML, MR,
NE, SN, TD,	TG		

PRIORITY APPLN. INFO.:

US 2001-308139P P 20010727

AB The authors disclose the T-cell adjuvant activity of a protected inosine monophosphate (IMP) compound In one example, the protected compound is Me IMP. The protected IMP compds. can be used alone, or in combination with vaccine agents with or without addnl. adjuvants.

IT 294664-93-0, BAY R1005

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (in combination therapy with protected inosine monophosphate derivs.)

RN 294664-93-0 HCAPLUS

CN Dodecanamide, N-[2-[(2-amino-4-methyl-1-oxopentyl)amino]-2-deoxy-β-D-glucopyranosyl]-N-octadecyl-, (S)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174083-44-4

CMF C42 H83 N3 O6

Absolute stereochemistry. Rotation (+).

Me
$$(CH_2)_{17}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

CM 2

CRN 64-19-7 CMF C2 H4 O2

L14 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:487325 HCAPLUS

DOCUMENT NUMBER: 137:46459

TITLE: The use of a non-absorbable, non-digestible lipid for

the treatment of hyperbilirubinemia

INVENTOR(S):
Kotal, Petr; Vitek, Libor

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	FENT	NO.			KIN	D :	DATE			APPL	ICAT	ION :	NO.		D	ATE	
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WO	2002	0494	57		A1		2002	0627	,	WO 2	001-	US50	449		2	0011	220
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		FI,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,
		KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,
		MX,	MZ,	NO,	NZ,	PH,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SK,	SL,
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		KG,	KZ,	MD,	RU												
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	ΒĒ,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
ΕP	1216	625			A1		2002	0626		EP 2	000-	8703	09		2	0001	221
	R:	AT.	BE.	CH.	DE.	DK.	ES.	FR.	GB.	GR.	IT.	LT.	LU.	NT.	SE.	MC.	PT.

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

AU 2002031288 A5 20020701 AU 2002-31288 20011220 PRIORITY APPLN. INFO.: EP 2000-870309 A 20001221 WO 2001-US50449 W 20011220

AB Described is the use of a non-absorbable, non-digestible lipid for the manufacture of a pharmaceutical or dietary composition for the treatment of hyperbilirubinemia, specifically unconjugated hyperbilirubinemia such as neonatal jaundice, Crigler-Najjar syndrome and Gilbert syndrome.

IT 131300-90-8

RL: FFD (Food or feed use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of a non-absorbable, non-digestible lipid for the treatment of hyperbilirubinemia)

RN 131300-90-8 HCAPLUS

CN D-Galactopyranose, penta-(9Z)-9-octadecenoate (9CI) (CA INDEX NAME)

PAGE 1-A

$$\begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \begin{array}{c} \\ \\ \\ \\ \end{array} \end{array} \\ \text{Me-} \ (\text{CH}_2) \ 7-\text{CH} \end{array} = \text{CH-} \ (\text{CH}_2) \ 7-\text{C-}O \\ \\ \text{Me-} \ (\text{CH}_2) \ 7-\text{CH} \end{array} = \text{CH-} \ (\text{CH}_2) \ 7-\text{C-}O \\ \\ \text{Me-} \ (\text{CH}_2) \ 7-\text{CH} \end{array} = \text{CH-} \ (\text{CH}_2) \ 7-\text{C-}O \\ \\ \text{Me-} \ (\text{CH}_2) \ 7-\text{CH} \end{array} = \text{CH-} \ (\text{CH}_2) \ 7-\text{C-}O \\ \\ \begin{array}{c} \\ \end{array} \end{array}$$

PAGE 1-B

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= CH- (CH $_2$) $_7-$ Me

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:265933 HCAPLUS

DOCUMENT NUMBER:

130:308803

TITLE:

Molecular compounds having complementary surfaces to targets and methods for the synthesis and use thereof Soane, David S.; Barry, Stephen E.; Goodwin, Andrew;

INVENTOR(S):

Offord, David A.; Perrot, Michael G.

PATENT ASSIGNEE(S): Alnis, LLC, USA

SOURCE:

PCT Int. Appl., 127 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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KIND DATE APPLICATION NO.
    PATENT NO.
                                                               DATE
                                         _____
                      ____
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    _____
    WO 9919276 A2 19990422 WO 1998-US21804 19981014
WO 9919276 A3 19990819
                       A3
                              19990819
    WO 9919276
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
            KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
            MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
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            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    AU 9913610
                       A1 19990503 AU 1999-13610 19981014
A2 20000809 EP 1998-957326 19981014
    EP 1025066
        R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI
                                          US 1997-61805P P 19971014
PRIORITY APPLN. INFO.:
                                          US 1998-172921 A 19981014
WO 1998-US21804 W 19981014
```

AB Synthetic polymer complements (SPCs) are provided, as well as methods for their synthesis and use. The SPCs may have surfaces that include functional groups that are complementary to surface sites of targets such as nanostructures or macromol. targets, and may be capable of specifically interacting with such targets. The positions of the functional groups in one embodiment are stabilized by a polymer network. The SPCs are formed by contacting the target with a set of monomers which self-assemble on the target, and then are polymerized into a network to form the synthetic polymer complement. At least a portion of the surface of the resulting SPC thus may include an imprint of the target. The complex of the SPC and the target may be the desired product. Alternatively, the target is released, for example, by controllably expanding and contracting the cross-linked network. The SPC is isolated and used in many applications. SPCs were made for α -chymotrypsin, which stabilized the enzyme, and for esculin. The polymerized microspheres for esculin could be dialyzed to remove the bound esculin; addition of fresh esculin resulted in 25-50% of the esculin sites rebinding.

IT 223586-40-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of; mol. compds. having complementary surfaces to targets and methods for their synthesis and use)

RN 223586-40-1 HCAPLUS

CN α -D-Glucopyranose, 1-(9Z)-9-octadecenoate 6-(2-propenoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me
$$(CH_2)_{7}$$
 Z $(CH_2)_{7}$ Z $($

L14 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:721602 HCAPLUS

DOCUMENT NUMBER:

129:342686

TITLE:

Anti-Helicobacter vaccine composition comprising a Th1

adjuvant

INVENTOR(S):

Guy, Bruno; Haensler, Jean

PATENT ASSIGNEE(S):

Merieux Oravax, Fr.

SOURCE:

PCT Int. Appl., 60 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT				KINI		DATE		1	APPL	ICAT	ION	NO.		D	ATE		
WC	9848						1998	1105	1	WO 1:	998-:	FR87:	5		1.	9980	430	
	₩:	ΑL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	ΡL,	PT,	
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		AM,	AZ,	BY,	KG,	ΚŻ,	MD,	RU,	ΤJ,	TM								
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,	
		FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
		CM,	GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG								
FF	2762	787			A1		1998	1106		FR 1:	997-	5608			1	9970	430	
FF	2762	787			B1		2000	1006										
AU	9876	584			A1		1998	1124		AU 1	998-	7658	4		1	9980	430	
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EF	9791	.00			A1		2000	0216		EP 1	998-	9243	60		1	9980	430	
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BF	9809	381			A		2000	0704		BR 1	998-	9381			1	9980	430	
JI	2002	5056	65		T2		2002	0219		JP 1	998-	5466	84		1	9980	430	
PRIORIT	Y APP	LN.	INFO	.:						FR 1	997-	5608			A 1	9970	430	
										FR 1	997-	1573	2		A 1	9971	208	
									. 1	WO 1	998-	FR87	5	1	W 1	9980	430	
OMITTED C	OTTO OT	1/01			MAD	ח א תר	120.	2426	0.0									_

OTHER SOURCE(S): MARPAT 129:342686

AB The invention concerns the use of an immunogenic agent derived from Helicobacter, associated with an adjuvant such as QS-21, DC-chol or Bay R1005, for making a pharmaceutical composition designed to induce an immune response of the T helper 1 type (Th1), for preventing or treating Helicobacter infection in a mammal.

IT 294664-93-0, Bay R1005

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(anti-Helicobacter vaccine composition with Th1 adjuvant)

RN 294664-93-0 HCAPLUS

CN Dodecanamide, N-[2-[(2-amino-4-methyl-1-oxopentyl)amino]-2-deoxy-β-Dglucopyranosyl]-N-octadecyl-, (S)-, monoacetate (salt) (9CI) (CA INDEX
NAME)

CM 1

CRN 174083-44-4 CMF C42 H83 N3 O6

Absolute stereochemistry. Rotation (+).

Me
$$(CH_2)_{17}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

CM 2

CRN 64-19-7 CMF C2 H4 O2

REFERENCE COUNT:

7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1998:719294 HCAPLUS

DOCUMENT NUMBER:

129:342685

TITLE:

Anti-Helicobacter vaccine for use by the subdiaphragmatic systemic route and combined

mucosal/parenteral immunization

INVENTOR(S):

Guy, Bruno; Haensler, Jean; Lee, Cynthia K.; Weltzin,

Richard A.; Monath, Thomas P.

PATENT ASSIGNEE(S):

Merieux Oravax, Fr. PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

								DATE APPLICATION NO											
								1998									99804	430	
								BA,											
								GE,											
								LR,											
								RU,											
								YU,											
								SD,											
		1000	FI.	FR.	GB.	GR.	ΙE	IT,	LU.	MC.	NL,	PT.	SE,	BF,	ВJ,	CF,	CG,	CI,	
								, NE,					•	•	•				
	FR	2762	788	0,	02 .,	A1		1998	1106	,	FR 1	997-	5609			1	9970	430	
	FR	2762	788			B1		2000	1006										
		9872						1998	1124		AU 1	998-	7276	8		1	9980	430	
	ΑIJ	7514	33			B2		2002	0815										
	BR	9809	426			Α		2000	0613		BR 1	998-	9426			1	9980	430	
		1017						2000											
								, ES,											FI
	JР							2002											
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		9905						1999	1229		NO 1	999-	5290			1	9991	029	
	US	6585	975			В1		2003	0701		US 1	999-	4317	05		1	9991	101	
PRIO		Y APP									FR 1	997-	5609			A 1	9970	430	
											FR 1	997-	1573	1		A 1	9971	208	
											WO 1	998-	US88	90	1	W 1	9980	430	
				_											·		1-		

- The subject of the invention is the use of an immunogenic agent (e.g., urease) derived from Helicobacter, in the manufacture of a pharmaceutical composition intended for the induction of a T helper 1 (Th1) type immune response against Helicobacter in order to prevent or treat a Helicobacter infection. This may be achieved when the pharmaceutical composition is administered by the systemic or parenteral route to the dorsolumbar region of the diaphragm. Also included in the invention is a mucosal/parenteral immunization method for the prevention or treatment of Helicobacter infection.
- IT **294664-93-0**, Bay R1005
 - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (as adjuvant in subdiaphragmatic systemic and mucosal/parenteral immunization against Helicobacter infection)
- RN 294664-93-0 HCAPLUS
- CN Dodecanamide, N-[2-[(2-amino-4-methyl-1-oxopentyl)amino]-2-deoxy-β-Dglucopyranosyl]-N-octadecyl-, (S)-, monoacetate (salt) (9CI) (CA INDEX
 NAME)

CM 1

CRN 174083-44-4 CMF C42 H83 N3 O6

Absolute stereochemistry. Rotation (+).

Me
$$(CH_2)_{17}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

CM 2

CRN 64-19-7 CMF C2 H4 O2

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:479572 HCAPLUS

DOCUMENT NUMBER: 129:100060

TITLE: Biodegradable targetable microparticle delivery system

INVENTOR(S): Sokoll, Kenneth K.; Chong, Pele; Klein, Michel H.

PATENT ASSIGNEE(S): Connaught Laboratories Ltd., Can.

SOURCE: PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

P	TENT	NO.			KIN	D	DATE		1	APPL	ICAT	ION 1	NO.		D	ATE	
						-									-		
WC	9828	357			A1		1998	0702	1	WO 1	997-	CA98	0		1	9971	219
	W:	АL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
		DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,
		UΖ,	VN,	ΥU,	ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM			
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FI,
		FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,
		GΑ,	GN,	ML,	MR,	ΝE,	SN,	TD,	TG								
US	6042	820			Α		2000	0328	1	US 1	996-	7708	50		1:	9961	220
CZ	2275	033			AA		1998	0702	(CA 1:	997-	2275	033		1:	9971	219
ΑU	9854	721			A1		1998	0717	1	AU 1	998-	5472	1		1:	9971	219
ΑU	7293	05			B2		2001	0201									

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EP 1997-951024
                                                              19971219
    EP 946624
                       A1
                             19991006
    EP 946624
                       B1
                             20030402
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           IE, FI
    JP 2000509428
                       T2
                             20000725
                                         JP 1998-528169
                                                              19971219
    JP 3242118
                       B2
                             20011225
                                        BR 1997-14065
                                                              19971219
    BR 9714065
                      Α
                             20001024
                                                              19971219
                             20010126
                                        NZ 1997-336718
    NZ 336718
                      Α
                      A2
                                                              19971219
                             20020514
                                         JP 2001-255329
    JP 2002138139
                     · B2
                             20030722
    JP 3428972
                                                              19971219
    AT 236207
                      E
                             20030415
                                        AT 1997-951024
    PT 946624
                      Т
                             20030829
                                        PT 1997-951024
                                                              19971219
                     A2
T3
                                        JP 2003-65795
                             20030919
                                                              19971219
    JP 2003261661
                                        ES 1997-951024
                             20031216
                                                              19971219
    ES 2196385
                      B1 20030923
                                        US 1999-331118
                                                              19990831
    US 6623764
                      B1
                             20010508
                                        US 2000-501373
                                                              20000211
    US 6228423
                                        US 2000-502674
                                                              20000211
    US 6287604
                      B1
                             20010911
                             20011106
                      В1
                                        US 2000-499533
                                                              20000211
    US 6312732
                             20021029
                                         US 2000-499532
                                                              20000211
    US 6471996
                       В1
                                                          A2 19961220
                                         US 1996-770850
PRIORITY APPLN. INFO.:
                                         JP 1998-528169
                                                          A3 19971219
                                         JP 2001-255329
                                                           A3 19971219
                                         WO 1997-CA980
                                                           W 19971219
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Copolymers designed for use as particulate carriers containing AB functionalizable amino acid subunits for coupling with targeting ligands are described. The copolymers are polyesters composed of α -hydroxy acid subunits such as D,L-lactide and pseudo- α -amino acid subunits which may be derived from serine or terpolymers of D,L-lactide and qlycolide and pseudo- α -amino acid subunits which may be derived from serine. Stable vaccine prepns. useful as delayed release formulations containing antigen or antigens and adjuvants encapsulated within or phys. mixed with polymeric microparticles are described. The particulate carriers are useful for delivering agents to the immune system of a subject by mucosal or parenteral routes to produce immune responses, including antibody and protective responses. A glycolide-lactide-pseudo-Zserine ester and its deprotected analog were prepared and microparticles were prepared from these copolymers. The copolymer microparticles were used to encapsulate immune adjuvants or proteins.

IT 294664-93-0, BAY-R 1005

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (biodegradable targetable microparticle delivery system)

RN 294664-93-0 HCAPLUS

CN Dodecanamide, N-[2-[(2-amino-4-methyl-1-oxopentyl)amino]-2-deoxy-β-D-glucopyranosyl]-N-octadecyl-, (S)-, monoacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 174083-44-4 CMF C42 H83 N3 O6

Absolute stereochemistry. Rotation (+).

$$NH_2$$
 NH_2
 NH_2

CM 2

CRN 64-19-7 CMF C2 H4 O2

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:433714 HCAPLUS

DOCUMENT NUMBER: 127:55917

TITLE: Sugar derivatives as antimicrobial agents

INVENTOR(S): Schneider, Guenther; Schreiber, Joerg; Teichmann,

Stefan; Buenger, Joachim; Wolf, Florian

PATENT ASSIGNEE(S): Beiersdorf A.-G., Germany

SOURCE: Ger. Offen., 16 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
DE 19547160	A1 19970619	DE 1995-19547160	19951216
WO 9722346	A2 19970626	WO 1996-EP5400	19961204
WO 9722346	A3 19970828		
W: JP, US			
RW: AT, BE, CH,	DE, DK, ES, FI,	FR, GB, GR, IE, IT, LU,	MC, NL, PT, SE
EP 869797	A2 19981014	EP 1996-942332	19961204
R: AT, BE, CH,	DE, ES, FR, GB,	IT, LI, NL, SE	
JP 2000506499	T2 20000530	JP 1997-522461	19961204
US 2002165168	A1 20021107	US 1999-91602	19990419
PRIORITY APPLN. INFO.:		DE 1995-19547160	A 19951216
		WO 1996-EP5400	W 19961204
OTHER SOURCE(S):	MARPAT 127:5591	7	

Alkylated and/or acylated mono- and/or oligosaccharides are useful in AB cosmetic and dermatol. prepns. as antibacterial, antimycotic, and antiviral agents, especially in deodorant prepns. and for treatment of dermatomycoses, dandruff, and dermal superinfections with microbial pathogens. Thus, a facial mask contained PEG-50 lanolin 0.50, glyceryl stearate 2.00, sunflower seed oil 3.00, bentonite 8.00, kaolin 35.00, ZnO 5.00, glucose caprylate 2.00, perfume, preservative, and water to 100.0 weight 8.

TT 191039-78-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sugar derivs. as antimicrobial agents)

191039-78-8 HCAPLUS RN

D-Glucopyranose, 1-nonanoate (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

L14 ANSWER 9 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1995:528915 HCAPLUS

DOCUMENT NUMBER:

122:322510

TITLE:

Freeze-dried phospholipid vesicles

INVENTOR(S):

Saito, Akihisa; Suzuki, Takanao; Yoshimura, Atsushi;

Takisada, Mikimasa; Takeoka, Shinji; Sakai, Hiromizu;

Tsuchida, Hidetoshi

PATENT ASSIGNEE(S):

Chiba Seifun Kk, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07041420	A2	19950210	JP 1993-206970	19930730
JP 3102612	B2	20001023		
PRIORITY APPLN. INFO.:			JP 1993-206970	19930730

Freeze-dried vesicles comprise phospholipid vesicles, obtained by AB introduction of glycolipids to the vesicles and freeze-drying the dispersion. The vesicles are stable and useful for drug delivery systems, sustained-release prepns., Hb prepns., etc. MeOH solution containing 8.8 mg hexadecylmaltopentaonamide (I) was mixed with CHCl3 solution containing 100 mg dipalmitoylglycerophosphatidylcholine, the solution was evaporated, the residue was stirred with glass beads and carboxyfluorescein (II) solution to give a dispersion containing II-containing vesicles, which were subjected to extrusion and gel filtration for removal of free II. The vesicle dispersion was freeze-dried to show 4.8% release of II, vs. 58.9%, for control formulated without I.

IT 163392-67-4

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (stable freeze-dried phospholipid vesicles containing glycolipids)

RN 163392-67-4 HCAPLUS

CN Dodecanamide, N-(O- α -D-glucopyranosyl-(1 \rightarrow 4)-O- α -D-glucopyranosyl-(1 \rightarrow 4)-O- α -D-glucopyranosyl-(1 \rightarrow 4)-O- α -D-glucopyranosyl-(1 \rightarrow 4)-D-glucopyranosyl)-N-octadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:528656 HCAPLUS

DOCUMENT NUMBER: 122:282265

TITLE: Use of oligosaccharides for the prevention and

treatment of connective tissue ageing

INVENTOR(S): Robert, Ladislas; Robert, Alexandre; Moczar, Elemer

PATENT ASSIGNEE(S): Fr.

SOURCE: PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	INT	NO.			KIN	D	DATE		i	APPL	ICAT	ION :	NO.		D	ATE	
						-									-		
WO 9	505	155			A1		1995	0223	1	WO 1	994-	FR10	80		1	9940	816
	W:	AT,	AU,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	ES,	FI,	GB,	HU,
		JP,	KP,	KR,	KZ,	LK,	LU,	LV,	MG,	MN,	MW,	NL,	NO,	NZ,	PL,	PT,	RO,
		RU.	SD.	SE.	SK.	UA.	US.	UZ.	VN								

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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                              FR 1993-10054
     FR 2709061
                                 19950224
                                                                       19930817
                           A1
     FR 2709061
                                  19960719
                           B1
     CA 2169621
                                              CA 1994-2169621
                                                                       19940816
                           AA
                                  19950223
                                              AU 1994-75393
                                                                       19940816
     AU 9475393
                           A1
                                  19950314 ·
     AU 699585
                           B2
                                  19981210
     EP 714284
                           A1
                                 19960605
                                              EP 1994-925512
                                                                       19940816
     EP 714284
                           B1
                                  20010321
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     CN 1131389
                           Α
                                  19960918
                                              CN 1994-193455
                                                                       19940816
                                              BR 1994-7305
     BR 9407305
                           Α
                                  19961008
                                                                       19940816
     JP 09501672
                           T2
                                  19970218
                                              JP 1994-506788
                                                                       19940816
                                              HU 1996-347
     HU 75337
                           A2
                                  19970528
                                                                       19940816
     PL 179432
                           В1
                                  20000929
                                              PL 1994-313036
                                                                       19940816
     AT 199827
                           Ė
                                  20010415
                                              AT 1994-925512
                                                                       19940816
                           T3
                                  20010516
                                              ES 1994-925512
                                                                       19940816
     ES 2155478
     PT 714284
                           \mathbf{T}
                                  20010830
                                              PT 1994-925512
                                                                       19940816
     CZ 292029
                           B6
                                  20030716
                                              CZ 1996-451
                                                                       19940816
                                              FI 1996-585
     FI 9600585
                           Α
                                  19960415
                                                                       19960208
     US 5910490
                           Α
                                  19990608
                                              US 1996-592317
                                                                       19960216
     GR 3035907
                           T3
                                  20010831
                                              GR 2001-400761
                                                                       20010522
PRIORITY APPLN. INFO.:
                                              FR 1993-10054
                                                                       19930817
                                              WO 1994-FR1008
                                                                    W
                                                                       19940816
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AB A composition for the treatment or prevention of the symptoms of connective tissue ageing contains ≥1 oligosaccharide(s) with 2-5 oligosaccharide residues, or a derivative thereof containing a hydrophobic residue, provided that 1 galactose residue be present in a non-reducing terminal position of the oligosaccharide(s). The oligosaccharide may be lactose, melibiose, etc. Preparation of oleoyl lactosylamine and of dimelibionityl diaminohexane is included.

IT 162872-44-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oligosaccharides for prevention and treatment of connective tissue ageing)

RN 162872-44-8 HCAPLUS

CN 9-Octadecenamide, N-(4-O-β-D-galactopyranosyl-D-glucopyranosyl)-,
(Z)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Me
$$(CH_2)_7$$
 Z $(CH_2)_7$ N OH OH OH OH OH OH

IT 162821-51-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(oligosaccharides for prevention and treatment of connective tissue

ageing)

RN 162821-51-4 HCAPLUS

CN Tetradecanamide, N- $(4-O-\beta-D-galactopyranosyl-D-glucopyranosyl)-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{12}$$
 $(CH_2)_{12}$ $(CH_2)_{12}$

L14 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:517473 HCAPLUS

DOCUMENT NUMBER: 121:117473

TITLE: Selective uptake of liposomes containing lactose

mono-fatty acid derivatives by hepatic parenchymal

cells

AUTHOR(S): Yamauchi, H.; Kikuchi, H.; Sawada, M.; Tomikawa, M.;

Hirota, S.

CORPORATE SOURCE: Tokyo R and D Cent., Daiichi Pharm. Co., Ltd., Tokyo,

134, Japan

SOURCE: Journal of Microencapsulation (1994), 11(3), 287-96

CODEN: JOMIEF; ISSN: 0265-2048

DOCUMENT TYPE: Journal LANGUAGE: English

The hepatic uptake of liposomes containing a novel synthetic glycolipid, AB lactose mono-arachidic acid amide (LAA), was studied. Liposomes containing LAA were aggregated by Ricinus communis agglutinin from castor bean, while the control liposomes were not, and the results suggested that the galactose residues of LAA were exposed to the outer surface of the liposomes. Next, the blood clearance and hepatic uptake of liposomes containing LAA after i.v. administration were compared with those of the control liposomes in rat. Hepatic uptake of liposomes containing LAA was greater than that of the control liposomes, rising significantly with dose. As a result of separation of the parenchymal and non-parenchymal cells, it was shown that the increase in hepatic uptake was mostly accounted for by a greater uptake by parenchymal cells. The inhibitory activity of asialofetuin on the hepatic uptake of liposomes containing LAA suggested that a galactose-specific recognition is involved in this uptake. These results demonstrate that the lactose mono-fatty acid amides (LFAs) are promising novel compds. for the introduction of carbohydrate residues onto the liposomal surface and that liposomes containing LFAs are potential carriers for the selective delivery of drugs to specific cells.

IT 156874-79-2

RL: BIOL (Biological study)

(liposomes containing, hepatocyte uptake of)

RN 156874-79-2 HCAPLUS

CN Carbamic acid, $(4-O-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)-$, nonadecyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$18$$
 OH OH OH OH OH OH OH

L14 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:84177 HCAPLUS

DOCUMENT NUMBER: 112:84177

TITLE: Manufacture of liposomes from mannobiose derivatives INVENTOR(S): Tomikawa, Munehiro; Hirota, Sadao; Kikuchi, Hiroshi

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01027637	A2	19890130	JP 1988-80983	19880401
PRIORITY APPLN. INFO.:			JP 1987-80997	19870403
OTHER SOURCE(S):	MARPAT	112:84177		1.

OTHER SOURCE(S):

MARPAT 112:84177

AB A lipid membrane useful in manufacturing liposomes having a specific affinity for macrophage cells in clin. treatment, contains mannobiose mono-fatty acid esters and(or) aminodeoxy mannobiose mono-fatty acid amides. Thus, a liposome suspension was prepared containing 0.5 µmol lipids/mL; the liposome was manufactured from egg yolk phosphatidylcholine, cholesterol, dicetyl phosphate, and mannobiose monoarachidonate. A number of mannobiose fatty acid esters and amides were synthesized.

IT 120575-77-1P 120575-78-2P 120575-79-3P 120575-80-6P 120575-83-9P 120575-84-0P

122170-39-2P 125280-22-0P 125280-23-1P

125355-31-9P

RL: PREP (Preparation)

(preparation of, for pharmaceutical liposome preparation)

RN 120575-77-1 HCAPLUS

CN Eicosanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-β-D-mannopyranosyl)-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

RN 120575-78-2 HCAPLUS

CN Dodecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-mannopyranosyl)-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120575-79-3 HCAPLUS

CN Tetradecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-mannopyranosyl)-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120575-80-6 HCAPLUS

CN Tetradecanamide, N- $(4-O-\beta-D-mannopyranosyl-D-mannopyranosyl)$ - (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{12}$$
 $(CH_2)_{12}$ $(CH_2)_{12}$

RN 120575-83-9 HCAPLUS

CN Octadecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-mannopyranosyl)-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120575-84-0 HCAPLUS

CN Octadecanamide, N-(4-0- β -D-mannopyranosyl-D-mannopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{16}$$
 $(CH_2)_{16}$ $(CH_2)_{16}$

RN 122170-39-2 HCAPLUS

CN Dodecanamide, N-(4-O- β -D-mannopyranosyl-D-mannopyranosyl)- (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

RN 125280-22-0 HCAPLUS

CN Eicosanamide, N- $(4-O-\beta-D-mannopyranosyl-D-mannopyranosyl)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{18}$$
 $(CH_2)_{18}$ $(CH_2)_{18}$

RN 125280-23-1 HCAPLUS

CN 9-Octadecenamide, N-(4-O- α -D-mannopyranosyl-D-mannopyranosyl)-, (Z)-(9CI) (CA INDEX NAME)

RN 125355-31-9 HCAPLUS

CN 9-Octadecenamide, N-[2,4,6-tri-O-benzoyl-3-O-(2,3,4,6-tetra-O-benzoyl- α -D-mannopyranosyl)-D-mannopyranosyl]-, (Z)- (9CI) (CA INDEX NAME)

L14 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:237159 HCAPLUS

DOCUMENT NUMBER: 110:237159

TITLE: Transdermal dosage forms containing D-(thio)glucosides

INVENTOR(S): Muranishi, Shozo; Azuma, Masato; Iwakawa, Masaharu

PATENT ASSIGNEE(S): Sekisui Chemical Co. Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63218631	A2	19880912	JP 1987-52450	19870306
JP 06017316	B4	19940309		
PRIORITY APPLN. INFO.:			JP 1987-52450	19870306

OTHER SOURCE(S): MARPAT 110:237159

AB Transdermal formulations containing title compds. I and/or II [X = O,S; R1,R2 = C4-20 (un)saturated hydrocarbyl which may contain polyoxyalkylene] are discussed. A transdermal tape was formulated containing lauryl-β-D-glucopyranoside 5, indomethacin 8, and 2-ethylhexyl acrylate-Bu acrylate-vinylpyrrolidone copolymer 100 weight parts.

IT 39848-72-1 64344-04-3 64395-91-1

64395-92-2

RL: BIOL (Biological study)

(percutaneous absorption accelerator)

RN 39848-72-1 HCAPLUS

CN β-D-Glucopyranose, 1-octadecanoate (9CI) (CA INDEX NAME)

RN 64344-04-3 HCAPLUS

CN α -D-Glucopyranose, 1-octadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64395-91-1 HCAPLUS

CN α -D-Glucopyranose, 1-dodecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64395-92-2 HCAPLUS

CN β-D-Glucopyranose, 1-dodecanoate (9CI) (CA INDEX NAME)

L14 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:479599 HCAPLUS

DOCUMENT NUMBER: 109:79599

TITLE: Application of synthetic alkyl glycoside vesicles as

drug carriers. III: Plasma components affecting

stability of the vesicles

AUTHOR(S): Kiwada, Hiroshi; Nakajima, Iwao; Matsuura, Hiroshi;

Tsuji, Mitsuko; Kato, Yuriko

CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, 770,

Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(5),

1841-6

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

AB Long-chain alkyl glycosides form liposome-like vesicles. However, they are unstable in plasma and thus are unsuitable as drug carriers. The mechanisms causing the instability of palmitoyl glucoside vesicles (Glu-liposomes) in plasma were investigated. They rapidly released .apprx.70% of their aqueous content at the start of incubation with fresh rat plasma at 37°. On the other hand, phosphatidylcholine liposomes (PC-liposomes) released .apprx.30% of their content, though the release pattern was very similar. Two components were suspected to be involved in destabilizing the Glu-liposomes in plasma from a plasma dilution experiment,

their effects seemed to depend on the type or size of the vesicles. The activity disappeared on pre-heating of the plasma at 56° for 30 min in the case of PC-liposomes, but not Glu-liposomes, and .apprx.35% of the contents of the latter was still released on incubation even with pre-heated plasma. This result indicates that the activity destabilizing glycoside vesicles in plasma was composed of 2 factors, one heat-stable and the other heat-labile. The heat-stable one was consumed by incubation with empty glycoside vesicles, regardless of the sugar moiety or size of vesicles, but not by PC-liposomes. Therefore, the heat-stable factor seemed to be specific to vesicles covered with sugar moieties. By fractionation of plasma protein by the salting-out technique, the activity was found in the albumin fraction.

IT 39848-71-0, Palmitoyl glucoside

RL: BIOL (Biological study)

(multilamellar liposomes containing, preparation and blood plasma stability

of,

and

as drug carrier)

RN 39848-71-0 HCAPLUS

CN β -D-Glucopyranose, 1-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:226830 HCAPLUS

DOCUMENT NUMBER: 108:226830

TITLE: Liposome membrane containing lactosylamines having

affinity for hepatic cells

INVENTOR(S): Hirota, Sadao; Kikuchi, Hiroshi PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62201814	A2	19870905	JP 1986-259449	19861030
JP 07064722	B4	19950712		

PRIORITY APPLN. INFO.:

JP 1985-244744 19851031

AB Liposomes are prepared containing aminodeoxylactose mono-fatty acid amides having a specific affinity for hepatic cells. In a pilot study L- α -dimyristoylphosphatidylcholine 68.6, cholesterol 68.6, dicetyl phosphate 6.8, and N-arachidyl- β -lactosylamine (I) 16 μ mol were dissolved in a mixture of CHCl3-MeOH (2:1), added to a test tube, and then the solvent was removed in a N atmospheric. To this was added 6 mL of a

the solvent was removed in a N atmospheric To this was added 6 mL of a phosphate buffer-saline solution containing 240 μ Ci of 3H-inulin. The mixture was

with ultrasound waves to give a liposome suspension. It was then heated to 40-45°, and filtered through a polycarbonate filter with 0.2 µM pore diameter The filtrate was centrifuged at 150,000 + g for 1 h twice, and the supernatant discarded. The precipitation was suspended in a phosphate-saline to give 62 mL of liposome suspension. This suspension contained 0.64 µCi inulin in liposome/0.5 mL.

IT 103807-21-2P 103838-64-8P

RL: PREP (Preparation)

(preparation of, for liposome membrane)

RN 103807-21-2 HCAPLUS

CN Eicosanamide, N- $(4-0-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)$ -(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{18}$$
 $(CH_2)_{18}$ $(CH_2)_{18}$

RN 103838-64-8 HCAPLUS

CN Eicosanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L14 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:150924 HCAPLUS

DOCUMENT NUMBER: 108:150924

TITLE: Preparation of higher aliphatic acid derivatives of

lactosylamine useful in drug delivery systems such as

liposomes

INVENTOR(S): Miyaji, Hidenori; Kitaguni, Hidesaburo; Hirota, Sadao;

Kikuchi, Hiroshi

PATENT ASSIGNEE(S): Meito Sangyo Co., Ltd., Japan; Daiichi Seiyaku Co.,

Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
лр 62209092	 A2	19870914	JP 1986-257713	19861029
JP 06099462	B4	19941207	01 1300 10771	
PRIORITY APPLN. INFO.:			JP 1985-244846	19851031
AB The title lactose	derivs.	(I; R = H,	acyl; COR1 = C12-30	aliphatic acid

AB The title lactose derivs. (I; R = H, acyl; COR1 = C12-30 aliphatic acid residue), useful in organ-targeting drug-delivery systems, e.g., liposomes

targeting the liver, were prepared A solution of arachidic acid in benzene and 1(-ethoxycarbonyl)-2-ethoxy-1,2-dihydroquinoline were successively added to a solution of 2,2',3,3',4',6,6'-hepta-O-acetyl- β -lactosylamine in EtOH and the mixture was stirred for 48 h at room temperature to give β -I (R = Ac, COR1 = eicosanoyl) which was deacetylated with MeONa/MeOH to give β -I (R = H, COR1 = eicosanoyl) (II). When a suspension of 3H-inulin and liposomes consisting of II 16, L- α -dimyristoylphosphatidylcholin e 68.8, cholesterol 68.8, and dicetyl phosphate 6.8 μ mol was administered to rats i.v., it showed much higher distribution to the liver (40.2%) than to serum (13.3%), demonstrating the high affinity of the liposome to hepatocytes.

IT 103807-21-2P 103838-64-8P 113715-11-0P 113715-12-1P 113715-13-2P 113715-14-3P 113715-15-4P 113715-16-5P 113715-17-6P 113715-18-7P 113715-19-8P 113731-52-5P RL: SPN (Synthetic preparation); PREP (P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for hepatocytes-targeted liposomes)

RN 103807-21-2 HCAPLUS

CN Eicosanamide, N-(4-0- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{18}$$
 $(CH_2)_{18}$ $(CH_2)_{18}$

RN 103838-64-8 HCAPLUS

CN Eicosanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-11-0 HCAPLUS

CN Dodecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-12-1 HCAPLUS

CN Dodecanamide, N-(4-O- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

RN 113715-13-2 HCAPLUS

CN Tetradecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-14-3 HCAPLUS

CN Tetradecanamide, N-(4-O- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-15-4 HCAPLUS

CN Hexadecanamide, N-(4-O- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{14}$$
 $(CH_2)_{14}$ $(CH_2)_{14}$

RN 113715-16-5 HCAPLUS

CN Octadecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-17-6 HCAPLUS

CN Octadecanamide, N- $(4-0-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)-(9CI)$ (CA INDEX NAME)

Me
$$(CH_2)_{16}$$
 $(CH_2)_{16}$ $(CH_2)_{16}$

RN 113715-18-7 HCAPLUS

CN 9-Octadecenamide, N-[2,3,6-tri-0-acetyl-4-0-(2,3,4,6-tetra-0-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]-, (Z)- (9CI) (CA INDEX NAME)

Me- (CH₂)₇- CH= CH- (CH₂)₇- C- NH O CH₂- OAC
$$\rightarrow$$
 OAC \rightarrow OAC \rightarrow OAC

RN 113715-19-8 HCAPLUS

CN 9-Octadecenamide, N-(4-O- β -D-galactopyranosyl- β -D-glucopyranosyl)-, (Z)- (9CI) (CA INDEX NAME)

RN 113731-52-5 HCAPLUS

CN Hexadecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)



Krishnan 10/676,436

L15 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2002:521752 HCAPLUS

DOCUMENT NUMBER:

137:79182

ENTRY DATE:

Entered STN: 12 Jul 2002

TITLE:

Preparation of monosaccharide and oligosaccharide lipo-amino acids as pharmaceutical agents used for

oral administration as delivery systems

INVENTOR(S):

Toth, Istvan; Falconer, Robert Alchemia Pty. Ltd., Australia

PATENT ASSIGNEE(S):

PCT Int. Appl., 66 pp.

SOURCE:

CODEN: PIXXD2

Patent

LANGUAGE:

English

INT. PATENT CLASSIF.:

MAIN:

C07H015-04

SECONDARY:

C07H015-12; A61K047-26; A61K047-36; A61K047-48

CLASSIFICATION:

DOCUMENT TYPE:

33-7 (Carbohydrates)

Section cross-reference(s): 34, 63

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.F	ATENT I	NO.			KINI	D :	DATE		1	APPL:	ICAT:	ION I	<i>N</i> O.		D	ATE	
		-				-									-		
WC	WO 2002053572				A1 20020711			WO 2002-AU5					20020103 <				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	ΙL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,
		ТJ,	TM														
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
•		CY,	DΕ,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NΕ,	SN,	TD,	TG
US 2004176281				A1		2004	0909	, 1	US 2003-676436					20030630 <			
PRIORITY APPLN. INFO.:								GB 2001-115					A 20010104				
									1	WO 20	002-2	AU5			A2 2	0020	103 <

PATENT CLASSIFICATION CODES:

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002053	572 ICM	C07H015-04
	ICS	C07H015-12; A61K047-26; A61K047-36; A61K047-48

OTHER SOURCE(S):

MARPAT 137:79182

ABSTRACT:

The invention relates to compds. r[D(nz)]p[(Wq-S-X-L)(my)] in which D is a therapeutically useful mol.; r is 0, or is an integer greater than or equal to 1; p, n and m may be the same or different, and are independently integers greater than or equal to 1; n and m represent the overall magnitude of the charge on the mols.; and z and y are charges, either pos. (+) or neg. (-), such that when z is pos., y is neg. and vice versa; and [(Wq-S-X-L)(my)] is a carrier compound, in which X is a covalent bond, or is a linker group, selected from 2 to 14 atom spacers, which may be substituted or unsubstituted, branched or linear; S is a mono- or oligosaccharide; L is a lipidic moiety; W may be absent, or is a 3 to 10 atom alkyl or heteroalkyl spacer, which may be branched or linear, and is substituted with one or more functional groups, each of which is charged or is capable of carrying a charge under physiol. conditions; and q is 0 when W is absent, or is an integer, which ranges from 3 to the number of hydroxys available for substitution on the mono- or oligosaccharide., which are

useful in the delivery of a wide variety of therapeutically useful mols. In particular, the invention relates to compds. which are able to act as carriers for therapeutically useful mols., and to pharmaceutical agents comprising these carriers. The compds. of the invention comprise a mono- or oligosaccharide, a lipidic moiety, and optionally a linker and/or a spacer. The pharmaceutical agents of the invention are particularly useful for oral administration. Thus, 2,3,4,6-tetra-O-acetyl-N-[[[2-(R/S)[(tert-butoxycarbonyl)amino]tetradecyl]amino]carbonothioyl]- β -D-glucopyranosylamine was prepared as pharmaceutical agent used for oral administration as drug delivery system, (no data). A formulation intended for oral administration to humans may contain about 1 mg to 1 g of an active compound with an appropriate and convenient amount of carrier material, which may vary from about 5 to 95 percent of the total composition Dosage unit forms will generally contain between from about 1 mg to 500 mg of active ingredient.

SUPPL. TERM: human oral administration drug delivery system glycolipid

amide prepn; monosaccharide oligosaccharide glycolipid amide

prepn delivery system oral administration

INDEX TERM: Drug delivery systems

(oral; preparation of monosaccharide and oligosaccharide lipoamino acids as pharmaceutical agents used for oral

administration as delivery systems)

INDEX TERM: Human

(preparation of monosaccharide and oligosaccharide lipoamino

acids as pharmaceutical agents used for oral

administration as delivery systems)

INDEX TERM: Amino acids, preparation

Glycolipids Monosaccharides

Oligosaccharides, preparation

ROLE: BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation of monosaccharide and oligosaccharide lipoamino

acids as pharmaceutical agents used for oral

administration as delivery systems)

INDEX TERM: 192385-43-6P 192385-44-7P

441016-31-5P 441016-32-6P 441016-34-8P 441016-37-1P 441016-38-2P 441016-41-7P

441016-42-8P 441016-43-9P

ROLE: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological

study); PREP (Preparation); USES (Uses)

(preparation of monosaccharide and oligosaccharide lipoamino

acids as pharmaceutical agents used for oral

administration as delivery systems)

INDEX TERM: 85-41-6, Phthalimide 112-29-8,

1-Bromodecane 131-48-6 604-69-3

1068-90-2, Diethyl acetamidomalonate

7772-79-4 10465-81-3, ADDP

13035-25-1 14131-62-5 16357-59-8

, EEDQ 17341-93-4 22352-19-8

25878-60-8 35396-13-5 76612-22-1

ROLE: RCT (Reactant); RACT (Reactant or reagent)

(preparation of monosaccharide and oligosaccharide lipoamino

acids as pharmaceutical agents used for oral

administration as delivery systems)

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572-09-8P, Acetobromoglucose 3068-32-4P,
INDEX TERM:
                  \alpha-Acetobromogalactose 6205-69-2P
                   13992-25-1P 13992-26-2P
                   14152-97-7P 22900-11-4P
                   33012-49-6P 51642-81-0P
                   58484-22-3P 59044-96-1P
                   67670-69-3P 74006-95-4P
                   114360-77-9P 126497-01-6P
                   129850-61-9P 129850-62-0P
                   142656-60-8P 144315-64-0P
                   185115-96-2P 199448-59-4P
                   199448-61-8P 199448-67-4P
                   219584-28-8P 262283-28-3P
                   394245-83-1P 394245-84-2P
                   394245-86-4P 394245-87-5P
                   412928-26-8P 441016-23-5P
                   441016-24-6P 441016-27-9P
                   441016-28-0P 441016-29-1P
                   441016-30-4P 441016-33-7P
                   441016-35-9P 441016-39-3P
                   441016-40-6P 441016-44-0P
                   ROLE: RCT (Reactant); SPN (Synthetic preparation); PREP
                   (Preparation); RACT (Reactant or reagent)
                      (preparation of monosaccharide and oligosaccharide lipoamino
                      acids as pharmaceutical agents used for oral
                      administration as delivery systems)
INDEX TERM:
                 3068-34-6P 13242-53-0P, Acetobromomannose
                   20590-45-8P 41135-18-6P
                   72690-21-2P 93221-21-7P
                   142188-75-8P 142656-59-5P
                   178553-87-2P 199448-57-2P
                   215254-45-8P 365441-37-8P
                   441016-25-7P 441016-26-8P
                   441016-36-0P
                   ROLE: SPN (Synthetic preparation); PREP (Preparation)
                      (preparation of monosaccharide and oligosaccharide lipoamino
                      acids as pharmaceutical agents used for oral
                      administration as delivery systems)
REFERENCE COUNT:
                         THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                         RECORD.
REFERENCE(S):
                   (1) Lindhorst, T; Carbohydrate Research 1998, V310, P35
                   (2) Toth, I; Pept 1996, Proc Eur Pept Symp, 24th 1998, P331
                             HCAPLUS
                   (3) Toth, I; Pept 1998, Proc Eur Pept Symp, 25th 1999, P48
                             HCAPLUS
    192385-43-6P 192385-44-7P 441016-31-5P
    441016-32-6P 441016-34-8P 441016-37-1P
    441016-38-2P 441016-41-7P 441016-42-8P
     441016-43-9P
    RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
        (preparation of monosaccharide and oligosaccharide lipoamino acids as
       pharmaceutical agents used for oral administration as delivery systems)
RN
    192385-43-6 HCAPLUS
CN
    Octadecanamide, 2-amino-N-(4-O-α-D-qlucopyranosyl-β-D-
    glucopyranosyl) - (9CI) (CA INDEX NAME)
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RN 192385-44-7 HCAPLUS

CN Octadecanamide, 2-amino-N-(O- α -D-glucopyranosyl-(1 \rightarrow 4)-O- α -D-glucopyranosyl-(1 \rightarrow 4)- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441016-31-5 HCAPLUS

CN Dodecanamide, 2-amino-N-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441016-32-6 HCAPLUS

CN Dodecanamide, 2-amino-N-β-D-galactopyranosyl- (9CI) (CA INDEX NAME)

RN 441016-34-8 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, $6-[(2R)-[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-, (2S,5R,6R)-, compd. with N-[2-(acetylamino)-2-deoxy-<math>\beta$ -D-glucopyranosyl]-2-aminododecanamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 441016-33-7 CMF C20 H39 N3 O6

Absolute stereochemistry.

CM 2

CRN 61477-96-1 CMF C23 H27 N5 O7 S

RN 441016-37-1 HCAPLUS

CN Carbamothioic acid, (2,3,4,6-tetra-O-acetyl- β -D-glucopyranosyl)-, O-[2-[[(1,1-dimethylethoxy)carbonyl]amino]dodecyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441016-38-2 HCAPLUS

Absolute stereochemistry.

RN 441016-41-7 HCAPLUS

CN Carbamic acid, [1-(aminomethyl)undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 441016-42-8 HCAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]thioxomethyl]amino]methyl]undecyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441016-43-9 HCAPLUS

CN Carbamic acid, [1-[[[(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)amino]thioxomethyl]amino]methyl]tridecyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 112-29-8 HCAPLUS

Krishnan 10/676,436

CN Decane, 1-bromo- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

 $Me^-(CH_2)_9$ -Br

RN 131-48-6 HCAPLUS

Neuraminic acid, N-acetyl- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

604-69-3 HCAPLUS RN

 β -D-Glucopyranose, pentaacetate (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

1068-90-2 HCAPLUS RN

Propanedioic acid, (acetylamino) -, diethyl ester (9CI) (CA INDEX NAME) CN

RN 7772-79-4 HCAPLUS

β-D-Glucopyranose, 2-(acetylamino)-2-deoxy-, 1,3,4,6-tetraacetate CN(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 10465-81-3 HCAPLUS

CN Piperidine, 1,1'-(azodicarbonyl)bis- (9CI) (CA INDEX NAME)

RN 13035-25-1 HCAPLUS

CN α -D-Glucopyranoside, methyl 2,3,4,6-tetra-O-2-propenyl- (9CI) (CF INDEX NAME)

Absolute stereochemistry.

$$H_2C$$
 H_2C
 O
 CH_2
 O
 CH_2

RN 14131-62-5 HCAPLUS

CN α -D-Glucopyranose, 2-amino-2-deoxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

HCl

RN 16357-59-8 HCAPLUS

CN 1(2H)-Quinolinecarboxylic acid, 2-ethoxy-, ethyl ester (8CI, 9CI) (CA INDEX NAME)

RN 17341-93-4 HCAPLUS

CN Carbonochloridic acid, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)

RN 22352-19-8 HCAPLUS

CN β -D-Glucopyranose, 4-O-(2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl)-, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 25878-60-8 HCAPLUS

CN D-Galactopyranose, pentaacetate (9CI) (CA INDEX NAME)

RN 35396-13-5 HCAPLUS CN β -D-Glucopyranose, 0-2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl- $(1\rightarrow 4)$ -O-2,3,6-tri-O-acetyl- α -D-glucopyranosyl- $(1\rightarrow 4)$ -, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 76612-22-1 HCAPLUS CN α -D-Glucopyranose, 2-(acetylamino)-2-deoxy-, 3,4,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT572-09-8P, Acetobromoglucose 3068-32-4P, α -Acetobromogalactose 6205-69-2P 13992-25-1P 13992-26-2P 14152-97-7P 22900-11-4P 33012-49-6P 51642-81-0P 58484-22-3P 59044-96-1P 67670-69-3P 74006-95-4P 114360-77-9P 126497-01-6P 129850-61-9P 129850-62-0P 142656-60-8P 144315-64-0P 185115-96-2P 199448-59-4P 199448-61-8P 199448-67-4P 219584-28-8P 262283-28-3P 394245-83-1P 394245-84-2P 394245-86-4P 394245-87-5P 412928-26-8P 441016-23-5P 441016-24-6P 441016-27-9P 441016-28-0P 441016-29-1P 441016-30-4P 441016-33-7P 441016-35-9P 441016-39-3P 441016-40-6P 441016-44-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of monosaccharide and oligosaccharide lipoamino acids as pharmaceutical agents used for oral administration as delivery systems) RN 572-09-8 HCAPLUS α -D-Glucopyranosyl bromide, tetraacetate (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (+).

RN 3068-32-4 HCAPLUS

CN α -D-Galactopyranosyl bromide, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 6205-69-2 HCAPLUS

CN β -D-Glucopyranosyl azide, 2-(acetylamino)-2-deoxy-, 3,4,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 13992-25-1 HCAPLUS

CN β -D-Glucopyranosyl azide, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 13992-26-2 HCAPLUS

CN β -D-Galactopyranosyl azide, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 14152-97-7 HCAPLUS

CN β -D-Glucopyranosyl isothiocyanate, 2,3,4,6-tetraacetate (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 22900-11-4 HCAPLUS

CN β-Neuraminic acid, N-acetyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 33012-49-6 HCAPLUS

CN β -D-Glucopyranosyl azide, 4-O-(2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl)-, 2,3,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 51642-81-0 HCAPLUS

CN β -D-Glucopyranosylamine, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 58484-22-3 HCAPLUS

CN β -D-Galactopyranosylamine, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 59044-96-1 HCAPLUS

CN β -D-Glucopyranosylamine, 4-O-(2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl)-, 2,3,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 67670-69-3 HCAPLUS

CN β -Neuraminic acid, N-acetyl-2-chloro-2-deoxy-, methyl ester, 4,7,8,9-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 74006-95-4 HCAPLUS

CN β-Neuraminic acid, N-acetyl-, methyl ester, 2,4,7,8,9-pentaacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 114360-77-9 HCAPLUS

CN D-Glucopyranose, 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 1,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 126497-01-6 HCAPLUS

CN D-Glucose, 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3,4,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 129850-61-9 HCAPLUS

CN Dodecanoic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 129850-62-0 HCAPLUS

CN Tetradecanoic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CF INDEX NAME)

RN 142656-60-8 HCAPLUS

CN Carbamic acid, [1-(hydroxymethyl)undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 144315-64-0 HCAPLUS

CN Hexadecanoic acid, 2-[[(1,1-dimethylethoxy)carbonyl]amino]- (9CI) (CA INDEX NAME)

RN 185115-96-2 HCAPLUS

CN β -D-Glucopyranosylamine, 0-2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl-(1 \rightarrow 4)-0-2,3,6-tri-O-acetyl- α -D-glucopyranosyl-(1 \rightarrow 4)-, 2,3,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 199448-59-4 HCAPLUS

CN Carbamic acid, [1-[[[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl)- β -D-glucopyranosyl]amino]carbonyl]heptadecy l]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 199448-61-8 HCAPLUS

CN Carbamic acid, [1-[[(0-2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl-(1-4)-O-2,3,6-tri-O-acetyl- α -D-glucopyranosyl-(1-4)-2,3,6-tri-O-acetyl- β -D-glucopyranosyl)amino]carbonyl]heptadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 219584-28-8 HCAPLUS

CN β -D-Glucopyranosyl azide, O-2,3,4,6-tetra-O-acetyl- α -D-

glucopyranosyl- $(1\rightarrow 4)$ -O-2,3,6-tri-O-acetyl- α -D-glucopyranosyl- $(1\rightarrow 4)$ -, 2,3,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 262283-28-3 HCAPLUS

CN D-Glucopyranose, 2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]-, 3,4,6-triacetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 394245-83-1 HCAPLUS

CN α -D-Glucopyranoside, methyl 2,3,4,6-tetrakis-O-(3-hydroxypropyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

HO
$$(CH_2)_3$$
 $(CH_2)_3$ $(CH_2)_3$ $(CH_2)_3$ $(CH_2)_3$ $(CH_2)_3$ $(CH_2)_3$ $(CH_2)_3$ $(CH_2)_3$

RN 394245-84-2 HCAPLUS

CN α-D-Glucopyranoside, methyl 2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

RN 394245-86-4 HCAPLUS

CN D-Glucopyranosyl azide, 2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]- (9CI) (CA INDEX NAME)

RN 394245-87-5 HCAPLUS

CN D-Glucopyranosylamine, 2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 412928-26-8 HCAPLUS

CN α -D-Glucopyranose, 2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-, 1-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

RN 441016-23-5 HCAPLUS

CN

Carbamic acid, [1-[[(2,3,4,6-tetra-O-acetyl-β-Dglucopyranosyl)amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 441016-24-6 HCAPLUS

CN Carbamic acid, [1-[[(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441016-27-9 HCAPLUS

CN Carbamic acid, [1-[[[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 441016-28-0 HCAPLUS CN Carbamic acid, [1-[(β -D-glucopyranosylamino)carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441016-29-1 HCAPLUS Carbamic acid, [1-[(β -D-galactopyranosylamino)carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 441016-30-4 HCAPLUS

CN Carbamic acid, [1-[[[2-(acetylamino)-2-deoxy-β-Dglucopyranosyl]amino]carbonyl]undecyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 441016-33-7 HCAPLUS

CN Dodecanamide, N-[2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]-2-amino-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441016-35-9 HCAPLUS

CN Carbamic acid, [1-[[[3,4,6-tri-O-acetyl-2-deoxy-2-[[(2,2,2-trichloroethoxy)carbonyl]amino]- β -D-glucopyranosyl]oxy]methyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 441016-44-0 HCAPLUS

CN Dodecanamide, 2-(acetylamino)-N-[2,3,4,6-tetrakis-O-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propyl]-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 13242-53-0 HCAPLUS CN α -D-Mannopyranosyl bromide, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 20590-45-8 HCAPLUS CN β -D-Glucopyranosyl isothiocyanate, 2-(acetylamino)-2-deoxy-, 3,4,6-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 41135-18-6 HCAPLUS CN β -D-Galactopyranosyl isothiocyanate, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 72690-21-2 HCAPLUS CN α -Neuraminic acid, N-acetyl-, methyl ester, 2,4,7,8,9-pentaacetate (9CI) (CA INDEX NAME)

RN 93221-21-7 HCAPLUS

CN α -D-Mannopyranosyl isothiocyanate, 2,3,4,6-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 142188-75-8 HCAPLUS

CN α -Neuraminic acid, N-acetyl-2-deoxy-2-isothiocyanato-, methyl ester, 4,7,8,9-tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 142656-59-5 HCAPLUS

CN Carbamic acid, [1-(hydroxymethyl)tridecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 178553-87-2 HCAPLUS

CN Carbamic acid, [1-(hydroxymethyl)pentadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 199448-57-2 HCAPLUS

Absolute stereochemistry.

RN 215254-45-8 HCAPLUS

CN Carbamic acid, [1-[[(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)amino]carbonyl]pentadecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 365441-37-8 HCAPLUS

RN 441016-25-7 HCAPLUS

CN Carbamic acid, [1-[[(2,3,4,6-tetra-O-acetyl-β-Dgalactopyranosyl)amino]carbonyl]tridecyl]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 441016-26-8 HCAPLUS

CN Carbamic acid, [1-[[[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]amino]carbonyl]tridecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 441016-36-0 HCAPLUS

CN Carbamic acid, [1-[[[3,4,6-tri-O-acetyl-2-(acetylamino)-2-deoxy-β-D-glucopyranosyl]oxy]methyl]undecyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Krishnan 10/676,436

10/06/2004

=> d que 126 L16 STR

REP G1=(0-14) A
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 13
DEFAULT MLEVEL IS ATOM
GGCAT IS LIN HIC AT 13
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

13939 SEA FILE=REGISTRY SSS FUL L16 L18 L19 13444 SEA FILE=REGISTRY ABB=ON PLU=ON L18 NOT (PMS OR IDS)/CI L20 12212 SEA FILE=REGISTRY ABB=ON PLU=ON L19 AND NC=1 L226302 SEA FILE=HCAPLUS ABB=ON PLU=ON L20160420 SEA FILE=HCAPLUS ABB=ON PLU=ON DRUG DELIVERY SYSTEMS+OLD/CT L23 369 SEA FILE=HCAPLUS ABB=ON PLU=ON T₂24 L22 AND L23 206 SEA FILE=HCAPLUS ABB=ON PLU=ON L24 AND P/DT T₂5 163 SEA FILE=HCAPLUS ABB=ON PLU=ON L26 L24 NOT L25

=> d 126 ibib ab hitstr 1-10 80-100 150-163 — only sample of records printed

L26 ANSWER 1 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:299652 HCAPLUS

DOCUMENT NUMBER:

CORPORATE SOURCE:

141:248498

TITLE:

Cytotoxicity evaluation of enzyme inhibitors and

absorption enhancers in Caco-2 cells for oral delivery

of salmon calcitonin

AUTHOR(S):

Shah, Rakhi B.; Palamakula, Anitha; Khan, Mansoor A.

School of Pharmacy, Texas Tech University Health

Sciences Center, Amarillo, TX, 79106, USA

SOURCE:

Journal of Pharmaceutical Sciences (2004), 93(4),

1070-1082

CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER:

Wiley-Liss, Inc.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB The usefulness of enzyme inhibitors and absorption enhancers with least mucosal cell cytotoxicity was evaluated on Caco-2 cell monolayers. The temporal cytotoxicity of several protease inhibitors at 500 μg/mL (e.g., turkey and chicken ovomucoids, aprotinin, and Protease Inhibitor Cocktail) and absorption enhancers [e.g., cholate (3%), glycocholate (3%), glycosursodeoxycholate (3%), EDTA (EDTA, 0.1%), hydroxypropyl-β-

cyclodextrin (HP- β -CD, 5%), hydroxypropyl- γ -cyclodextrin (HP- γ -CD, 5%), γ -cyclodextrin (γ -CD, 5%), tetradecyl-β-D-maltoside (0.25%), octylglucoside (0.25%), citric acid (10%), qlycyrrhetinic acid (0.34 mM), and Tween-80 (0.1%)] was measured by monitoring their effect on Caco-2 cell viability. Cell viability was measured by mannitol permeability measurements, transepithelial elec. resistance (TEER) measurements, DNA-propidium iodide staining assay, and WST-1 assay (tetrazolium salt based assay). SDS (0.1%), a potent surfactant, was used as a pos. control. Chicken and turkey ovomucoids were nontoxic to cells as evaluated by all the methods used. Aprotinin decreased the TEER, whereas plasma membrane damage was seen with Protease Inhibitor Cocktail after a 24-h period. With respect to the absorption enhancers, the toxicity increased directly as a result of an increase in the time of incubation. The enhancers EDTA and $\mbox{HP-}\beta\mbox{-CD}$ can be used safely for a short period of time, whereas glycosursodeoxycholate, glycyrrhetinic acid, octylglucoside, HP- γ -CD, and γ -CD can be used for a longer period.

IT 18449-82-6, Tetradecyl-β-D-maltoside 29836-26-8,

Octylglucoside

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cytotoxicity of enzyme inhibitors and absorption enhancers in Caco-2 cells for oral delivery of salmon calcitonin)

RN 18449-82-6 HCAPLUS

CN β -D-Glucopyranoside, tetradecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 2 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:209632 HCAPLUS

DOCUMENT NUMBER: 141:179378

TITLE: Chain length-dependent effects of alkylmaltosides on

nasal absorption of enoxaparin

AUTHOR(S): Mustafa, Fatima; Yang, Tianzhi; Khan, Mansoor A.;

Ahsan, Fakhrul

CORPORATE SOURCE: Department of Pharmaceutical Sciences, Texas Tech

University Health Sciences Center School of Pharmacy,

Amarillo, TX, 79106, USA

SOURCE: Journal of Pharmaceutical Sciences (2004), 93(3),

675-683

CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

The purpose of this study was to investigate whether the hydrophobic chain length of alkylmaltosides affects their efficacy as absorption promoters for nasally administered low-mol.-weight heparin and to study whether these agents enhance nasal absorption in a time-dependent manner without causing irreversible damage to the nasal epithelial membrane. For the nasal absorption studies, enoxaparin formulated with different alkylmaltosides was administered nasally to anesthetized rats and absorption of the drug was determined by measuring plasma anti-factor Xa activity. Reversibility studies were performed by administering enoxaparin at different time points after administration of alkylmaltosides. The AUCO-360 for plasma anti-factor Xa-time curves increased with the increase in alkylmaltoside concentration in the formulations. Absolute and relative bioavailability of enoxaparin were increased by two-fold when the alkyl chain length of maltosides was increased from 8 to 14 carbons. Alkylmaltosides therefore increase nasal absorption of enoxaparin in a dose- and chain length-dependent manner. Of the alkylmaltosides tested, tetradecylmaltoside is the most potent enhancer of nasal absorption of enoxaparin. Longer chain alkylmaltosides produce a more prolonged effect on nasal mucosa compared with those with shorter alkyl chain.

IT 18449-82-6, Tetradecyl- β -D-maltoside 69227-93-6,

Dodecyl- β -D-maltoside 82494-08-4, Octyl- β -D-maltoside

82494-09-5, Decyl- β -D-maltoside

RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(chain length-dependent effects of alkylmaltosides on nasal absorption of enoxaparin)

RN 18449-82-6 HCAPLUS

CN β -D-Glucopyranoside, tetradecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{13}$$
 $(CH_2)_{13}$ $(CH_2)_{13}$

RN 69227-93-6 HCAPLUS CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 82494-08-4 HCAPLUS CN β -D-Glucopyranoside, octyl 4-O- α -D-glucopyranosyl- (9CI) (CFINDEX NAME)

Absolute stereochemistry.

RN 82494-09-5 HCAPLUS CN β -D-Glucopyranoside, decyl 4-O- α -D-glucopyranosyl- (9CI) (CF INDEX NAME)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 3 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:192936 HCAPLUS

DOCUMENT NUMBER: 140:333207

TITLE: Liposome-mediated gene delivery: dependence on lipid

structure, glycolipid-mediated targeting, and

immunological properties

AUTHOR(S): Zhdanov, Renat; Bogdanenko, Elena; Moskovtsev, Alexey;

Podobed, Olga; Duzgunes, Nejat

CORPORATE SOURCE: V N Orekhovich Institute of Biomedical Chemistry,

Russian Academy of Medical Sciences, Moscow, 119832,

Russia

SOURCE: Methods in Enzymology (2003), 373 (Liposomes, Part C),

433-465

CODEN: MENZAU; ISSN: 0076-6879

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The methodol. aspects of gene delivery by a novel set of lipidic transfection reagents including cationic lipids, glycolipids, pH-sensitive and neutral lipids are outlined. A new method for the estimation of the influence of these compds. on the complement system is described. Both in vitro (cell culture) and in vivo gene delivery methods are presented.

IT 144783-12-0

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(liposome-mediated gene delivery involves dependence on lipid structure, glycolipid-mediated targeting, and immunol. properties)

RN 144783-12-0 HCAPLUS

CN Butanedioic acid, [$(4-O-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)$ thio]-, dihexadecyl ester (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{15}$$
 OH OH OH OH OH OH OH OH

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L26 ANSWER 4 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:49868 HCAPLUS

DOCUMENT NUMBER: 141:69909

TITLE: Immunization of colorectal cancer patients with

recombinant baculovirus-derived KSA (Ep-CAM)

formulated with monophosphoryl lipid A in liposomal emulsion, with and without granulocyte-macrophage

colony-stimulating factor

AUTHOR(S): Neidhart, Jeffrey; Allen, Karen O.; Barlow, Daunte L.;

Carpenter, Mark; Shaw, Denise R.; Triozzi, Pierre L.;

Conry, Robert M.

CORPORATE SOURCE: The University of Alabama at Birmingham, Birmingham,

AL, 35294-3300, USA

SOURCE: Vaccine (2004), 22(5-6), 773-780

CODEN: VACCDE; ISSN: 0264-410X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

AB KSA (Ep-CAM) is highly expressed by colorectal cancers. The safety and

immunol. effects of a vaccine consisting of recombinant

baculovirus-derived KSA formulated with monophosphoryl lipid A (MPL) in liposomes and emulsified in mineral oil were evaluated, with and without co-administration of granulocyte-macrophage colony-stimulating factor (GM-CSF). Eleven patients with metastatic colorectal cancer received three s.c. injections of the vaccine at 4-wk intervals. Six patients were randomized to also receive human recombinant GM-CSF (rGM-CSF) by s.c. injection daily for 4 days with each vaccination. Immunizations with and without rGM-CSF were well tolerated. Seven of the 11 patients developed significant KSA-specific cellular immune responses as assessed by lymphoproliferation and interferon- γ (IFN- γ) ELISPOT assays. All nine tested patients developed pos. delayed type hypersensitivity reactions. Eight of the 11 patients developed KSA-specific antibody responses. The highest levels of cellular immune responses were observed in patients who received GM-CSF. Immunization with baculovirus-derived KSA formulated with monophosphoryl lipid A in liposomal emulsion is safe and can elicit KSA-specific immune responses. Co-administration of GM-CSF with this formulation is an effective method of generating KSA-specific T-helper (Th) 1-associated cellular immune responses.

IT 143110-73-0, Monophosphoryl lipid A

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(formulated with baculovirus-derived KSA; immunization of colorectal

cancer patients with recombinant baculovirus-derived KSA formulated with monophosphoryl lipid A with and without granulocyte-macrophage colony-stimulating factor)

RN 143110-73-0 HCAPLUS

CN D-Glucose, 2-deoxy-6-0-[2-deoxy-2-[[(3R)-1-oxo-3-[(1-oxododecyl)oxy]tetradecyl]amino]-3-0-[(3R)-1-oxo-3-[(1-oxotetradecyl)oxy]tetradecyl]-4-0-phosphono-β-D-glucopyranosyl]-2[[(3R)-1-oxo-3-[(1-oxohexadecyl)oxy]tetradecyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

PAGE 1-B

REFERENCE COUNT:

52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 5 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:894817 HCAPLUS

DOCUMENT NUMBER:

141:28366

TITLE:

Influence of spacer length on the agglutination of

glycolipid-incorporated liposomes by ConA as model

membrane

AUTHOR(S): Engel, Andreas; Chatterjee, Swapan K.; Al-arifi, Ali;

Nuhn, Peter

CORPORATE SOURCE: Department of Pharmacy, Institute of Pharmaceutical

Chemistry, Martin-Luther-University Halle-Wittenberg,

Halle, 06120, Germany

SOURCE: Journal of Pharmaceutical Sciences (2003), 92(11),

2229-2235

CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Through a systematic investigation of the agglutination of long chain mannolipid and glucolipid incorporated liposomes by ConA it was found that the agglutination was dependent on different factors. The studied factors reported here are (1) spacer length and (2) ground lipid matrix. The

threshold and the relative saturating ConA binding concentration (saturation point to

attain the binding saturation condition) of glycosides with varying spacer length for agglutination are dependent on the spacer length of the glycolipid. These concns. decrease with the increasing number of in-built ethyleneoxy spacer length in the glycolipid and find its min. with 6 spacer units; it increases then more and more with increasing number of spacer units (>6 units). This is supposed to be due to the requirement of a proper distance of the hydrophilic determinant from the liposome surface for the response by ConA (response invoking distance), which may be most favorable in case of 6 spacer units. Further increase in number of spacer units (>6) results to an increasing probability of the bending of the spacer chain along with the terminal polar head group more and more towards the liposome surface; this leads to a reduction of the factual distance of the terminal hydrophilic head group from the liposome surface, weakening the response for ConA binding. The threshold concentration or saturation

point decreases also with the rigidity of the ground lipid matrix. Increased rigidity of the ground matrix leads to a phase separation and localized "Domain" formation with the glycolipid inside the ground matrix layer due to their immiscibility, invoking better response resulting to a reduction of required incorporated glycolipid concentration

IT 75319-63-0 146453-38-5 157792-46-6 157792-47-7 157792-49-9 171733-47-4 171733-62-3 171867-11-1 171867-14-4

171867-16-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (influence of spacer length on agglutination of glycolipid-incorporated liposomes by ConA as model membrane)

RN 75319-63-0 HCAPLUS

CN β-D-Glucopyranoside, hexadecyl (9CI) (CA INDEX NAME)

RN 146453-38-5 HCAPLUS

CN α -D-Mannopyranoside, hexadecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157792-46-6 HCAPLUS

CN β -D-Glucopyranoside, 2-(hexadecyloxy)ethyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{15}^{O}$$
 O R O R

RN 157792-47-7 HCAPLUS

CN α -D-Mannopyranoside, 2-(hexadecyloxy)ethyl (9CI) (CA INDEX NAME)

RN 157792-49-9 HCAPLUS

CN β -D-Glucopyranoside, 2-[2-(hexadecyloxy)ethoxy]ethyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171733-47-4 HCAPLUS

CN β -D-Glucopyranoside, 3,6,9,12-tetraoxaoctacos-1-yl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171733-62-3 HCAPLUS

CN α -D-Mannopyranoside, 3,6,9,12-tetraoxaoctacos-1-yl (9CI) (CA INDEX NAME)

RN 171867-11-1 HCAPLUS

CN β -D-Glucopyranoside, 2-[2-[2-(hexadecyloxy)ethoxy]ethoxy]ethyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171867-14-4 HCAPLUS

CN α -D-Mannopyranoside, 2-[2-(hexadecyloxy)ethoxy]ethyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171867-16-6 HCAPLUS

CN α -D-Mannopyranoside, 2-[2-[2-(hexadecyloxy)ethoxy]ethoxy]ethyl (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{15}$$
 O $(CH_2)_{15}$ O $(CH_2)_{1$

29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 6 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2003:814601 HCAPLUS

DOCUMENT NUMBER:

CORPORATE SOURCE:

140:412090

TITLE:

Pulmonary Absorption of Insulin Mediated by

Tetradecyl-β-Maltoside and Dimethyl-β-

Cyclodextrin

AUTHOR (S):

Hussain, Alamdar; Yang, Tianzhi; Zaghloul, Abdel-Azim;

Ahsan, Fakhrul

Texas Tech University Health Sciences Center,

Department of Pharmaceutical Sciences, School of

Pharmacy, Amarillo, TX, 79106, USA

SOURCE:

Pharmaceutical Research (2003), 20(10), 1551-1557

CODEN: PHREEB; ISSN: 0724-8741

PUBLISHER:

Kluwer Academic/Plenum Publishers

DOCUMENT TYPE:

Journal English

LANGUAGE:

The objective of this study were to determine if tetradecyl- β -maltoside ΔR (TDM) and dimethyl-β-cyclodextrin (DMβCD) enhance pulmonary absorption of insulin and to investigate if they do so by a reversible action on respiratory epithelium. Insulin formulated with saline, TDM, or DMBCD was administered intratracheally, after laryngoscopic visualization, as a spray to anesthetized rats. Reversibility studies were conducted in intact rats by administering insulin at different time points after administration of TDM or DMβCD. The pharmacodynamics and pharmacokinetics of insulin formulations were assessed by measuring plasma glucose and plasma insulin concns. When insulin formulated with increasing concns. (0.06-0.25%) of TDM or DMβCD were administered to anesthetized rats, there was a concentration-dependent decrease in plasma

glucose

and increase in plasma insulin concns. The relative bioavailability of insulin formulations containing TDM was higher (0.34-0.84%) than that of formulations containing DMBCD (0.19-0.48%). When insulin was administered 120 min after an agent was administered, in the reversibility study, no significant change in plasma glucose and insulin levels occurred compared to control. Both TDM and DMBCD enhance pulmonary absorption of insulin, with TDM being more efficacious than DM β CD in enhancing insulin absorption via pulmonary administration. The effects of TDM and DMBCD on respiratory epithelium are reversible, and the epithelium reestablishes its normal physiol. barrier 120 min after exposure to these agents.

18449-82-6, Tetradecyl- β -D-Maltoside IT

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pulmonary absorption of insulin mediated by tetradecyl-β-

maltoside and $di-Me-\beta$ -cyclodextrin)

RN 18449-82-6 HCAPLUS

CN β -D-Glucopyranoside, tetradecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$\stackrel{OH}{13}$$
 $\stackrel{OH}{\stackrel{R}{\stackrel{R}{\stackrel{R}{\stackrel{H}}{\stackrel{OH}}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}}{\stackrel{OH}{\stackrel{OH}}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}{\stackrel{OH}}{\stackrel{OH}{\stackrel{OH}}{\stackrel{OH}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}}{\stackrel{OH}}{\stackrel{OH}}$

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 7 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:466136 HCAPLUS

DOCUMENT NUMBER: 140:133623

TITLE: Structure-activity relationship for chemical skin

permeation enhancers: Probing the chemical

microenvironment of the site of action

AUTHOR(S): Warner, Kevin S.; Li, S. Kevin; He, Ning; Suhonen, T.

Marjukka; Chantasart, Doungdaw; Bolikal, Durgadas;

Higuchi, William I.

CORPORATE SOURCE: Department of Pharmaceutics and Pharmaceutical

Chemistry, University of Utah, Salt Lake City, UT,

84112, USA

SOURCE: Journal of Pharmaceutical Sciences (2003), 92(6),

1305-1322

CODEN: JPMSAE; ISSN: 0022-3549

PUBLISHER: Wiley-Liss, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

Studies were previously conducted in our laboratory on the influence of AB n-alkanols, 1-alkyl-2-pyrrolidones, N,N-dimethlyalkanamides, and 1,2-alkane diols as skin permeation enhancers on the transport of a model permeant, corticosterone (CS). The expts. were conducted with hairless mouse skin (HMS) in a side-by-side, two-chamber diffusion cell, with enhancer present in an aqueous buffer in both chambers. The purpose of the present study was to extend these studies and investigate in greater detail the hypothesis that a suitable semipolar organic phase may mimic the microenvironment of the site of enhancer action, and that the enhancer partitioning tendency into this organic phase may be used to predict the enhancer potency. CS flux enhancement along the lipoidal pathway of HMS stratum corneum was determined with the 1-alkyl-2-azacycloheptanones, 1-alkyl-2-piperidinones, 1,2-dihydroxy Pr decanoate, 1,2-dihydroxy Pr octanoate, n-alkyl-β-D-glucopyranosides, 2-(1-alkyl)-2-methyl-1,3dioxolanes, 1,2,3-nonanetriol, and trans-hydroxyproline-N-decanamide-Cethylamide as enhancers. Enhancement factors (E values) were calculated from the permeability coefficient and solubility data over a range of E values. Comparisons of the enhancer potencies for all studied homologous series

and the carbon number of the n-alkyl group revealed a nearly semilogarithmic linear relationship with a slope of .apprx.0.55, which is consistent with the hydrophobic effect. Moreover, comparisons of the enhancer potencies of all the enhancers with the n-hexanol-phosphate buffered saline (PBS), n-octanol-PBS, n-decanol-PBS, and n-hexane-PBS partition coeffs. showed very good correlations for the n-alkanol solvents but not for n-hexane. This result supports the interpretation that the enhancer potency is directly related to the ability of the enhancer mol. to translocate to a site of action via its free energy of transfer from the bulk aqueous phase to a semipolar microenvironment in the stratum corneum lipid lamella that is well mimicked by water-saturated n-alkanols.

IT 29836-26-8, n-Octyl-β-D-glucopyranoside 58846-77-8,

n-Decyl-β-D-glucopyranoside

RL: MOA (Modifier or additive use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(structure-activity relationship for chemical skin permeation enhancers)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 58846-77-8 HCAPLUS

CN β -D-Glucopyranoside, decyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 8 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:423355 HCAPLUS

DOCUMENT NUMBER: 139:360661

TITLE: Physicochemical characterization of silicon-containing

glycolipids by DSC, FT-Raman spectroscopy and X-ray

diffraction

AUTHOR (S):

Uhr, M.; Wartewig, S.; Unruh, T.; Richter, H.

CORPORATE SOURCE:

Institute of Pharmaceutical Chemistry, Department of Pharmacy, Martin-Luther-Universitat Halle/Wittenberg,

Halle, 06120, Germany

SOURCE:

Chemistry and Physics of Lipids (2003), 124(1), 1-13

CODEN: CPLIA4; ISSN: 0009-3084

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

LANGUAGE:

Journal English

Derivs. of dimethylalkylchlorosilanes are novel substances which may be used in formulations for drug targeting. In order to design their properties it is essential to perform physicochem. characterization. this purpose, a combination of differential scanning calorimetry (DSC), FT-Raman spectroscopy and x-ray diffraction is well suited. For the starting material dimethyloctadecylchlorosilane (DMOC), the assignment of Raman bands is discussed. The influence of sugar-containing head groups on the structures of the hydrocarbon chains of 1-0-(dimethyldodecylsilyl)-[2,3,4,6-tetra-O-acetyl- β -D-glucopyranoside] and 1-O-(dimethyloctadecylsilyl) - [2,3,4,6-tetra-O-acetyl-β-d-glucopyranoside] was investigated using the band position of the sym. methylene mode. The temperature dependence of conformationally sensitive bands in the

CH2-stretching

region (2800-2900 cm-1), C-C-stretching region (1000-1150 cm-1) and CH3-rocking region (830-900 cm-1) was studied to characterize the state of order of the alkyl chains. Using x-ray diffraction, the repeating distances of layered structures was determined The phase transitions occurring were found to be completely reversible. The subcell of DMOC shows an orthorhombic perpendicular packing structure in the crystalline state.

IT 620628-49-1

RL: PRP (Properties)

(SiAG 12; physicochem. characterization of silicon-containing glycolipids by DSC, FT-Raman spectroscopy and x-ray diffraction)

RN 620628-49-1 HCAPLUS

β-D-Glucopyranose, 1-0-(dodecyldimethylsilyl)-, tetraacetate (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

IT 620628-50-4

RL: PRP (Properties)

(SiAG 18; physicochem. characterization of silicon-containing glycolipids by DSC, FT-Raman spectroscopy and x-ray diffraction)

620628-50-4 HCAPLUS RN

CN β-D-Glucopyranose, 1-0-(dimethyloctadecylsilyl)-, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 9 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:362402 HCAPLUS

DOCUMENT NUMBER: 139:332550

TITLE: Lack of inhibition of BBN-induced bladder

carcinogenesis in C57BL/6 mice by intravesical

instillation of KRN 7000

AUTHOR(S): Mitsuhashi, Makoto; Wanibuchi, Hideki; Wei, Min; Doi,

Ken'ichiro; Morimura, Keiichirou; Masuda, Chikayoshi;

Wada, Seiji; Nakatani, Tatsuya; Kakizoe, Tadao;

Fukushima, Shoji

CORPORATE SOURCE: Department of Pathology, Osaka City University Medical

School, Abeno-ku, Osaka, 545-8585, Japan

SOURCE: Journal of Toxicologic Pathology (2003), 16(1), 19-23

CODEN: JTPAE7; ISSN: 0914-9198

PUBLISHER: Japanese Society of Toxicologic Pathology

DOCUMENT TYPE: Journal LANGUAGE: English

The immunostimulatory α -galactosylceramide, KRN 7000 or (2S, 3S, AB 4R) -1-0-(α -D-galactopyranosyl) -2-(N-hexacosnoylamino) -1,3,4octadecatrienol, might be anticipated to have antitumor activity in vivo apart from any direct toxicity to cancer cells. We investigated inhibition of mouse bladder carcinogenesis by intravesically instillated KRN 7000. C57BL/6 mice were divided into 4 groups; all first receiving the carcinogen 0.05% N-butyl-N-(4-hydroxybutyl)nitrosamine in drinking water for 8 wk. Next, groups 1 and 2, resp. were administered 10 and 0.1 μq/kq of KRN 7000 intravesically once weekly for 17 wk. Group 3 received only 0.3 mL of saline (vehicle control). Group 4 did not undergo bladder catheterization. By histol. examination at 26 wk, the incidence of bladder carcinoma of all types tended to be higher in group 1 than in group 3, but without significance. The incidence of bladder carcinoma in group 4, (no catheterization), was similar to that in group 1. Only one precancerous lesion (papillary or nodular dysplasia) was seen in each of groups 3 and 4. Thus vesical instillation of KRN 7000 did not inhibit bladder carcinogenesis in mice, exposed to the carcinogen studied.

IT 158021-47-7, KRN 7000

RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses) (lack of inhibition of BBN-induced bladder carcinogenesis in mice by intravesical instillation of KRN 7000)

RN 158021-47-7 HCAPLUS

CN Hexacosanamide, N-[(1S,2S,3R)-1-[(α -D-galactopyranosyloxy)methyl]-2,3-dihydroxyheptadecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Me
$$(CH_2)_{13}$$
 OH R S S OH OH OH OH

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 10 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:157639 HCAPLUS

DOCUMENT NUMBER: 139:399566

TITLE: Novel transdermal drug penetration enhancer: synthesis

and enhancing effect of alkyldisiloxane compounds

containing glucopyranosyl group

AUTHOR(S): Akimoto, Tomoko; Nagase, Yu

CORPORATE SOURCE: School of Engineering, Department of Applied

Chemistry, Tokai University, Hiratsuka, Kanagawa,

259-1292, Japan

SOURCE: Journal of Controlled Release (2003), 88(2), 243-252

CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

The syntheses of alkyldisiloxanes containing sugar moiety with various alkyl chain length were investigated, in order to develop a silicone-based transdermal penetration enhancer which was expected to show a low irritation to the skin. 1-Alkyl-3-β-d-glucopyranosyl-1,1,3,3tetramethyldisiloxanes (Glc-SiCs) were prepared by two-step hydrosilylations of 1-alkene and 1-allyl-β-D-glucose tetraacetate with 1,1,3,3-tetramethyldisiloxane in the presence of bis(benzonitrile)platinum dichloride as the catalyst, followed by hydrolysis of the acetyl groups with sodium methoxide. The enhancing effect of Glc-SiCs on the percutaneous drug penetration was evaluated by in vitro expts. using a two-chamber diffusion cell. Antipyrine (ANP) and indomethacin (IND) were used as hydrophilic and hydrophobic model drugs, resp., and the amount of drug permeating through the rat abdominal skin with or without Glc-SiCs was estimated by HPLC. As a result, Glc-SiCs exhibited a enhancing effect on the permeation of both drugs through the skin, which was influenced by the alkyl chain length of Glc-SiCs. In addition, it was suggested that a suitable balance of polarity would be necessary to appear the high enhancing effect, where Glc-SiCs with octyl and decyl groups exhibited the

highest enhancing effect. From the determination of kinetic parameters in the drug permeation, it was also found that this enhancing effect was due to the increase of both partition and diffusion coeffs. of drug permeation through the skin. By expts. to determine the amount of cholesterol extracted

the skin, the defatting effect would be one of the functions of Glc-SiCs which resulted in the high enhancing activity. Furthermore, according to the Draize test, it was confirmed that Glc-SiCs showed a low irritation to the skin.

IT 223536-27-4P 625385-65-1P 625385-66-2P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(novel transdermal drug penetration enhancer and synthesis and enhancing effect of alkyldisiloxane compds. containing glucopyranosyl group)

RN 223536-27-4 HCAPLUS

from

CN β -D-Glucopyranoside, 3-(3-dodecyl-1,1,3,3-tetramethyldisiloxanyl)propyl, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 625385-65-1 HCAPLUS

CN β -D-Glucopyranoside, 3-(1,1,3,3-tetramethyl-3-octyldisiloxanyl)propyl, tetraacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 625385-66-2 HCAPLUS

CN β -D-Glucopyranoside, 3-(3-decyl-1,1,3,3-tetramethyldisiloxanyl)propyl , tetraacetate (9CI) (CA INDEX NAME)

IT 223536-23-0P 625385-69-5P 625385-70-8P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (novel transdermal drug penetration enhancer and synthesis and enhancing effect of alkyldisiloxane compds. containing glucopyranosyl

RN 223536-23-0 HCAPLUS

group)

CN β -D-Glucopyranoside, 3-(3-dodecyl-1,1,3,3-tetramethyldisiloxanyl)propyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me Me Me (CH₂)
$$\frac{1}{11}$$
 O Me HO R OH

RN 625385-69-5 HCAPLUS

CN β -D-Glucopyranoside, 3-(1,1,3,3-tetramethyl-3-octyldisiloxanyl)propyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me Me Me
$$(CH_2)_7$$
 O Me $(CH_2)_3$ O $(CH_2)_3$ O $(CH_2)_7$ O $(CH_$

RN 625385-70-8 HCAPLUS

CN β -D-Glucopyranoside, 3-(3-decyl-1,1,3,3-tetramethyldisiloxanyl)propyl (9CI) (CA INDEX NAME)

Me Me Me
$$(CH_2)_{9}$$
 Ne $(CH_2)_{3}$ OH $(CH_2)_{9}$ OH

IT 58846-77-8 59122-55-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(novel transdermal drug penetration enhancer and synthesis and
enhancing effect of alkyldisiloxane compds. containing glucopyranosyl
group)

RN 58846-77-8 HCAPLUS

CN β -D-Glucopyranoside, decyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 59122-55-3 HCAPLUS

CN β-D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{11}$$
 O R O R O R O R O R O R

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 80 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:470672 HCAPLUS

DOCUMENT NUMBER: 127:166627

TITLE: Mechanism for enhancement effect of lipid disperse

system on percutaneous absorption: Part II

AUTHOR(S): Ogiso, Taro; Niinaka, Naoko; Iwaki, Masahiro; Tanino,

Tadatoshi

CORPORATE SOURCE: Faculty Pharmaceutical Science, Kinki University,

Osaka, 577, Japan

SOURCE: International Journal of Pharmaceutics (1997), 152(2),

135-144

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

To further clarify the mechanism involved in the enhancement effect of lipid disperse systems (LDS) on percutaneous absorption, the effect of particle size of LDSs on percutaneous absorption of betahistine (BH), the comparison of the enhancement effect of LDS with the lipid mixts. or the plain LDS, the effect of pretreatment of skin with gel formulation on penetration of LDS-BH and the fluidizing effect of LDSs on the stratum corneum (SC) lipids were estimated using Wistar and hairless rats. No major differences in BH absorption were seen between the gel formulations containing LDS with three different particle size (128±4, 336±15, 596±37 nm), prepared using egg phosphatidylcholine (EPC), cholesterol and dicetylphosphate. The percutaneous absorbability of BH from the formulations containing the lipid mixts. or plain LDS did not reach to the extent from EPC-LDS formulation. Following pretreatment with gel formulation containing enhancer (D-limonene or n-octyl-β-Dthioglucoside), BH absorption significantly decreased at the initial stage after application compared with that from LDS formulation, suggesting the additive enhancement effect of LDS and enhancer on the absorption. The treatment of the SC of hairless rat with LDSs significantly decreased the rotational correlation time (τc) and shifted downwards the slope of curves (τc vs. temperature) at temps. ranging from 25 to 60°C, compared with that of untreated SC. However, the significant differences in the fluidizing effect between LDSs with different particle size were not observed

Book observed

85618-21-9, n-Octyl β-D-thioglucopyranoside

RL: BPR (Biological process); BSU (Biological study, unclassified); THU

(Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(mechanism for enhancement effect of lipid disperse system on percutaneous absorption)

RN 85618-21-9 HCAPLUS

CN β-D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 81 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 1997:463660 HCAPLUS

DOCUMENT NUMBER: 127:113230

TITLE: Effect of penetration enhancers on buccal epithelium

and permeability of a tetrapeptide

AUTHOR(S): Hoogstraate, A.J.; Wik, M.; Svensson, M.E.; Granelli,

I.

CORPORATE SOURCE: Astra Pain Control AB, Soedertaelje, 15185, Swed.

SOURCE: Proceedings of the International Symposium on

Controlled Release of Bioactive Materials (1997),

24th, 435-436

CODEN: PCRMEY; ISSN: 1022-0178

PUBLISHER: Controlled Release Society, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB For a number of penetration enhancers there is a good correlation between the ability of enhancing peptide flux and decreasing the elec. resistance of porcine buccal epithelium in vitro. Measuring the effect of penetration enhancers on the electrophysiol. parameters of epithelial tissue could therefore be an appropriate screening method for finding suitable buccal penetration enhancers.

IT 69227-93-6, Dodecyl β -D-maltopyranoside

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(penetration enhancers effect on buccal epithelium and permeability of a tetrapeptide)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 82 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:463524 HCAPLUS

DOCUMENT NUMBER: 127:113184

TITLE: Novel liposaccharide colloidal drug carriers

AUTHOR(S): Hillery, A. M.; Drouillat, B.; Toth, I.

CORPORATE SOURCE: Pharmacy Dept., University of Brighton, Brighton, BN2

4GJ, UK

SOURCE: Proceedings of the International Symposium on

Controlled Release of Bioactive Materials (1997),

24th, 161-162

CODEN: PCRMEY; ISSN: 1022-0178

PUBLISHER: Controlled Release Society, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Expts. demonstrated the aggregative properties of liposaccharides and

their ability to be incorporated into particulate systems. A wide variety of particulate systems were prepared, possessing versatile and adaptable physicochem. properties, demonstrating the potential of the liposaccharides as components of particulated drug a vaccine delivery systems.

IT 192385-41-4 192385-42-5 192385-43-6 192385-44-7 192388-44-6

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(liposaccharide colloidal drug carriers)

RN '192385-41-4 HCAPLUS

CN Octadecanamide, 2-amino-N-β-D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 192385-42-5 HCAPLUS

CN β -D-Glucopyranose, 1-[[1-[(acetyloxy)carbonyl]nonadecyl]carbamate] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 192385-43-6 HCAPLUS

CN Octadecanamide, 2-amino-N-(4-O- α -D-glucopyranosyl- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Me (CH₂)
$$15$$
 OH OH OH OH OH OH

RN 192385-44-7 HCAPLUS

CN Octadecanamide, 2-amino-N-(O- α -D-glucopyranosyl-(1-4)-O- α -D-glucopyranosyl-(1-4)- β -D-glucopyranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 192388-44-6 HCAPLUS

CN Tetradecanamide, 2-amino-N-[1-[2-(β -D-glucopyranosylamino)-1-oxopropyl]tridecyl]- (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{11}$$
 NH Me $(CH_2)_{11}$ NH O $(CH_2)_{11}$ NH O $(CH_2)_{11}$ OH

L26 ANSWER 83 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:427297 HCAPLUS

DOCUMENT NUMBER: 127:140426

TITLE: Synthesis and application of neoglycolipids for

liposome modification

AUTHOR(S): Murahashi, Naokazu; Ishihara, Hiroshi; Sakagami,

Masahiro; Sasaki, Atsushi

CORPORATE SOURCE: Drug Delivery System Institute, Ltd., The Science

University of Tokyo, Noda, 278, Japan

SOURCE: Biological & Pharmaceutical Bulletin (1997), 20(6),

704-707

CODEN: BPBLEO; ISSN: 0918-6158 Pharmaceutical Society of Japan

PUBLISHER: Pharmace
DOCUMENT TYPE: Journal

DOCUMENT TYPE: Journal LANGUAGE: English

The authors synthesized various glycolipid derivs. and examined the in vivo behaviors of liposomes modified with these novel glycolipid derivs. Gal-t-psa ({8-(2-hexadecyloctadecanoylamido)-3,6-dioxaoctyl}-β-Dgalactoside), Lac-t-psa (8-(2-hexadecyloctadecanoylamido)-3,6-dioxaoctyl β -D-lactoside) and GalNAc-t-psa (8-(2-hexadecyloctadecanoylamido)-3,6dioxaoctyl 2-acetamido-β-D-galactopyranoside) modified liposomes were recognized by the liver. Lac-t-psa modified liposome was accumulated to the highest degree, followed by GalNAc-t-psa modified liposome and then Gal-t-psa modified liposome. The intrahepatic distributions of Gal-t-psa, GalNAc-t-psa, Glc-t-psa (8-(2-hexadecyloctadecanoylamido)-3,6-dioxaoctyl B-D-glucopyranoside) and Lac-t-psa modified liposomes were investigated. GalNAc-t-psa and Lac-t-psa modified liposome were accumulated to greater extents than Gal-t-psa modified liposome in hepatic parenchymal cells. The intrahepatic distribution of these liposomes showed that Lac-t-psa and GalNAc-t-psa were preferable to Gal-t-psa for the selective delivery of liposomes to hepatic parenchymal cells.

IT 153251-59-3P 153251-88-8P 153252-02-9P

153252-04-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and application of neoglycolipids for liposome modification)

RN 153251-59-3 HCAPLUS

CN Octadecanamide, N-[2-[2-[2-(β -D-galactopyranosyloxy)ethoxy]ethoxy]eth yl]-2-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{15}$$
 OH OH OH OH

RN 153251-88-8 HCAPLUS

CN Octadecanamide, N-[2-[2-[2-[(4-O-β-D-galactopyranosyl-β-D-glucopyranosyl)oxy]ethoxy]ethoxy]ethyl]-2-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

PAGE 1-A

PAGE 1-B

RN 153252-02-9 HCAPLUS

CN Octadecanamide, N-[2-[2-[2-[2-(acetylamino)-2-deoxy-β-D-galactopyranosyl]oxy]ethoxy]ethoxy]ethyl]-2-hexadecyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Me
$$(CH_2)_{15}$$
 $(CH_2)_{15}$
 $(CH_2)_{15}$

RN 153252-04-1 HCAPLUS

CN Octadecanamide, N-[2-[2-[2-(β -D-glucopyranosyloxy)ethoxy]ethoxy]ethyl]-2-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L26 ANSWER 84 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:277256 HCAPLUS

DOCUMENT NUMBER: 126:320965

TITLE: Enhanced lymph node delivery and immunogenicity of

hepatitis B surface antigen entrapped in

galactosylated liposomes

AUTHOR(S): Kim, Chong-Kook; Jeong, Eun Ju

CORPORATE SOURCE: Coll. Pharmacy, Seoul National Univ., Seoul, 151-742,

S. Korea

SOURCE: International Journal of Pharmaceutics (1997), 147(2),

143-151

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

The purpose of this work is to increase the lymph node delivery and the immunogenicity of hepatitis B surface antigen (HBsAg) in vivo. HBsAg was entrapped in the dried liposomes with their surfaces modified with galactose. Pharmacokinetics and organ distribution of free HBsAg alone, HBsAg mixed with aluminum phosphate, HBsAg entrapped in ungalactosylated liposomes and galactosylated liposomes (GalL) were studied. For each sample, the anti-HBsAg titers were measured by RIA. Most HBsAg in GalL existed in an antibody-available form. In rats, HBsAg in GalL administered to right thigh muscles, resided in the injection sites longer than did free HBsAg alone or HBHsAg mixed with aluminum phoshate. Also, GalL delivered higher amts.. of HBsAg to the regional lymph nodes than did other formulations: the area under the concentration-time curve HBsAg in the regional lymph nodes given in GalL was 16, 2.4, and 2.2-fold higher than that in free form, aluminum phosphate mixture and ungalactosylated liposomes, resp. The immunogenicity of HBsAg given in GalL showed a good correlation to its enhanced delivery to the lymph nodes. HBsAg in GalL boosted the formation of antibodies 40-fold higher than did free HBsAg, whereas HBsAg mixed with aluminum phosphate and HBsAg in ungalactosylated liposomes increased the titer by 21- and 13-fold, resp. Taken together, it is concluded that the galactosylated liposomes can target HBsAg to the regional lymph nodes, rich in the antigen-presenting cells and enhance the immunogenicity of HBsAg more efficiency than do the conventional aluminum phosphate ro the ungalactosylated liposome formulations.

IT 90024-00-3

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(enhanced lymph node delivery and immunogenicity of hepatitis B surface antigen entrapped in galactosylated liposomes)

RN 90024-00-3 HCAPLUS

CN D-Gluconamide, 4-O-β-D-galactopyranosyl-N-octadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{17}$$
 $(CH_2)_{17}$ $(CH_2)_{17}$

L26 ANSWER 85 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:259143 HCAPLUS

DOCUMENT NUMBER: 126:338322

TITLE: Separation and quantitation of glycolipids as

penetration modifiers in human skin using high-performance liquid chromatography-mass spectrometry with electrospray ionization Wolf, Raik; Raith, Klaus; Neubert, Reinhard

CORPORATE SOURCE: Martin-Luther-University, Department of Pharmacy,

Institute of Pharmaceutics and Biopharmaceutics, Wolfgang-Langenbeck-Strasse 4, Halle (Saale), 06120,

Germany

SOURCE: Journal of Chromatography, A (1997), 766(1 + 2), 71-75

CODEN: JCRAEY; ISSN: 0021-9673

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AUTHOR(S):

AB A HPLC-mass spectrometry method is presented for the measurement of glycolipids used as modulators of the penetration of drugs into human skin. In methanol exts. from different skin layers a detection limit of 100-400 pg/mL could be achieved. A routine anal. procedure could be set up with good quantitation reliability (relative standard deviation 6.6%).

IT 29836-26-8, n-Octyl-β-D-glucopyranoside 59122-55-3,

n-Dodecyl- β -D-glucopyranoside 75319-63-0, β -D-Glucopyranoside, hexadecyl 171867-11-1

RL: ANT (Analyte); MOA (Modifier or additive use); THU (Therapeutic use);

ANST (Analytical study); BIOL (Biological study); USES (Uses)

(glycolipid skin penetration modifiers determination by HPLC-electrosprayionization MS)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 59122-55-3 HCAPLUS

CN β-D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 75319-63-0 HCAPLUS

CN β -D-Glucopyranoside, hexadecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 171867-11-1 HCAPLUS

CN β-D-Glucopyranoside, 2-[2-[2-(hexadecyloxy)ethoxy]ethoxy]ethyl (9CI) (CA INDEX NAME)

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L26 ANSWER 86 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:206235 HCAPLUS

DOCUMENT NUMBER: 126:287529

TITLE: Hepatic accumulation of glutamic acid branched

neogalactosyllipid modified liposomes

AUTHOR(S): Murahashi, Naokazu; Ishihara, Hiroshi; Sasaki, Atsushi; Sakagami, Masahiro; Hamana, Hiroshi

CORPORATE SOURCE: Drug Delivery System Institute, Ltd., Science

University of Tokyo, Noda, 278, Japan

SOURCE: Biological & Pharmaceutical Bulletin (1997), 20(3),

259-266

CODEN: BPBLEO; ISSN: 0918-6158

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

We synthesized branched type galactosyllipid derivs. for liposome AB modification for the targeting of asialoglycoprotein receptors on the surface of liver cells. Galactose was coupled to the α - and γ-carboxyl groups of glutamic acid via a triethyleneglycol spacer, then this glutamic moiety was bound to the lipid anchor. Ricinus communis agglutinin (RCA120) induced the agglutination of liposomes modified with mono-, bi-, tri-antennary neogalactosyllipid. With the bi- or tri-antennary derivs., agglutination was observed at fewer galactosyl residues on the liposomes. We examined the effect of the branching structure in vivo. The difference in accumulation of liposomes between non-branched type neogalactosyllipid and branched type neogalactosyllipid was not large. Liver accumulation of liposomes depended on the galactosyl residues. The number of galactosyl residues was more effective for accumulation in the liver than for branching. We studied the effect of asialofetuin preinjection on the hepatic accumulation of neogalactosyllipid modified liposomes. Hepatic accumulation of liposomes was inhibited by preinjection of asialofetuin. The effect of preinjection was almost equal among the ligands. These results show that the saccharide d. on the liposome surface seemed to be a more important factor than the branching structure of the ligand for liver targeting.

IT 189185-27-1P 189185-28-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrogenation of)

RN 189185-27-1 HCAPLUS

CN Pentanediamide, 2-[(2-hexadecyl-1-oxooctadecyl)amino]-N,N'-bis[2-[2-[2-[2-[2,3,4,6-tetra-0-acetyl-β-D-galactopyranosyl)oxy]ethoxy]ethoxy]ethyl
]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

RN 189185-28-2 HCAPLUS

L-Glutamamide, N2-(2-hexadecyl-1-oxooctadecyl)-N-[2-[2-[2-[(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)oxy]ethoxy]ethoxy]ethyl]-L- α -glutaminyl-N1,N5-bis[2-[2-[(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)oxy]ethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 2-A

IT 153251-59-3P 189185-24-8P 189185-25-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and liposome modification by)

RN 153251-59-3 HCAPLUS

CN Octadecanamide, N-[2-[2-[2-(β -D-galactopyranosyloxy)ethoxy]ethoxy]eth yl]-2-hexadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{15}$$
 $(CH_2)_{15}$ $(CH_2)_{15}$

RN 189185-24-8 HCAPLUS

CN Pentanediamide, N,N'-bis[2-[2-[2-(β-D-galactopyranosyloxy)ethoxy]etho
xy]ethyl]-2-[(2-hexadecyl-1-oxooctadecyl)amino]-, (2S)- (9CI) (CA INDEX
NAME)

PAGE 1-B

RN 189185-25-9 HCAPLUS

CN L-Glutamamide, N-[2-[2-[2-(β -D-galactopyranosyloxy)ethoxy]ethoxy]ethy 1]-N2-(2-hexadecyl-1-oxooctadecyl)-L- α -glutaminyl-N1,N5-bis[2-[2-[2-(β -D-galactopyranosyloxy)ethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

L26 ANSWER 87 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:167768 HCAPLUS

DOCUMENT NUMBER: 126:216532

TITLE: Stabilization of teniposide in aqueous mixtures of

detergent-phospholipid

AUTHOR(S): Son, Kyonghee; Alkan-Onyuksel, Hayat

CORPORATE SOURCE: Department of Pharmaceutics and Pharmacodynamics,

College of Pharmacy, University of Illinois at

Chicago, Chicago, IL, USA

SOURCE: PDA Journal of Pharmaceutical Science and Technology

(1996), 50(6), 366-371

CODEN: JPHTEU; ISSN: 1076-397X

PUBLISHER: PDA, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

Teniposide-containing mixed micelles and liposomes consisting of detergent and phospholipid were investigated and compared for their teniposide latency as functions of the mixed micellar preparation method, stabilizers, type of detergent, lipid composition and serum proteins after storage at 10, 23, and 45° or/and freezing and freeze-drying. There was no significant difference in teniposide loss from liposomes obtained using different micellar preparation methods. Sugars, dextrose or sorbitol, had no effect on teniposide loss from liposome but stabilized teniposide micelles. Glutamic acid had no effect on teniposide loss from micelles but increased the loss from liposomes. The presence of cholesterol in bile salt-egg PC micelles had little effect on teniposide loss at 10° but generally increased it at 23°, and 45°, while bile salt-egg PC-cholesterol (9:9:1) liposomes were more stable than bile salt-egg PC liposomes. In contrast, teniposide loss from bile salt-egg PC-egg PE (2:1:1) liposomes or bile salt-egg PC-egg PA (16:15:1) micelles and liposomes increased remarkedly, probably due to the surface charge and/or the destabilization of PC bilayer. However, bile salt-egg PC-soy PC (2:1:1) micelles and liposomes lost less amts. of teniposide under the same storage conditions. Further, the stability of teniposide was greatly increased by neutral detergents (e.g., CHAPS or octyl glucoside). The losses of teniposide from CHAPS- or octyl glucoside-egg PC micelles and liposomes after A 6-mo storage at the ambient temperature were approx. 16 and 10%, resp. Teniposide-micelles and liposomes, prepared in the presence of serum or serum protein, were more stable than CHAPS- or octyl

glucoside-egg PC liposomes. Teniposide was phys. stable for at least 12 mo when micelles were stored as the frozen or freeze-dried state. Thus, the long-term storage for teniposide in neutral detergent-egg PC-soy PC micelles may be feasible in the presence of serum proteins.

IT 29836-26-8

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (-stabilization of teniposide in aqueous mixts. of detergent-phospholipid)

RN 29836-26-8 HCAPLUS

 β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

Me
$$(CH_2)_7$$
 O R O R O R O R OH

L26 ANSWER 88 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:75748 HCAPLUS

DOCUMENT NUMBER: 126:190869

Effectiveness and toxicity screening of various TITLE:

> absorption enhancers in the rat small intestine: effects of absorption enhancers on the intestinal absorption of phenol red and the release of protein

and phospholipids from the intestinal membrane

Yamamoto, Akira; Uchiyama, Tomomi; Nishikawa, Reiko; AUTHOR(S):

Fujita, Takuya; Muranishi, Shozo

Department of Biopharmaceutics, Kyoto Pharmaceutical CORPORATE SOURCE:

University, Kyoto, 607, Japan

Journal of Pharmacy and Pharmacology (1996), 48(12), SOURCE:

1285-1289

CODEN: JPPMAB; ISSN: 0022-3573

Royal Pharmaceutical Society of Great Britain PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

Sodium glycocholate, sodium taurocholate, sodium deoxycholate, EDTA, sodium salicylate, sodium caprate, di-Et maleate, N-lauryl-β-Dmaltopyranoside, linoleic acid polyoxyethylated (60 mol) mixed micelles (all 20 mM) have been ranked in order of their effectiveness as enhancers of the absorption of drugs in the rat small intestine, by use of an in-situ loop model with phenol red as a model drug. Local toxicity in rats was examined by assessing protein and phospholipid release as biol. markers. Of the absorption enhancers, sodium deoxycholate, EDTA and N-lauryl- β -D-maltopyranoside were the most effective; sodium deoxycholate and EDTA, however, caused significant release of protein and phospholipids. N-lauryl- β -D-maltopyranoside, on the other hand, did not damage the small intestinal membrane. Sodium taurocholate enhanced phenol red absorption from the small intestine and resulted in little or no protein and phospholipid release. Sodium salicylate, di-Et maleate and the mixed micelles had no absorption-promoting effects on phenol red. There was good correlation between the area under the plasma concentration-time curve for phenol red and the amts. of protein and phospholipid released in the presence of absorption enhancers. From these results it might be concluded that N-lauryl- β -D-maltopyranoside and sodium taurocholate are effective absorption enhancers which have low toxicity levels at a concentration of 20 mM.

IT 69227-93-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(effectiveness and toxicity of drug absorption enhancers in small intestine: effects on intestinal absorption of phenol red and release of protein and phospholipids from intestinal membrane)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 89 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:24268 HCAPLUS

DOCUMENT NUMBER: 126:135556

TITLE: Effectiveness and toxicity screening of various

absorption enhancers in the large intestine:

intestinal absorption of phenol red and protein and phospholipid release from the intestinal membrane Uchiyama, Tomomi; Yamamoto, Akira; Hatano, Harumi;

AUTHOR(S): Uchiyama, Tomomi; Yamamoto, Akira; Fujita, Takuya; Muranishi, Shozo

CORPORATE SOURCE: Department of Biopharmaceutics, Kyoto Pharmaceutical

University, Kyoto, 607, Japan

SOURCE: Biological & Pharmaceutical Bulletin (1996), 19(12),

1618-1621

CODEN: BPBLEO; ISSN: 0918-6158

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

AB The effectiveness and local toxicity of absorption enhancers on the absorption of phenol red (PR) from the large intestine of rats were examined using an in situ loop method. The absorption enhancers used in this study were sodium glycocholate (GC-Na), sodium taurocholate (TC-Na), sodium deoxycholate (DC-Na), EDTA, sodium salicylate (Sal-Na), sodium caprate (Cap-Na), di-Et maleate (DM), N-lauryl-β-D-maltopyranoside (LM) and linoleic acid mixed micelles (MM), all used at a concentration of 20 mM. Local toxicity was also investigated by assessing protein and phospholipid release as biol. markers. DC-Na and MM were the most effective absorption enhancers, but they caused considerable release of proteins and phospholipids. GC-Na, TC-Na and LM, which caused little or only slight

membrane damage, promoted PR absorption. Sal-Na, DM and EDTA did not enhance PR absorption. Overall, a correlation exists between the area under the curve of PR and protein and phospholipid release in the presence of absorption enhancers. However, GC-Na, TC-Na and LM promoted the absorption of PR with low toxicity. From these results, we concluded that GC-Na, TC-Na and LM are effective absorption enhancers which have low levels of toxicity at a concentration of 20 mM.
69227-93-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); MOA (Modifier or additive use); BIOL (Biological study); USES (Uses)

(effectiveness and toxicity of drug absorption enhancers in large intestine: intestinal absorption of phenol red and protein and phospholipid release from intestinal membrane)

RN 69227-93-6 HCAPLUS

TT

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 90 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:760271 HCAPLUS

DOCUMENT NUMBER: 126:94774

TITLE: Delivery of systemic regular insulin via the ocular

route in dogs

AUTHOR(S): Morgan, Rhea V.; Huntzicker, Marcy A.

CORPORATE SOURCE: Rowley Memorial Animal Hospital, Springfield, MA, USA

SOURCE: Journal of Ocular Pharmacology and Therapeutics

(1996), 12(4), 515-526

CODEN: JOPTFU; ISSN: 1080-7683

PUBLISHER: Liebert
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Regular porcine insulin was administered as eye drops to eight healthy, euglycemic dogs. Insulin was applied alone and in combination with six different permeation enhancers. Serum glucose and insulin were monitored for four hours following the eye drops. Significant changes in serum glucose and/or insulin occurred when the insulin was administered with 0.5% saponin, 0.5% and 1% BL-9, 0.5% and 1% dodecyl maltoside, and 0.5% and 1% tetradecyl maltoside. Insulin delivered alone and in the presence of 0.5% Brij-78 and 0.5% fusidic acid did not significantly alter glucose and/or insulin concns. Solns. containing 0.5% saponin induced signs of ocular irritation for approx. 5 min. Transient blinking (1-5 mins.) was encountered with solns. containing 1% BL-9, 1% dodecyl maltoside, and 1% tetradecyl maltoside. No ocular signs occurred with the administration of

insulin alone or with 0.5% solns. of Brij-78, fusidic acid, BL-9, dodecyl maltoside, and tetradecyl maltoside. This study demonstrated that short-acting insulin is systemically absorbed in dogs via the ocular route when applied with certain emulsants.

IT 18449-82-6 69227-93-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (insulin systemic delivery via ocular route in dogs and emulsants effect thereon)

RN 18449-82-6 HCAPLUS

CN β -D-Glucopyranoside, tetradecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 91 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:610942 HCAPLUS

DOCUMENT NUMBER: 125:284600

TITLE: Generation of nonionic monoalkyl amphiphile-

cholesterol vesicles: Evidence of membrane

impermeability to octyl glucoside

AUTHOR(S): Seras-Cansell, M.; Ollivon, M.; Lesieur, S.

CORPORATE SOURCE: CNRS, Universite Paris-Sud, Chatenay-Malabry, 92296,

Fr.

SOURCE: S.T.P. Pharma Sciences (1996), 6(1), 12-20

CODEN: STSSE5; ISSN: 1157-1489

PUBLISHER: Editions de Sante

DOCUMENT TYPE: LANGUAGE: Journal English

In addition to the presentation of several new results, this article will review previous studies concerning the solubilization and formation processes by the nonionic detergent, octyl glucoside, of nonionic surfactant vesicles based on diglycerol hexadecyl ether (C16G2), cholesterol and a small amount of dicetyl phosphate. Transformation of nonionic surfactant vesicles into micelles is performed by adding octyl glucoside solns. at controlled rates. In parallel, vesiculation is obtained by removing detergent from lipids-octyl glucoside mixed micelles through dilns. with detergent-free buffer carried out under different kinetic conditions. The latter procedure yields stable and mostly unilamellar non-ionic surfactant vesicles, providing the buffer addition is The final aggregate size is dependent on the overall kinetics of the process, i.e., the faster the dilution rate, the smaller the vesicles. The nonionic surfactant vesicle bilayer appears especially resistant to octyl glucoside since it requires more than six detergent mols. per lipid to dissolve completely (only three for the phosphatidylcholine bilayer). best result is observed when the equimolar C16G2/cholesterol proportion is respected, and the incorporation of dicetylphosphate as well. A significant hysteresis, originating from the impermeability of the nonionic surfactant vesicle membrane to octyl glucoside, is demonstrated between the nonionic surfactant vesicle micellization and the reverse pathway of vesicle reconstitution.

IT 29836-26-8, Octyl glucoside

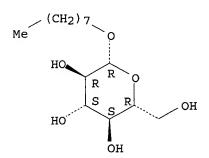
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(generation of nonionic monoalkyl amphiphile-cholesterol vesicles and evidence of membrane impermeability to octyl glucoside)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L26 ANSWER 92 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1996:522225 HCAPLUS

DOCUMENT NUMBER:

125:230593

TITLE:

Chitosan capsules for colon-specific drug delivery: improvement of insulin absorption from the rat colon Tozaki, H.; Fujita, T.; Yamamoto, A.; Muranishi, S.;

AUTHOR(S):

Sugiyama, T.; Terabe, A.; Matsumoto, T.; Suzuki, T. Department of Biopharmaceutics, Kyoto Pharmaceutical

CORPORATE SOURCE:

University, Kyoto, 607, Japan

SOURCE:

Proceedings of the International Symposium on Controlled Release of Bioactive Materials (1996),

23rd, 551-552

CODEN: PCRMEY; ISSN: 1022-0178 Controlled Release Society, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

AB Chitosan capsules were considerably stable in the stomach and the small intestine. However, they were specifically degraded by the microorganisms in rat cecal content when they reached the colon. A marked increase in pharmacol. availability was observed following oral administration of the capsules containing insulin 20 IU and sodium glycocholate 9.8 mg, as compared with oral administration of 20 IU insulin solution Thus, chitosan capsules may be a useful carrier for colon-specific delivery of peptides including insulin.

IT 69227-93-6

PUBLISHER:

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (chitosan capsules for colon-specific drug delivery)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{11}$$
 OH $(CH_2)_{11}$ OH

L26 ANSWER 93 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:522091 HCAPLUS

DOCUMENT NUMBER: 125:230372

TITLE: Enhancement effect of various absorption enhancers on

the transport of insulin across the intestinal

membrane

AUTHOR(S): Uchiyama, Tomomi; Sugiyama, Tetsuo; Eisyuku, Kenn;

Fujita, Takuya; Yamamoto, Akira; Muranishi, Shozo Department of Biopharmaceutics, Kyoto Pharmaceutical

University, Kyoto, 607, Japan

SOURCE: Proceedings of the International Symposium on

Controlled Release of Bioactive Materials (1996),

23rd, 429-430

CODEN: PCRMEY; ISSN: 1022-0178

PUBLISHER: Controlled Release Society, Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

CORPORATE SOURCE:

AB Transport of insulin, a model peptide, was improved in the presence of some absorption enhancers. However, low mol. weight compds. were markedly affected by these absorption enhancers.

IT 69227-93-6, Lauryl β -maltoside

RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(enhancement effect of various absorption enhancers on the transport of

insulin across the intestinal membrane)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 94 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:448803 HCAPLUS

DOCUMENT NUMBER: 125:123491

TITLE: Quantitative evaluation of human leukocyte

interferon-α entrapped in liposomes Karau, C.; Pongpaibul, Y.; Schmidt, P. C.

AUTHOR(S): Karau, C.; Pongpaibul, Y.; Schmidt, P. C.

CORPORATE SOURCE: Department of Pharmaceutical Technology,

Eberhard-Karls-Universitaet Tuebingen, Guebingen,

D-72076, Germany

SOURCE: Drug Delivery (1996), 3(2), 59-65

CODEN: DDELEB; ISSN: 1071-7544

PUBLISHER: Taylor & Francis

DOCUMENT TYPE: Journal LANGUAGE: English

Human interferon- α (hIFN- α), a water-soluble and labile protein, was entrapped in the aqueous interstices of oligolamellar liposomes (phosphatidylcholine, dimyristoylphosphatidylglycerol, and cholesterol [6:4:1]). The effects of various detergents, β -cyclodextrins, and freeze-thaw cycles on the release of hIFN- α from liposomes were investigated. The trapping efficiency of the liposomes was evaluated by monitoring the activity of hIFN- α released. The influence of Triton X-100, sodium cholate, sodium deoxycholate and octyl glucoside as detergents, and β -cyclodextrin and methyl- β -cyclodextrin on the intactness of the liposomal membranes was studied. HIFN- α liposomes were purified from nonencapsulated hIFN- α by gel filtration. For Triton X-100 and sodium cholate, which showed the highest release of hIFN- α , stability studies of HIFN- α in the presence of both detergents were performed. HIFN- α in combination with Triton X-100 showed no significant loss of activity, contrary to sodium cholate. The data suggest that Triton X-100 may be useful as a detergent for the release of hIFN- α entrapped in liposomes.

IT 41444-50-2, Octyl glucoside

RL: MOA (Modifier or additive use); PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process); USES (Uses)

(human leukocyte interferon- α entrapped in liposomes)

RN 41444-50-2 HCAPLUS

CN D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 95 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:328771 HCAPLUS

DOCUMENT NUMBER: 125:95731

TITLE: Stabilization and intestinal absorption of human

calcitonin

AUTHOR(S): Baudys, M.; Mix, D.; Kim, S. W.

CORPORATE SOURCE: Center for Controlled Chemical Delivery, Department of

Pharmaceutics and Pharmaceutical Chemistry, University of Utah, 570 Biomedical Polymers Research Building no.

205, Salt Lake City, UT, 84112, USA

SOURCE: Journal of Controlled Release (1996), 39(2,3), 145-151

CODEN: JCREEC; ISSN: 0168-3659

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effect of different excipients and/or surface active compds. on the stability of human calcitonin in aqueous solution was studied. Calcitonin solution

was partially stabilized with dilute acetic acid (≥0.01%), and among many tested surfactants, only lauryl sulfate was a long-term stabilizer (≥1 yr). Concentration dependency studies indicated that lauryl sulfate micelles were necessary to achieve long-term stabilization of human calcitonin in solution Another liquid formulation was developed that also stabilized human calcitonin over a long period of time (≥3 mo). Calcitonin was dissolved in polar, nontoxic, nonaq. solvents, such as propylene glycol or polyethylene glycol 200 and this solution was emulsified in an oil phase composed of medium-chain glycerides. Medium and long-term stabilized formulations of human calcitonin were then studied for intestinal absorption via the duodenum and colon in rats. Using aqueous formulations containing 1% sodium dodecyl sulfate or 6.6% dodecyl maltoside as the enhancer, bioavailability values greater than 10% were achieved by intracolonic route of administration, demonstrating that the colon is better suited for calcitonin delivery and absorption. Pharmacodynamic responses and time profiles obtained were significant and comparable to those observed for i.m. injection of human calcitonin.

IT 69227-93-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (stabilization and intestinal absorption of human calcitonin)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

L26 ANSWER 96 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

1996:325988 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 125:95878

TITLE: Synthesis and evaluation of neoglycolipid for liposome

modification

Murahashi, Naokazu; Yamada, Harutami; Watanabe, AUTHOR (S):

Hiroshi K.; Higashi, Kunio; Miyoshi, Shirou; Yamauchi,

Hitoshi; Nakabayashi, Satoshi

Noda Res. Lab., Drug Delivery System Inst., Ltd., CORPORATE SOURCE:

Noda, 278, Japan

Drug Delivery System (1996), 11(2), 91-97 SOURCE:

CODEN: DDSYEI; ISSN: 0913-5006 Nippon DDS Gakkai Jimukyoku

Journal DOCUMENT TYPE:

PUBLISHER:

LANGUAGE: Japanese

Various kinds of the neoglycolipids, composed of N-acetylgalactosamine AB (GalNAc), a spacer arm and a lipid, were synthesized to modify the surface of liposomes and to investigate the distribution of the liposomes. neoglycolipids, having octamethylene as a spacer arm, are only slightly soluble in various kind of solvents. However, by using triethylene glycol as a spacer arm, the solubility of the neoglycolipids was greatly improved without loss of the affinity towards hepatocyte in vivo. Liposomes modified with the neoglycolipid, which contained the branched lipid as an anchor, showed remarkably accumulation in the liver. However, liposomes modified with the straight chain lipid as an anchor showed the same accumulation in the liver as the control liposomes. The accumulation depends on the structure of the anchor part of the neoglycolipids. We synthesized the neoglycolipid, which contained three GalNAc residue branched with L-glutamyl-L-glutamic acid (clustered GalNAc derivative). The clustered GalNAc derivative showed higher affinity towards hepatocyte than unclustered GalNAc derivative in vitro. The liposomes modified with the clustered GalNAc derivative were disappeared faster from plasma and accumulated more in the liver than the control liposomes after i.v. injection to rats. Although the accumulation of clustered GalNAc derivative coated liposomes in the liver was the same extent as the accumulation of non clustered GalNAc derivative modified liposomes. So cluster effect was not observed in in vivo examination 153251-90-2P 153251-98-0P 153251-99-1P IT

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and evaluation of neoglycolipid for liposome modification)

RN153251-90-2 HCAPLUS

L-Glutamamide, N-[2-[2-[2-[2-(acetylamino)-2-deoxy- β -D-CN galactopyranosyl]oxy]ethoxy]ethoxy]ethyl]-N2-(1-oxohexadecyl)-L- α glutaminyl-N1, N5-bis[2-[2-[2-[[2-(acetylamino)-2-deoxy-β-Dgalactopyranosyl]oxy]ethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

RN 153251-98-0 HCAPLUS

CN Hexadecanamide, N-[2-[2-[2-[2-(acetylamino)-2-deoxy-β-D-galactopyranosyl]oxy]ethoxy]ethoxy]ethyl]- (9CI) (CA INDEX NAME)

RN 153251-99-1 HCAPLUS

CN Propanamide, N-[2-[2-[2-[2-(acetylamino)-2-deoxy-β-D-

galactopyranosyl]oxy]ethoxy]ethoxy]ethyl]-2,3-bis(hexadecyloxy)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{15}$$
 $(CH_2)_{15}$ $(CH_2)_{15}$

L26 ANSWER 97 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:313002 HCAPLUS

DOCUMENT NUMBER: 125:123421

TITLE: Synthetic glycolipids as membrane-bound

cryoprotectants in the freeze-drying process of

liposomes

AUTHOR(S): Bendas, Gerd; Wilhelm, Falk; Richter, Walter; Nuhn,

Peter

CORPORATE SOURCE: Department of Pharmacy, Institute of Pharmaceutical

Chemistry, Martin Luther University Halle, Weinbergweg

15, Halle, D-06120, Germany

SOURCE: European Journal of Pharmaceutical Sciences (1996),

4(4), 211-222

CODEN: EPSCED; ISSN: 0928-0987

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

AB A number of different synthetic alkylglycosides were incorporated into soybean phosphatidylcholine (SPC) liposomes and tested for their activity as membrane-bound cryoprotectants in the freeze-drying process of large unilamellar vesicles (LUV). These glycoside derivs. possess the same hydrophobic proportions but different headgroup sugars (galactose or cellobiose) and a number (0-3) of ethoxy spacer units between the chain and

headgroup as modifications in the hydrophilic moieties. Anal. of freeze dried liposomes were conducted by 6-carboxyfluorescein (6-CF) retention, fusion assay employed resonance energy transfer (RET), particle size distribution and electron micrographs. Cooperation of all glycolipids (GLs) with a phosholipid (PL) matrix in dehydrated and rehydrated state was demonstrated by calorimetric studies. All GLs were effective in preventing dehydration induced fusion of SPC-LUV related to their head group size, but fusion was unaffected from spacer induced sugar location on membrane surface. Considering 6-CF retention, it could be shown that GLs are not able to stabilize vesicles completely. Galactosides cause an increased 6-CF retention in the presence of free carbohydrates (glucose or sucrose) which could not be explained by a simple addition of cryoprotective effects of free and membrane bound sugars. According to the aggregation results, the protective role of membrane bound carbohydrates is discussed, focusing on their ability to form hydrogen bondings in vesicle bulk sugar area.

IT 103000-88-0 119659-45-9 148440-39-5 157792-45-5 157792-48-8

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)

(synthetic glycolipids as membrane-bound cryoprotectants in freeze-drying of liposomes)

RN 103000-88-0 HCAPLUS

CN β -D-Galactopyranoside, hexadecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 119659-45-9 HCAPLUS

CN β -D-Glucopyranoside, hexadecyl 4-O- β -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 148440-39-5 HCAPLUS

CN β -D-Galactopyranoside, 2-[2-[2-(hexadecyloxy)ethoxy]ethoxy]ethyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157792-45-5 HCAPLUS

CN β-D-Galactopyranoside, 2-(hexadecyloxy)ethyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 157792-48-8 HCAPLUS

CN β -D-Galactopyranoside, 2-[2-(hexadecyloxy)ethoxy]ethyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 98 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1996:239591 HCAPLUS

DOCUMENT NUMBER:

124:325248

TITLE:

Generation of nonionic monoalkyl amphiphilecholesterol vesicles: Evidence of membrane

impermeability to octyl glucoside

AUTHOR(S): Seras-Cansell, M.; Ollivon, M.; Lesieur, S.

CORPORATE SOURCE: Universite Paris-Sud, URA CNRS 1218, Chatenay-Malabry,

92296, Fr.

SOURCE: S.T.P. Pharma Sciences (1996), 6(1), 12-20

CODEN: STSSE5; ISSN: 1157-1489

PUBLISHER: Editions de Sante

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

In addition to the presentation of several new results, this article reviews AB previous studies concerning the solubilization and formation processes by the nonionic detergent, octyl glucoside, of nonionic surfactant vesicles based on diglycerol hexadecyl ether (C16G2), cholesterol and a small amount of dicetyl phosphate. Transformation of nonionic surfactant vesicles into micelles is performed by adding octyl glucoside solns. at controlled rates. In parallel, vesiculation is obtained by removing detergent from lipids-octyl glucoside mixed micelles through dilns. with detergent-free buffer carried out under different kinetic conditions. The latter procedure yields stable and mostly unilamellar nonionic surfactant vesicles, providing the buffer addition is fast. The final aggregate size is dependent on the overall kinetics of the process, i.e., the faster the dilution rate, the smaller the vesicles. The nonionic surfactant vesicle bilayer appears especially resistant to octyl glucoside since it requires more than six detergent mols. per lipid to dissolve completely (only three for the phosphatidylcholine bilayer). The best result is observed when the equimolar C16G2/cholesterol proportion is respected, and the incorporation of dicetyl phosphate as well. A significant hysteresis, originating from the impermeability of the nonionic surfactant vesicle membrane to octyl glucoside, is demonstrated between the nonionic surfactant vesicle micellization and the reverse pathway of vesicle reconstitution.

IT 29836-26-8, Octyl glucoside

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(membrane impermeability to octyl glucoside of nonionic monoalkyl amphiphile-cholesterol vesicles)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L26 ANSWER 99 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:229905 HCAPLUS

DOCUMENT NUMBER: 124:325152

TITLE: The effect of reconstitution medium on aggregation of

lyophilized recombinant interleukin-2 and ribonuclease

Α

AUTHOR(S): Zhang, Mei Z.; Pikal, Katherine; Nguyen, Thai;

Arakawa, Tsutomu; Prestrelski, Steven J.

CORPORATE SOURCE:

Dep. Protein Chemistry, Amgen Inc., Thousand Oaks, CA,

91320, USA

SOURCE:

Pharmaceutical Research (1996), 13(4), 643-6

CODEN: PHREEB; ISSN: 0724-8741

PUBLISHER:

Plenum Journal

English

DOCUMENT TYPE: LANGUAGE:

Lyophilized recombinant interleukin-2 (I) and RNase A (II) are used as AR model proteins for testing various additives in their ability to reduce aggregation upon lyophilization and reconstitution. Both I and II showed significant aggregation upon storage at 45°, when pure water was used for reconstitution. The extent of aggregation was greatly reduced by including various additives such as heparin or phosphates in the reconstitution medium. The results demonstrated that optimization of reconstitution medium is an alternative way to increase the recovery of the lyophilized proteins.

IT 29836-26-8

> RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (effect of reconstitution medium on aggregation of lyophilized recombinant interleukin-2 and RNase A)

29836-26-8 HCAPLUS RN

β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

Me
$$(CH_2)_7$$
 O R O R O R O R OH

HCAPLUS COPYRIGHT 2004 ACS on STN L26 ANSWER 100 OF 163

ACCESSION NUMBER:

1996:214243 HCAPLUS

DOCUMENT NUMBER:

124:298560

TITLE:

Preparation and lectin binding characteristics of

N-stearyl lactobionamide liposomes

AUTHOR (S):

Kim, Chong-Kook; Min, Kyoung-Hee; Oh, Yu-Kyoung; Park,

Kyung-Mi; Kim, Kyoung Mi

CORPORATE SOURCE:

College of Pharmacy, Seoul National University, San

56-1, Shinlim-Dong, Kwanak-Ku, Seoul, 151-742, S.

Korea

SOURCE:

International Journal of Pharmaceutics (1996),

128(1,2), 65-71

CODEN: IJPHDE; ISSN: 0378-5173

PUBLISHER:

Elsevier

DOCUMENT TYPE: Journal LANGUAGE: English

In order to target liposomes containing therapeutic contents to specific cells possessing galactose receptors, we synthesized the neoglycolipid, N-stearyl lactobionamide (N-SLBA), via the lactone form of lactobionic

acid. Liposomes containing 0, 7.6, 10 and 15 mol% of N-SLBA, resp., were used to study the impact of liposomal surface galactose d. on the lectin-binding characteristics. As a lectin, Ricinus communis agglutinin (RCA) was used. Aggregation of N-SLBA liposomes was promoted with higher concentration of RCA, indicating that the galactose moieties on N-SLBA liposomes

are accessible to lectin binding sites. RCA binding rates of liposomes increased with liposomal N-SLBA contents. No binding was observed between RCA and ungalactosylated control liposomes. The extent of lectin binding was also dependent on the liposomal galactose d. Rosenthal plots quant. revealed that the association constant (Ka) increased in proportion to N-SLBA contents of liposomes. These results suggest that the rate and extent of liposomal drug delivery to a target site with galactose receptors might be controlled by adjusting the N-SLBA contents of liposomes.

IT 90024-00-3P, D-Gluconamide, 4-O- β -D-galactopyranosyl-N-octadecyl-

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(preparation and lectin binding characteristics of N-stearyl lactobionamide liposomes)

RN 90024-00-3 HCAPLUS

CN D-Gluconamide, 4-O- β -D-galactopyranosyl-N-octadecyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 150 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:253940 HCAPLUS

DOCUMENT NUMBER: 114:253940

TITLE: Enhancing effect of cetyl mannoside on targeting of

liposomes to Kupffer cells in rats

AUTHOR(S): Yamashita, Chikamasa; Matsuo, Hirotami; Akiyama,

Kazue; Kiwada, Hiroshi

CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, 770,

Japan

SOURCE: International Journal of Pharmaceutics (1991), 70(3),

225-33

CODEN: IJPHDE; ISSN: 0378-5173

DOCUMENT TYPE: Journal LANGUAGE: English

To evaluate whether surface modification of liposomes by cetyl mannoside AB (Man) could be useful for targeting to Kupffer cells, the effect of Man on disposition of liposomes was examined after i.v. administration to rats. In the case of small unilamellar vesicles (SUV), no difference in disposition was observed between control liposomes (PC-SUV) and modified liposomes (Man-SUV). On the other hand, in the case of multilamellar vesicles (MLV), modified liposomes (Man-MLV) were rapidly eliminated from the circulation, and showed higher accumulation (51.4% of dose) in the liver as compared with control liposomes (PC-MLV, 25.7% of dose). In the spleen, splenic clearance of Man-MLV (0.068 mL/min) was comparable to that of PC MLV (0.068 mL/min), although Man-MLV might be due to the low blood concentration caused by the high accumulation in the liver. Liposomal size is important in revealing the effects of Man, and Man-MLV is able to enhance only the affinity for the liver. The cellular distribution in the liver of Man-MLV 2 h after i.v. administration to rats gave encouraging evidence that Kupffer cells might be involved in the enhanced hepatic uptake of the liposomes. These results suggest the usefulness of Man-MLV for targeting to Kupffer cells. Furthermore, the involvement of plasma protein(s) in the uptake of Man-MLV is suspected.

IT 96790-89-5

RL: BIOL (Biological study)

(liposomes targeting to Kupffer cells enhancement by)

RN 96790-89-5 HCAPLUS

CN D-Mannopyranoside, hexadecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 151 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:253923 HCAPLUS

DOCUMENT NUMBER: 114:253923

TITLE: Enhancing effect on monocytes survival of recombinant

human macrophage colony-stimulating factor

encapsulated in cetylmannoside-modified liposomes

AUTHOR(S): Yamashita, Chikamasa; Sone, Saburo; Ogura, Takeshi;

Kiwada, Hiroshi

CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, Japan

SOURCE: Drug Delivery System (1991), 6(1), 19-24

CODEN: DDSYEI; ISSN: 0913-5006

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

The present study was undertaken to examine the potential value of cetylmannoside-modified multilamellar liposomes (Man-MLV) as vehicles for carrying recombinant human macrophage colony-stimulating factor (M-CSF) to human blood monocytes. Man-MLV was taken effectively by monocytes as compared with liposomes without cetylmannoside (PC-MLV). Addition of D-mannose (50 mM) inhibited about 50% of uptake of Man-MLV by monocytes,

but not uptake of PC-MLV by monocytes. These results suggest that mannose residues of Man-MLV contribute to uptake of Man-MLV by monocytes. Blood monocytes that had been incubated for 7 days in medium with M-CSF encapsulated in Man-MLV were effective in prolongation of monocytes survival. This enhancing effect by M-CSF encapsulated in Man-MLV was about 5-10 times higher than that in PC-MLV, in spite of the uptake of Man-MLV by monocytes being only about 2-fold different from that of PC-MLV. These results suggest that Man-MLV may be effective carrier vehicle in in vivo delivery of M-CSF to human blood monocytes.

IT 96790-89-5

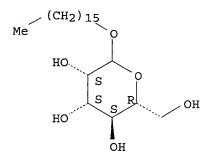
RL: BIOL (Biological study)

(multilamellar liposome modification by, for human macrophage colony-stimulating factor delivery to monocytes)

RN 96790-89-5 HCAPLUS

CN D-Mannopyranoside, hexadecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L26 ANSWER 152 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:234945 HCAPLUS

DOCUMENT NUMBER: 114:234945

TITLE: Percutaneous absorption of elcatonin and hypocalcemic

effect in rat

AUTHOR(S): Ogiso, Taro; Iwaki, Masahiro; Yoneda, Isako;

Horinouchi, Mina; Yamashita, Katsuaki

CORPORATE SOURCE: Fac. Pharm. Sci., Kinki Univ., Higashi-Osaka, 577,

Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(2),

449-53

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

AB The percutaneous absorption of elcatonin (EC), a hypocalcemic peptide, was investigated. A transdermal dosage form of EC was produced by using a gel base, absorption enhancer and protease inhibitor, and applied to rats for 24 h. The combination of bile salt such as taurocholate and glycocholate, and n-octyl B-D-glucoside or n-octyl β-D-thioglucoside ()TG) exerted the potent enhancing effect on the absorption of EC, and a potent hypocalcemic effect was shown for 24 h or longer. The least level of plasma Ca was obtained ≥ 6 h after application, suggesting the relatively rapid absorption of EC. The apparent bioavailability of EC in system 5 was 4.6%, this value being noteworthy in the percutaneous absorption of peptides. When the enhancing effect of taurocholate and OTG was sep. measured, both agents acted additively on the absorption of EC. An EC ointment maintained the hypocalcemin effect after storage for 15 days at 40°. The transdermal dosage form has the potential to be

an efficient drug delivery system for Paget's disease and osteoporosis.

29836-26-8, Octyl β-D-glucoside 85618-21-9 TΤ

RL: BIOL (Biological study)

(elcatonin skin absorption from ointments and hypocalcemic effect in relation to, as penetration enhancer)

29836-26-8 HCAPLUS RN

β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

85618-21-9 HCAPLUS RN

 β -D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

Me
$$(CH_2)_7$$
 R
 R
 OH

L26 ANSWER 153 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1991:138356 HCAPLUS

DOCUMENT NUMBER:

114:138356

TITLE:

Action of octyl glucoside on nonionic monoalkyl amphiphile-cholesterol vesicles: study of the

solubilization mechanism

AUTHOR(S):

Lesieur, Sylviane; Grabielle-Madelmont, Cecile; Paternostre, Marie Therese; Moreau, Jacques Marie;

Handjani-Vila, Rose Marie; Ollivon, Michel

CORPORATE SOURCE:

Equipe Physicochim. Syst. Polyphases, Univ. Paris Sud,

Chatenay-Malabry, 92296, Fr.

SOURCE:

Chemistry and Physics of Lipids (1990), 56(2-3),

109-21 CODEN: CPLIA4; ISSN: 0009-3084

DOCUMENT TYPE:

Journal

English LANGUAGE:

Nonionic surfactant vesicles (NSV) were prepared at room temperature, from a

of diglycerol hexadecyl ether (C16G2) and cholesterol (CHOL) with a small

amount of dicetyl phosphate (DCP) (47.5, 47.5, 5 weight %) by either sonication or detergent dialysis of octyl glucoside (OG)-lipids mixed micelles. NSV were characterized by quasielastic light scattering (QLS) and HPLC on gel exclusion column. Resp. mean diams. of 72 nm for sonicated NSV and of 287 and 322 nm for NSV prepared by detergent dialysis were found. The continuous dissoln. of both small vesicles (SV) and large ones (LV) by a 100- or 400-mM OG micellar solution was systematically examined by monitoring turbidity at 350 nm. The mol. composition of aggregates [OG/lip]agg as well as the OG concentration in the continuous phase [OG]bulk were determined at break

points

observed on the solubilization curves. Initial vesicles and mixed aggregates at each break point were also characterized by plots of optical d. (OD) vs. total lipid concentration [lip]tot. The solubilization curves of SV and LV exhibit different shapes until [OG] bulk reaches about the critical micellar concentration (cmc) of pure OG; thereafter one single dissoln. process occurs involving the same intermediate aggregates for SV and LV. At any stage of the solubilization, [OG/lip]agg and [OG]bulk remained independent of the size of the corresponding aggregates, suggesting that NSV solubilization is governed by mol. processes. By comparison with the OG-egg phosphatidylcholine (EPC) system previously studied, it was found that the mechanism of NSV dissoln. by OG is very similar to that of EPC small unilamellar vesicles (EPC SUV) solubilization by the same detergent. However, it has been shown that (i) NSV are impermeable to OG until [OG] tot = 13 mM, (ii) their transformation into mixed micelles is kinetically dependent on the lateral diffusion of the detergent mols. in lipid bilayers. The rather efficient barrier of the NSV membrane to OG suggests a strong cohesion of C16G2 and CHOL.

IT 29836-26-8, Octyl glucoside RL: BIOL (Biological study)

(solubilization by, of liposomes)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L26 ANSWER 154 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:429158 HCAPLUS

DOCUMENT NUMBER: 113:29158

TITLE: 1-0-Palmityl-D-glucuronate endows liposomes with long

half-life in vivo

AUTHOR(S): Namba, Yukihiro; Sakakibara, Toshiyuki; Masada, Mikio;

Ito, Fumiaki; Oku, Naoto

CORPORATE SOURCE: Res. Lab., Nippon Fine Chem. Co. Ltd., Hyogo, 676,

Japan

SOURCE: Chemistry Letters (1989), (12), 2145-8

CODEN: CMLTAG; ISSN: 0366-7022

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 113:29158

AB More liposomes containing 1-O-palmityl-D-glucuronic acid (PGA), a synthetic glycolipid, bound to macrophages than did those containing phosphatidylglycerol in vitro; however PGA-liposomes circulated longer in vivo. PGA-liposomes did not aggregate in the presence of serum, but liposomes containing 1-O-palmityl-D-glucose or myristic acid aggregated rapidly, suggesting that both the carbohydrate and carboxyl group of PGA are important for preventing liposomal aggregation in serum. This low agglutinative character may be one of the factors for long circulation of PGA-liposomes in vivo.

IT 54549-27-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and liposome formation from)

RN 54549-27-8 HCAPLUS

CN D-Glucopyranoside, hexadecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 155 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:125016 HCAPLUS

DOCUMENT NUMBER: 112:125016

TITLE: Thrombolysis using liposomal-encapsulated

streptokinase: an in vitro study

AUTHOR(S): Nguyen, P. D.; O'Rear, E. A.; Johnson, A. E.; Lu, R.;

Fung, B. M.

CORPORATE SOURCE: Inst. Appl. Surfactant Res., Univ. Oklahoma, Norman,

OK, 73019, USA

SOURCE: Proceedings of the Society for Experimental Biology

and Medicine (1989), 192(3), 261-9

CODEN: PSEBAA; ISSN: 0037-9727

DOCUMENT TYPE: Journal LANGUAGE: English

The clot-lysing ability of streptokinase (SK) was examined using membrane-bound thrombi. Encapsulation of SK in large unilamellar phospholipid vesicles (liposomes) resulted in entrapping approx. 30% of its original activity. Measurements of streptokinase activity for liposomal-encapsulated streptokinase (LESK) indicated little loss of activity or leakage in Tris/buffered saline over a 24-h period at temps. of 4 and 23°. However, incubation of free SK and LESK in platelet-poor plasma (PPP) at 37° resulted in a decrease of SK activity. The retention SK activity in LESK was considerably higher than that of unentrapped SK. Clot-dissolving time (CDT) was measured by monitoring the pressure drop during slow filtration in plasma through membrane-bound thrombi. Both LESK and free SK were able to activate the

fibrinolytic system. Without prior incubation in PPP at 37°, the CDT of a SK and PPP mixture (SK/PPP) was 10.7 min, while that of a LESK and PPP mixture (LESK/PPP) was 12.4 min. The CDT-detected clot-lysing abilities of both SK and LESK were decreased by incubation in PPP, but to different extents. After 15- and 30-min incubations, the CDT of SK/PPP increased significantly to 15.5 and 24.1 min, resp. In contrast, the CDT of LESK/PPP increased to 13.3 min after 15 min of incubation and to 16.0 min after a 30-min incubation. Thus, entrapment of SK in liposomes preserves the thrombolytic potential of the plasminogen activator by limiting its exposure to the components of the plasma.

IT 29836-26-8, Octyl glucoside RL: BIOL (Biological study)

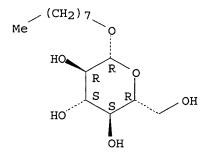
(liposomes containing, streptokinase encapsulated by, preparation and stability

and thrombolytic activity of)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L26 ANSWER 156 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:502644 HCAPLUS

DOCUMENT NUMBER: 111:102644

TITLE: Role of cholesterol in the stability of pH-sensitive,

large unilamellar liposomes prepared by the

detergent-dialysis method

AUTHOR(S): Liu, Dexi; Huang, Leaf

CORPORATE SOURCE: Dep. Biochem., Univ. Tennessee, Knoxville, TN,

37996-0840, USA

SOURCE: Biochimica et Biophysica Acta (1989), 981(2), 254-60

CODEN: BBACAQ; ISSN: 0006-3002

DOCUMENT TYPE: Journal LANGUAGE: English

AB Large unilamellar liposomes prepared by an octyl glucoside-dialysis method were examined for stability at 37° in the presence or absence of human plasma, using the release of the entrapped calcein as a fluorescence marker. The liposomes were acid-sensitive as they were composed of dioleoylphosphatidylethanolamine, oleic acid and cholesterol. The stability of the liposomes in the absence of plasma was significantly enhanced with increasing cholesterol content. However, the maximal calcein release at pH 5 decreased linearly with increasing cholesterol content of the liposome, indicating that cholesterol had reduced the acid-sensitivity of the liposomes. In the presence of human plasma, calcein release exhibited a biphasic behavior with a fast (plasma-sensitive) and a slow (plasma-resistant) components. Inclusion of cholesterol in the liposomes resulted in an increased proportion of the

plasm-release component. Liposomes pretreated with human plasma, after removal of excess plasma and the released calcein by gel-filtration, showed a remarkable stability both in the presence and absence of human plasma. The acid-sensitivity of the plasma-treated liposomes with 40% cholesterol was the same as that of the untreated liposomes. These results are discussed in terms of the mechanism by which these liposomes deliver their contents to the cytoplasm of the cells via the endocytic pathway, a known biol. activity of the type of liposome described in the study.

IT 29836-26-8, Octyl glucoside RL: BIOL (Biological study)

(unilamellar liposomes containing, stability of, cholesterol effect on)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L26 ANSWER 157 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:147795 HCAPLUS

DOCUMENT NUMBER: 110:147795

TITLE: Microencapsulated monosialoganglioside GM1: physical

properties and in vivo effects

AUTHOR(S): Maysinger, Dusica; Jalsenjak, Vesna; Stolnik,

Snjezana; Garofalo, L.; Cuello, A. C.; Jalsenjak, I. Dep. Pharmacol. Ther., McGill Univ., Montreal, QC,

Car

SOURCE: Journal of Microencapsulation (1989), 6(1), 35-42

CODEN: JOMIEF; ISSN: 0265-2048

DOCUMENT TYPE: Journal LANGUAGE: English

AB The prevention of the decrease of choline acetyltransferase (ChAT) activity was achieved by applying GM1 in rats as an animal model for studying retrograde degeneration of cholinergic neurons. Devascularizing lesions of the rat cortex decreased ChAT activity in the nucleus basalis magnocellularis (NBM), but this decrease was effectively prevented by GM1 administered either centrally or locally in a microencapsulated form. Compared with the relatively large dose of GM1 which has to be given i.p., microencapsulated GM1 applied locally and directly over the lesioned cortical surface seems to be effective in much lower doses.

IT 116950-37-9

CORPORATE SOURCE:

RL: BIOL (Biological study)

(cholinergic neuron degeneration in brain response to microencapsulated)

RN 116950-37-9 HCAPLUS

CN Octadecanamide, N-[(1S,2R,3E)-1-[[[O-(N-acetyl- α -neuraminosyl)-(2 \rightarrow 3)-O-[O- β -D-galactopyranosyl-(1 \rightarrow 3)-2-(acetylamino)-2-

deoxy- β -D-galactopyranosyl-(1 \rightarrow 4)]-O- β -D-galactopyranosyl-(1 \rightarrow 4)- β -D-glucopyranosyl]oxy]methyl]-2-hydroxy-3-heptadecenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

PAGE 1-B

L26 ANSWER 158 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:141467 HCAPLUS

DOCUMENT NUMBER: 110:141467

TITLE: Transformation from mixed micelles to vesicles
AUTHOR(S): Ueno, Masaharu; Tanaka, Norihisa; Horikoshi, Isamu
CORPORATE SOURCE: Dep. Hosp. Pharm., Toyama Med. Pharm. Univ., Toyama,

930-01, Japan

SOURCE: Journal of Membrane Science (1989), 41, 269-79

CODEN: JMESDO; ISSN: 0376-7388

DOCUMENT TYPE: Journal LANGUAGE: English

AB Phospholipid vesicles were prepared by detergent removal technique using hydrophobic porous beads, Amberlite XAD-2, or dialysis of phospholipid-detergent mixed micelles. The liposomes formed were predominantly unilamellar vesicles; no multilamellar liposomes could be detected within the accuracy of the methods used. Changes in the form of phospholipid-detergent mixture aggregates with decreasing detergent content were followed by quasi elastic light scattering, gel-exclusion chromatog. on Sephacryl S-1000, electron microscopy, and turbidity measurements of the suspension. On the basis of these data, a possible model of the mechanism of transformation of mixed micelles to vesicles was proposed. The model is characterized by a chain-like aggregation followed by a hexagonal arrangement of mixed micelles entering an intermediate state before vesicle formation. The vesicle size and its distribution are dominated by the time factor n passing the transition state.

IT 29836-26-8

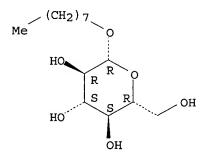
RL: BIOL (Biological study)

(mixed micelles containing phospholipid and, transformation to liposomes of, preparation method effect on)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L26 ANSWER 159 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:596972 HCAPLUS

DOCUMENT NUMBER: 109:196972

TITLE: Preparation and characterization of liposomes with

incorporated Neisseria gonorrhoeae protein IB and

amphiphilic adjuvants

AUTHOR(S): Van Dalen, Frans; Kersten, Gideon; Teerlink, Tom;

Beuvery, E. Coen; Crommelin, Daan J. A.

CORPORATE SOURCE: Dep. Pharm., Univ. Utrecht, Utrecht, 3522 AD, Neth.

SOURCE: Journal of Controlled Release (1988), 7(2), 123-32

CODEN: JCREEC; ISSN: 0168-3659

DOCUMENT TYPE: Journal LANGUAGE: English

Liposomes were prepared according to a 3-step procedure. Octyl glucoside, lipid and optionally protein (outer membrane protein IB from N. gonorrhoeae), lipid A or dimethyldioctadecylammonium bromide (DDA) containing mixed micelle dispersions were diluted, then dialyzed and finally filtered. The liposome prepns. were characterized for their particle size (both freshly prepared and after storage) and the contents of the different constituents. Data on the orientation of protein IB in the bilayer were collected. Stable, well-defined liposomes could be obtained with egg phosphatidylcholine/cholesterol bilayers containing optionally DDA or lipid A with or without protein IB. For dipalmitoylphosphatidylcholine/cholestero l combinations a charge-inducing agent [DDA or dipalmitoylphosphatidylglycerol (DPPG)] was required to stabilize the liposomes which further contained (optionally) lipid A (only with dipalmitoylphosphatidylcholine/cholesterol/DPPG) with or without protein IB. In general, the uptake of all constituents into the bilayer was almost quant. Enzymic degradation expts. showed that protein IB had the same orientation and surface exposure as in the bacteria outer membrane.

IT 29836-26-8, n-Octyl- β -D-glucopyranoside 58846-77-8,

 ${\tt Decyl} \ \beta\hbox{-}{\tt D-glucopyranoside}$

RL: BIOL (Biological study)

(liposomes containing, for incorporation of Neisseria gonorrhoeae protein IB)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 58846-77-8 HCAPLUS

CN β -D-Glucopyranoside, decyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 160 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1988:576179 HCAPLUS

DOCUMENT NUMBER:

109:176179

TITLE:

Preparation and properties of large octyl glucoside

dialysis/adsorption liposomes

AUTHOR (S):

Schwarz, D.; Zirwer, D.; Gast, K.; Meyer, H. W.;

Lachmann, U.

CORPORATE SOURCE:

Cent. Inst. Mol. Biol., Acad. Sci., Berlin, GDR 1115,

Ger. Dem. Rep.

SOURCE:

Biomedica Biochimica Acta (1988), 47(7), 609-21

CODEN: BBIADT; ISSN: 0232-766X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

The suitability and capacity of the polystyrene resin Wofatit EP 60 for AB the adsorption of octyl glucoside, Triton X-100, cholate, and CHAPS was studied. Optimal detergent/bead ratios and the maximum capacity of Wofait EP 60 for the 4 detergents were determined as prerequisites for optimal application of the beads in liposome prepns. Large unilamellar liposomes can be prepared easily and quickly by using a combined dialysis/adsorption method with octyl glucoside as detergent and Wofait EP 60 as adsorbing polystyrene beads. Structure, composition, size, homogeneity, lamellarity, stability, internal volume, and residual octyl glucoside concentration were studied

by gel chromatog., radioactive assay, dynamic light scattering and electron microscopy. Vesicle size and homogeneity depend on lipid concentration,

lipid composition, cholesterol content, and the rate of octyl glucoside removal, but not on the detergent/lipid ratio. The reliability of the method and the properties of the vesicles are compared with those of other methods and researchers.

29836-26-8, Octyl-N-β-D-glucopyranoside TТ

RL: BIOL (Biological study)

(polystyrene dialysis and adsorption of, in liposomes preparation)

RN29836-26-8 HCAPLUS

β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

L26 ANSWER 161 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1988:479599 HCAPLUS

DOCUMENT NUMBER:

109:79599

TITLE:

Application of synthetic alkyl glycoside vesicles as drug carriers. III. Plasma components affecting

stability of the vesicles

AUTHOR(S):

Kiwada, Hiroshi; Nakajima, Iwao; Matsuura, Hiroshi;

Tsuji, Mitsuko; Kato, Yuriko

CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokushima, Tokushima, 770,

Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1988), 36(5),

1841-6

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal LANGUAGE: English

AB Long-chain alkyl glycosides form liposome-like vesicles. However, they are unstable in plasma and thus are unsuitable as drug carriers. The mechanisms causing the instability of palmitoyl glucoside vesicles (Glu-liposomes) in plasma were investigated. They rapidly released .apprx.70% of their aqueous content at the start of incubation with fresh rat plasma at 37°. On the other hand, phosphatidylcholine liposomes (PC-liposomes) released .apprx.30% of their content, though the release pattern was very similar. Two components were suspected to be involved in destabilizing the Glu-liposomes in plasma from a plasma dilution experiment,

and

their effects seemed to depend on the type or size of the vesicles. The activity disappeared on pre-heating of the plasma at 56° for 30 min in the case of PC-liposomes, but not Glu-liposomes, and .apprx.35% of the contents of the latter was still released on incubation even with pre-heated plasma. This result indicates that the activity destabilizing glycoside vesicles in plasma was composed of 2 factors, one heat-stable and the other heat-labile. The heat-stable one was consumed by incubation with empty glycoside vesicles, regardless of the sugar moiety or size of vesicles, but not by PC-liposomes. Therefore, the heat-stable factor seemed to be specific to vesicles covered with sugar moieties. By fractionation of plasma protein by the salting-out technique, the activity was found in the albumin fraction.

IT 39848-71-0, Palmitoyl glucoside

RL: BIOL (Biological study)

(multilamellar liposomes containing, preparation and blood plasma stability

of,

as drug carrier)

RN 39848-71-0 HCAPLUS

CN β-D-Glucopyranose, 1-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L26 ANSWER 162 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:411637 HCAPLUS

DOCUMENT NUMBER: 109:11637

TITLE: Effects of membrane fluidity on liver uptake of

liver-targeted liposomes

AUTHOR (S):

Yoshioka, Shiro; Banno, Yoshiko; Mizukami, Yuzo;

Nozawa, Yoshinori

CORPORATE SOURCE:

Sch. Med., Gifu Univ., Gifu, 500, Japan

SOURCE:

Yakuzaigaku (1987), 47(4), 211-16 CODEN: YAKUA2; ISSN: 0372-7629

DOCUMENT TYPE:

Journal

LANGUAGE:

Japanese

Attachment of N-lignoceroyldihydrolactocerebroside (LacCer) on small unilamellar vesicles consisting of phosphatidylcholine, cholesterol and dicetylphosphate (molar ratio, 7:2:1) enhanced the hepatic uptake. Liposomes containing <5 mol% LacCer did not cause agglutination by Abrus lectin. The initial rate of the lectin-induced agglutination was dependent on the membrane fluidity; the fluid liposomes were less marked in the applutination than the rigid liposomes. The enhancing effects of LacCer on the uptake of liposomes into the liver or into isolated parenchymal cells were greater in dipalmitoylphosphatidylcholine- and dimyristoylphosphatidylcholine-liposomes, whereas incorporation of LacCer had no significant effect on both in vitro and in vivo uptake of egg phosphatidylcholine-liposomes. These observations suggest that uptake of the targeted liposomes via galactose-specific receptors into parenchymal cells may be controlled by the membrane fluidity of the liposomes.

114926-95-3 TT

RL: BIOL (Biological study)

(liposomes containing, enhanced liver uptake of, membrane fluidity in relation to)

114926-95-3 HCAPLUS RN

Tetracosanamide, N-[1-[[(4-0- β -D-galactopyranosyl- β -Dqlucopyranosyl)oxy]methyl]-2-hydroxyheptadecyl]-, (R*,S*)- (9CI) (CA INDEX NAME)

L26 ANSWER 163 OF 163 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1988:118792 HCAPLUS

DOCUMENT NUMBER:

108:118792

TITLE:

Induction of murine macrophage tumoricidal activity and treatment of experimental pulmonary metastases by liposomes containing lipophilic muramyl dipeptide

analogs

AUTHOR (S):

Phillips, N. C.; Chedid, L.; Bernard, J. M.; Level,

M.; Lefrancier, P.

CORPORATE SOURCE:

Res. Inst., Montreal Gen. Hosp., Montreal, QC, H3G

1A4, Can.

SOURCE:

Journal of Biological Response Modifiers (1987), 6(6),

678-91

CODEN: JBRMDS; ISSN: 0732-6580

DOCUMENT TYPE: Journal LANGUAGE: English

The ability of 3 members of a new class of lipophilic muramyl dipeptide ΔR derivative to induce murine macrophage tumoricidal activity after liposomal incorporation was investigated. Liposomes containing the glycerol dipalmitate (GDP) derivs. of N-acetylmuramyl-L-alanyl-D-isoglutamine, N-acetylmuramyl-L-alanyl-D-glutamine-n-Bu ester, and N-acetylmuramyl-Dalanyl-D-isoglutamine were 5000, 2000, and >10,000-fold more potent, resp., than the free muramyl dipeptides in inducing peritoneal macrophage tumoricidal activity in vitro. In situ activation of peritoneal macrophage tumoricidal activity showed that liposomal muramyl dipeptide-GDP derivs. were more potent than free hydrosol. or sonicated muramyl dipeptide-GDP prepns. Liposomes containing muramyl dipeptide-GDP derivs. were therapeutically active against exptl. induced pulmonary B16 melanoma tumors in C57BL/6 mice. Thus, when incorporated within liposomes this class of lipophilic muramyl dipeptide derivative is a potent inducer of macrophage tumoricidal activity both in vitro and in situ, and possesses antitumor activity in therapeutic treatment protocols.

IT 113202-46-3

RL: BIOL (Biological study)

(liposomes containing, macrophage tumoricidal activity and melanoma inhibition by)

RN 113202-46-3 HCAPLUS

CN D-Glutamine, N2-[N-[N-acetyl-1-O-[2,3-bis[(1-oxohexadecyl)oxy]propyl]muram oyl]-L-alanyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

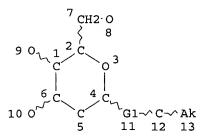
PAGE 1-B

COLESON AND THE PERSONAL SILLS

Patent Hits (Sample

Krishnan 10/676,436

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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 13

STEREO ATTRIBUTES: NONE

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L25 ANSWER 1 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:756862 HCAPLUS

TITLE:

Dendritic cells presenting α -glycosylceramide derivative for suppressing antigen-specific and T

cell-dependent immune response

INVENTOR (S):

Serizawa, Isao; Yamaguchi, Yasunori; Ehara, Hiromi

Kirin Beer Kabushiki Kaisha, Japan

SOURCE:

PCT Int. Appl., 62 pp. CODEN: PIXXD2

DOCUMENT TYPE:

PATENT ASSIGNEE(S):

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. ______ _____ -----WO 2004078957 20040916 **A**1 WO 2004-JP2621 20040303 W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,

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             BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
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             GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                            JP 2003~56109
                                                                 A 20030303
PRIORITY APPLN. INFO.:
    A dendritic cell and a cell mixture capable of suppressing an
    antigen-specific T cell-dependent immune response. The above-described
    dendritic cell, which can suppress an antigen-specific T cell-dependent
     immune response, presents an antigen fragment of the above antigen
    presented against the T cell in the immune reaction and an
    \alpha-glycosylceramide derivative The above-described cell mixture, which can
     suppress an antigen-specific T cell-dependent immune response, contains a
    dendritic cell at least presenting an antigen fragment of the above
    antigen presented against the T cell in the immune reaction and another
    dendritic cell at least presenting an \alpha-glycosylceramide derivative
IT
    148289-17-2, AGL 517 158021-47-7, KRN 7000
    160398-32-3, AGL 563 161577-38-4, AGL 584
    161577-47-5, STL-8 161660-23-7, AGL 586
     173294-31-0, S 1140B-9 200420-17-3
    RL: BSU (Biological study, unclassified); DGN (Diagnostic use); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (dendritic cells presenting \alpha-glycosylceramide derivative for
        suppressing antigen-specific and T cell-dependent immune response)
     148289-17-2 HCAPLUS
RN
    Tetradecanamide, N-[(1S,2R)-1-[(\alpha-D-galactopyranosyloxy)methyl]-2-
CN
    hydroxyheptadecyl] - (9CI) (CA INDEX NAME)
```

Absolute stereochemistry. Rotation (+).

Me
$$(CH_2)_{12}$$
 NH $(CH_2)_{14}$ R S R OH OH

RN 158021-47-7 HCAPLUS

CN Hexacosanamide, N-[(1S,2S,3R)-1-[(α -D-galactopyranosyloxy)methyl]-2,3-dihydroxyheptadecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Me
$$(CH_2)_{13}$$
 OH R S S OH OH OH OH OH

RN 160398-32-3 HCAPLUS

CN Tetradecanamide, N-[(1S,2R)-1-[(α -D-glucopyranosyloxy)methyl]-2-hydroxyheptadecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{12}$$
 NH $(CH_2)_{14}$ R S S R O OH OH OH

RN 161577-38-4 HCAPLUS

CN Hexacosanamide, N-[(1S,2S,3R)-1-[[(6-O- α -D-galactopyranosyl- α -D-glucopyranosyl)oxy]methyl]-2,3-dihydroxyheptadecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 161577-47-5 HCAPLUS

CN Hexacosanamide, N-[(1S,2S,3R)-1-[[[0-2-(acetylamino)-2-deoxy- α -D-galactopyranosyl-(1 \rightarrow 3)-0-[α -D-glucopyranosyl-(1 \rightarrow 2)]- α -D-galactopyranosyl]oxy]methyl]-2,3-dihydroxyheptadecyl]-2-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 161660-23-7 HCAPLUS

CN Hexacosanamide, N-[(1S,2S,3R)-1-[[(6-O- α -D-galactopyranosyl- α -D-galactopyranosyl)oxy]methyl]-2,3-dihydroxyheptadecyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Me (CH₂)
$$\frac{13}{13}$$
 OH (CH₂) $\frac{13}{24}$ Me HO R R R R OH OH OH

RN 173294-31-0 HCAPLUS

CN Tetracosanamide, N-[(1S,2S,3R)-1-[[(2-O- α -D-galactopyranosyl- α -D-galactopyranosyl)oxy]methyl]-2,3-dihydroxyheptadecyl]-2-hydroxy-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{21}$$
 OH $(CH_2)_{13}$ OH $(CH_2)_{13}$ OH $(CH_2)_{13}$ OH $(CH_2)_{13}$ OH

200420-17-3 HCAPLUS RN

Tetracosanamide, N-[(1S,2S,3R)-1-[[(3-O- β -D-galactopyranosyl- α -CN D-galactopyranosyl)oxy]methyl]-2,3-dihydroxyheptadecyl]-2-hydroxy-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{21}$$
 NH OH OH OH OH OH OH OH OH OH OH

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 2 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

4

ACCESSION NUMBER:

2004:754424 HCAPLUS

TITLE:

Nanoparticulate topiramate formulations

INVENTOR(S):

Gustow, Evan; Ryde, Tuula; Cooper, Eugene R.

PATENT ASSIGNEE(S):

Elan Pharma International, Ltd., Ire.

SOURCE:

PCT Int. Appl., 74 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

PATENT INFORMATION:

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078162	A1	20040916	WO 2004-US2548	20040130

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W: AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,
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             GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                              US 2003-444377P
                                                                   Ρ
                                                                      20030131
                                              US 2003-477789P
                                                                      20030612
                                                                   Ρ
                                              US 2003-511318P
                                                                   Ρ
                                                                      20031016
     The present invention is directed to nanoparticulate compns. comprising
ΑB
     topiramate. The topiramate particles of the composition have an effective
average
     particle size of less than about 2 \mu.
IT
     29836-26-8, n-Octyl-β-D-glucopyranoside 58846-77-8,
     n-Decyl \beta D-glucopyranoside ~ 59122-55-3, n-Dodecyl \beta D-glucopyranoside 69227-93-6, n-Dodecyl \beta D-maltoside
     69984-73-2, n-Nonyl β D-glucopyranoside 82494-09-5,
     n-Decyl β D-maltopyranoside 85618-21-9
     RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (nanoparticulate topiramate formulations)
     29836-26-8 HCAPLUS
RN
     \beta-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)
CN
```

Absolute stereochemistry. Rotation (-).

RN 58846-77-8 HCAPLUS CN $\beta\text{-D-Glucopyranoside, decyl (9CI)}$ (CA INDEX NAME)

Absolute stereochemistry.

RN 59122-55-3 HCAPLUS

CN β -D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 69984-73-2 HCAPLUS

CN β-D-Glucopyranoside, nonyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 82494-09-5 HCAPLUS

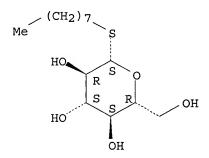
CN β -D-Glucopyranoside, decyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85618-21-9 HCAPLUS

CN β-D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:739956 HCAPLUS

TITLE: Methods and transducing-enhancing reagents for the

enhancement of virus transduction in the bladder epithelium, and use with an oncolytic virus for

bladder cancer treatment

INVENTOR(S): Ramesh, Nagarajan; Frey, David; Memarzadeh, Bahram;

Yu, DeChao

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 92 pp., Cont.-in-part of U.S.

Ser. No. 327,869.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004176318	A1	20040909	US 2003-743813	20031224
US 2004131590	A1	20040708	US 2002-327869	20021226
PRIORITY APPLN. INFO.:			US 2002-327869	A2 20021226
AB Agents and methods	for enh	ancing reco	mbinant virus transd	uction in the
			first method involve	
luminal surface of	the bla	dder with a	. composition compris	ing a transduction
enhancing agent (e	.q.a lip	ophilic sac	charide) and an onco	lytic virus.

Alternatively, the luminal surface of the bladder can be contacted first with a pretreatment composition comprising a transduction enhancing agent and, subsequently, with a composition comprising an oncolytic virus. Bladder treatment compns. comprising a transduction enhancing agent and an oncolytic virus are also described. The methodol. of the invention is useful for the treatment of bladder cancer.

IT 18449-82-6 59122-55-3, n-Dodecyl- β -D-glucopyranoside 69227-93-6, n-Dodecyl- β -D-maltoside 82494-08-4 82494-09-5, Decyl- β -D-maltoside 93911-12-7

RL: PAC (Pharmacological activity); BIOL (Biological study) (agents for enhancing virus transduction in bladder epithelium, and use with oncolytic virus for bladder cancer treatment)

RN 18449-82-6 HCAPLUS CN β -D-Glucopyranoside, tetradecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 59122-55-3 HCAPLUS CN β -D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 69227-93-6 HCAPLUS CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 82494-08-4 HCAPLUS CN β -D-Glucopyranoside, octyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 82494-09-5 HCAPLUS CN β -D-Glucopyranoside, decyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 93911-12-7 HCAPLUS CN β -D-Glucopyranoside, tridecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 69227-93-6D, homologs

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(agents for enhancing virus transduction in bladder epithelium, and use with oncolytic virus for bladder cancer treatment)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 4 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:700245 HCAPLUS

DOCUMENT NUMBER: 141:200177

TITLE: Compositions comprising fetal hemoglobin and bacterial

endotoxin and optionally additional fetal liver components for stimulating the immune system

INVENTOR(S): Westphal, Otto; Hartmann, Alfred; Mueller, Silke;

Waelli, Thierry; Mach, Jean-Pierre; Bessler, Wolfgang;

Eschke, Ulrich; Verdini, Antonio; Hofmann, Petra;

Zaehringer, Ulrich; Alexander, Christian; Ulmer, Artur

J.; Gorczynski, Reginald

PATENT ASSIGNEE(S): Clinique La Prairie Research Sa, Luxembourg

SOURCE: Eur. Pat. Appl., 118 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
EP 2003-3687
                                                                  20030218
                         A1
                                20040825
     EP 1449535
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                        A2 20040902 WO 2004-EP1553
     WO 2004073728
                                                                 20040218
            AE, AE, AG, AL, AL, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,
             BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,
             CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
             ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
             IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
             LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
             MZ, MZ, NA, NI
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
             BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
             MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
             GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN,
             GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                           EP 2003-3687
                                                               A 20030218
     The present invention relates to a composition comprising bacterial endotoxin,
     fetal Hb and, optionally, addnl. components such as addnl. fetal liver
     components and a pharmaceutically acceptable carrier and/or diluent. In
     accordance with the present invention it was surprisingly found that
     bacterial endotoxin and fetal Hb display a pronounced synergistic
     biomedical activity. The composition of the invention finds a variety of
     applications including the stimulation of the immune system, the
     prevention and/or treatment of cancer, infections such as viral infections
     and/or allergic conditions and the reversion of age-related immune
     imbalances.
     95991-01-8
IT
     RL: BSU (Biological study, unclassified); PRP (Properties); BIOL
     (Biological study)
        (fetal Hb and bacterial endotoxin combination and optionally addnl.
        fetal liver components for stimulating immune system)
RN
     95991-01-8 HCAPLUS
     D-Glucose, 2-deoxy-6-0-[2-deoxy-2-[[(3R)-1-oxo-3-[(1-
CN
     oxododecyl)oxy]tetradecyl]amino]-3-0-[(3R)-1-oxo-3-[(1-
```

PAGE 1-A

(9CI) (CA INDEX NAME)

oxotetradecyl)oxy]tetradecyl]-4-O-phosphono- β -D-glucopyranosyl]-2-

[[(3R)-3-hydroxy-1-oxotetradecyl]amino]-, 3-[(3R)-3-hydroxytetradecanoate]

PAGE 1-B

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- (CH<sub>2</sub>)<sub>10</sub>- Me
--- (CH<sub>2</sub>)<sub>12</sub>-Me
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L25 ANSWER 5 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:681556 HCAPLUS

DOCUMENT NUMBER:

141:212749

TITLE:

Novel fluticasone formulations comprising a surface

stabilizer

INVENTOR(S):

Hovey, Douglas; Ryde, Tuula; Bosch, H. William

Elan Pharma International Ltd., Ire.

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 63 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.			KIN	KIND DATE			APPLICATION NO.					DATE					
				71	20040010				WO 2004-US2980					20040203				
	WO																	
		W:	AE,	AE,	AG,	AL,	AL,	AM,	Al ^M ,	AIM,	AI,	AI,	AU,	CNI	CO,	CO,	CP,	CP
			BG,	BK,	BR,	BW,	BI,	BY,	.B4,	DΣ,	DM	Cn,	EC,	EC,	EE,	EE,	EG,	EC,
			CU,	CU,	CZ,	CZ,	DE,	DE,	DK,	DK,	DM,	υΔ,	EC,	EC,	EE,	EE,	EG,	IN
								GE,										
								KG,										
			-				LT,	LU,	ь∨,	MA,	MD,	MD,	MG,	MK,	MIN ,	MW,	MIX,	MA,
					NA,												3 m	D
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,
								DK,										
			MC,	ΝL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,
			GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤĢ,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,
			GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG				,				
PRIORITY APPLN. INFO.: US 2003-444626P P 20030204																		
AB	The	pre	sent	inv	enti	on i	s di	rect	ed t	o fl	utic	ason	e co	mpns	. co	mpri	sing	
AB The present invention is directed to fluticasone compns. comprising fluticasone and at least one surface stabilizer. The fluticasone																		
particles of the composition preferably have an effective average particle																		
size of																		
5110		000 n	m.	Thus	. a	form	ulat	ion	cont	aine	d Ff	utic	ason	e pr	opio	nate	5 a:	nd
<2000 nm. Thus, a formulation contained Ffuticasone propionate 5 and Tyloxapol 2%.																		
τ'n	IT 29836-26-8, n-Octyl β-D-glucopyranoside 58846-77-8																	
59122-55-3 69227-93-6 69984-73-2																		
	27.	. 4 4 - 3	3-3	0 3 2 2	, - 33	- 0 0	J J U Z	- , , -	_									

82494-09-5 85618-21-9, n-Octyl β -D-

thioglucopyranoside

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fluticasone formulations comprising surface stabilizer)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 58846-77-8 HCAPLUS

CN β -D-Glucopyranoside, decyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 59122-55-3 HCAPLUS

CN β-D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 69984-73-2 HCAPLUS

CN β -D-Glucopyranoside, nonyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_8$$
 O R O R OH

RN 82494-09-5 HCAPLUS

CN β -D-Glucopyranoside, decyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85618-21-9 HCAPLUS

CN β-D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

L25 ANSWER 6 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:681305 HCAPLUS

DOCUMENT NUMBER: 141:212744

TITLE: PSMA formulations and uses in human prostate cancer

therapy

INVENTOR(S): Maddon, Paul J.; Donovan, Gerald P.; Olson, William

C.; Schulke, Norbert; Gardner, Jason; Ma, Dangshe

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 159 pp., Cont.-in-part of U.S.

Pat. Appl. 2004 33,229.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PA	PATENT NO.					KIND DATE				APPL	ICAT	ION 1	NO.	DATE				
US	2004						2004	0819	1	US 2	003-	 6956	 67		2	0031	027	
WC	2003	0349	03		A2	2003	1	WO 2002-US33944						20021023				
WC	2003	0349	03		А3		2003	1030										
WC	2003	0349	03		В1		2004	0513										
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
								DM,										
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	ŪĠ,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,	
								ES,										
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	
		NE.	SN,	TD.	TG	•		•	•	•	·	-			•	•		
US	2004	0332	29 [.]	•	A1		2004	0219	1	US 2	003-	3958	94		2	0030	321	
PRIORIT	Y APP	LN.	INFO	. :					1	US 2	001-	3352	15P		P 2	0011	023	
									1	US 2	002-	3627	47P		P 2	0020	307	
									1	US 2	002-	4126	18P		P 2	0020	920	
WO 2002										002-1	US33:	944		A2 2	0021	023		
									US 2003-395894						A2 20030321			
AB The invention includes stable multime								neri	c, p	arti	cula:	rly (dime	ric,	for	ms o	f	

The invention includes stable multimeric, particularly dimeric, forms of PSMA (prostate specific membrane antigen) protein, compns. and kits containing dimeric PSMA protein as well as methods of producing, purifying and using these compns in prostate cancer therapy. Such methods include methods for eliciting or enhancing an immune response to cells expressing PSMA, including methods of producing antibodies to dimeric PSMA, as well as methods of treating cancer, such as prostate cancer.

IT 69227-93-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(PSMA formulations and uses in human prostate cancer therapy)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 7 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:680305 HCAPLUS

DOCUMENT NUMBER:

141:195300

TITLE:

Bone formation promoting agents containing

glycosphingolipids

INVENTOR(S):

Higuchi, Ryuichi; Inagaki, Masanobu; Tanaka,

Yoshiyuki; Misawa, Eriko; Hayasawa, Hironori; Yamada,

APPLICATION NO.

DATE

ί

Mutsuo

PATENT ASSIGNEE(S):

Morinaga Milk Industry Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 21 pp.

CODEN: JKXXAF

DATE

DOCUMENT TYPE:

Patent

KIND

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

	JP 2004231616	A2	20040819	JP 2003-	25041	20030131
PRIC	RITY APPLN. INFO.:			JP 2003-	25041	20030131
AB	The invention relat	es to	bone formati	on promoti	ng agents suit	able for use
	in pharmaceutical,	food,	and feed pro	ducts for	prevention and	/treatment of
	osteoporosis, chron	ic art	icular rheum	atism, bon	e Paget's dise	ase, or
	osteoarthritis, whe	rein t	he bone form	ation prom	oting agents a	re
	characterized by co	ntaini	ng compds. h	aving α -ox	yfatty acid an	d
	sphingosine structu					
	α-oxyfatty acid and					
	maculata extract an					
	proliferation in vi	tro.	An injection	. compositi	on containing	the obtained
cera	mide					

0.01 % was also formulated.

IT 195434-92-5, LMG 1 500167-43-1, LMC 2 740849-71-2, LLG 5 740850-09-3, LMG 2

740850-95-7, LMCDH 2

RL: FFD (Food or feed use); NPO (Natural product occurrence); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(bone formation promoting agents containing glycosphingolipids)

RN 195434-92-5 HCAPLUS

CN Docosanamide, N-[(1S,2S,3R)-2,3-dihydroxy-15-methyl-1-[[(0-3-0-sulfo- β -D-galactopyranosyl-(1 \rightarrow 4)-0- β -D-galactopyranosyl-(1 \rightarrow 4)- β -D-glucopyranosyl)oxy]methyl]heptadecyl]-2-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Currently available stereo shown.

PAGE 1-B

RN 500167-43-1 HCAPLUS

CN Docosanamide, N-[(1S,2S,3R)-1-[(β -D-glucopyranosyloxy)methyl]-2,3-dihydroxy-15-methylheptadecyl]-2-hydroxy-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Currently available stereo shown.

RN 740849-71-2 HCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Currently available stereo shown.

PAGE 1-A

PAGE 1-B

RN 740850-09-3 HCAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry. Currently available stereo shown.

Absolute stereochemistry. Currently available stereo shown.

L25 ANSWER 8 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

2004:652447 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 141:179653

TITLE:

Novel nimesulide compositions Bosch, H. William; Wertz, Christian F. INVENTOR(S):

Elan Pharma International Ltd., USA PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 27 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 276,400.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004156872	A1	20040812	US 2003-697703	20031031
US 6316029	B1	20011113	US 2000-572961	20000518
US 2004013613	A1	20040122	US 2003-276400	20030115
PRIORITY APPLN. INFO.:			US 2000-572961	A1 20000518
			US 2003-276400	A2 20030115
			WO 2001-US15983	W 20010518

The present invention provides nanoparticulate nimesulide compns. The AB compns. preferably comprise nimesulide and at least one surface stabilizer adsorbed on or associated with the surface of the nimesulide particles. The nanoparticulate nimesulide particles preferably have an effective average particle size of less than about 2000 nm. The composition further comprises one or more addnl. compds., e.g., an analgesic, an anti-inflammatory agent, an antipyretic, a vasomodulator, etc. The invention also provides methods of making and using nanoparticulate nimesulide compns. For example, nimesulide nanoparticles were prepared by combining 0.85 g of Plasdone S-630 dissolved in 79.9 g of water (1% weight/weight) as a surface stabilizer with 4.25 g nimesulide (5% weight/weight) and PolyMill-200 Polystyrene Milling Media and milling for 1 h at 4200 rpm with chilled water (10°) recirculated through the milling chamber. The process yielded a colloidal dispersion of nimesulide with a mean particle size of 150 nm, a D50 of 124 nm, a D90 of 256 nm, and a D95 of 293 nm.

29836-26-8, n-Octyl-β-D-glucopyranoside 58846-77-8, n-Decyl-β-D-glucopyranoside 59122-55-3, n-Dodecyl-β-D-glucopyranoside 69227-93-6, n-Dodecyl β -D-maltoside 69984-73-2, n-Nonyl β -D-glucopyranoside **82494-09-5**, n-Decyl-β-D-maltopyranoside **85618-21-9**,

Octyl β -D-thioglucopyranoside

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nimesulide nanoparticulate compns. comprising surface stabilizer)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Me
$$(CH_2)_7$$
O
HO
R
OH
OH

RN 58846-77-8 HCAPLUS

CN β-D-Glucopyranoside, decyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 59122-55-3 HCAPLUS

CN β-D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{11}$$
 O R O R O R O R O R

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

RN 69984-73-2 HCAPLUS

CN β-D-Glucopyranoside, nonyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 82494-09-5 HCAPLUS

CN β -D-Glucopyranoside, decyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85618-21-9 HCAPLUS

CN β -D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

L25 ANSWER 9 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:589016 HCAPLUS

DOCUMENT NUMBER: 141:128841

TITLE: Triamcinolone nanoparticles for controlled or

sustained-release compositions

INVENTOR(S): Bosch, H. William; Ostrander, Kevin D.; Cooper, Eugene

R.

PATENT ASSIGNEE(S): Elan Pharma International Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S.

Ser. No. 619,539. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 15

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004141925	A1	20040722	US 2003-697716	
US 2002102294	A1	20020801	US 1998-190138	19981112
US 2002012675	A1	20020131	US 1999-337675	19990622
US 2002068092	A1	20020606	US 1999-414159	19991008
US 6428814	B2	20020806		
US 6375986	B1	20020423	US 2000-666539	20000921
US 2003108611	A1	20030612	US 2001-4808	20011207
US 2002110597	A1	20020815	US 2002-75443	20020215
US 6592903	B2	20030715		
US 2003108616	A1	20030612	US 2003-345312	20030116
US 2003185869	A1	20031002	US 2003-357514	20030204
PRIORITY APPLN. INFO.:			US 1998-190138	A2 19981112
			US 1999-337675	A2 19990622
			US 1999-414159	A3 19991008
			US 2000-666539	A1 20000921
			US 2000-715117	B1 20001120
			US 2001-4808	A2 20011207
			US 2002-353230P	P 20020204
			US 2002-75443	A2 20020215
			US 2002-396530P	P 20020716
			US 2003-345312	A2 20030116
			US 2003-357514	A2 20030204
				A2 20030716

AB The invention is directed to a nanoparticulate composition of triamcinolone and/or triamcinolone derivs. The triamcinolone or triamcinolone derivative particles of the composition have an effective average particle size of less than

about 2 μ and at least one surface stabilizer that is preferably

adsorbed to or associated with the surface of the triamcinolone particles. Triamcinolone particles further comprise one or more non-triamcinolone active agents, e.g., nutraceuticals, amino acids, proteins, nucleotides, antiobesity drugs, central nervous system stimulants, carotenoids, corticosteroids, elastase inhibitors, antifungals, oncol. therapies, antiemetics, analgesics, cardiovascular agents, etc. The particles are formulated into a controlled-release, delayed-release, lyophilized, extended-release, pulsatile-release, mixed immediate-release and controlled-release, or bioadhesive formulations. For example, a nanoparticulate colloidal dispersion of triamcinolone acetonide having 5% weight/weight triamcinolone acetonide and 0.5% weight/weight tyloxapol as a surface

stabilizer, and 0.5% weight/weight sodium chloride as a crystal growth inhibitor

was milled under high energy milling conditions. The final (weight) mean particle size of the triamcinolone acetonide particles was 149 nm, with D90<212 nm. In the presence of 0.5% weight/weight sodium chloride as a crystal growth inhibitor, the average particle size of the triamcinolone acetonide dispersion increased by 16 nm to 165 nm (D90<243 nm) after storage at room temperature for 24 h.

IT 29836-26-8, n-Octyl- β -D-glucopyranoside 58846-77-8, Decyl- β -D-glucopyranoside 59122-55-3, Dodecyl- β -D-glucopyranoside 69227-93-6, n-Dodecyl- β -D-maltoside 69984-73-2, n-Nonyl- β -D-glucopyranoside 82494-09-5, Decyl- β -D-maltopyranoside 85618-21-9, Octyl- β -D-thioglucopyranoside

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of triamcinolone nanoparticles containing surface stabilizer

for

controlled/sustained-release compns.)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 58846-77-8 HCAPLUS

CN β-D-Glucopyranoside, decyl (9CI) (CA INDEX NAME)

RN 59122-55-3 HCAPLUS

CN β -D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me (CH₂)
$$11$$
 OH OH OH OH OH OH OH

RN 69984-73-2 HCAPLUS

CN β -D-Glucopyranoside, nonyl (9CI) (CA INDEX NAME)

RN 82494-09-5 HCAPLUS

CN β -D-Glucopyranoside, decyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85618-21-9 HCAPLUS

CN β-D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 10 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:569761 HCAPLUS

DOCUMENT NUMBER:

141:99660

TITLE:

Methods of screening for inhibitors of phospholipid synthesis related to glycolipid-storage diseases

INVENTOR(S):

Futerman, Anthony H.; Bodennec, Jacques; Pelled, Dori;

Riebeling, Christian; Trajkovic, Selena

PATENT ASSIGNEE(S):

Israel

SOURCE:

U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE --------------US 2004137531 Α1 20040715 US 2003-342311 20030115 PRIORITY APPLN. INFO.: US 2003-342311 20030115 MARPAT 141:99660 OTHER SOURCE(S):

The present invention discloses methods of screening for identification of compds. that inhibit novel targets in the enzymic pathway of phospholipid synthesis that are related to glycolipid storage diseases, and use of the compds. for treating patients affected with glycolipid storage diseases, particularly Gaucher disease. Specifically, the compds. of the present invention are intended to inhibit the accumulation of phosphatidylcholine (PC), wherein PC accumulation is increased due to the activation of CTP:phosphocholine cytidylyltransferase (CCT) upon glucosylceramide (GlcCer) accumulation. Pharmaceutical compns. comprising a glycosphingolipid compound as an active ingredient are claimed for treating Gaucher disease.

IT 2238-90-6, Galactosylsphingosine 52050-17-6,

Glucosylsphingosine

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods of screening for inhibitors of phospholipid synthesis related to glycolipid-storage diseases and pharmaceutical formulations containing glycosphingolipids)

RN 2238-90-6 HCAPLUS

CN β -D-Galactopyranoside, (2S,3R,4E)-2-amino-3-hydroxy-4-octadecenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 52050-17-6 HCAPLUS

CN β -D-Glucopyranoside, (2S,3R,4E)-2-amino-3-hydroxy-4-octadecenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L25 ANSWER 100 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:83150 HCAPLUS

DOCUMENT NUMBER:

132:127474

TITLE:

Cosmetic and dermatological water-in-oil sunscreen emulsions containing nonionic surfactants and silicone

emulsifiers

INVENTOR(S):

Gers-Barlag, Heinrich; Grotelueschen, Birgit

PATENT ASSIGNEE(S): Beiersdorf A.-G., Germany

SOURCE:

Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.						KIND DATE			APPLICATION NO.							DATE		
							-									-			
	DE	1983	3634			A1		2000	0203	Ī	DE :	1998-	1983	3634		1	9980	725	
	WO	2000	0061	13		A1		2000	0210	V	NO :	1999-	EP49	71		1	9990	714	
		W:	JP,	US															
		RW:	ΑT,	BE,	CH,	CY,	DE	, DK,	ES,	FI,	FR	, GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	
			PT,	SE															
	ĒΡ	1100	452			A1		2001	0523	I	ΞP	1999-	9346	93		1	9990	714	
	EP	1100	452			В1		2003	1015										
		R:	AT,	BE,	CH,	DE,	DK	, ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	FI															
	JP	2003	5280	27		T2		2003	0924	Ċ	JP :	2000-	5619	70		1	9990	714	
	ES	2207	958			Т3		2004	0601	I	ES	1999-	9346	93		1	9990	714	
PRIO	RIT	Y APP	LN.	INFO	. :					I	DE :	1998-	1983	3634		A 1	9980	725	
										Ī	O	1999-	EP49	71		W 1	9990	714	
						_													

AB Use of the title surfactant-emulsifier combinations in water-in-oil sunscreen emulsions stabilizes the emulsions, provides an especially homogeneous

dispersion of the normally solid UV filter compds., and increases the sun protection factor. The UV filter compds. may be conventional organic sunscreen compds. or inorg. pigments such as metal oxides. A suitable sunscreen formulation contained cetyldimethicone copolyol 3.00, mineral oil 10.00, caprylic/capric triglyceride 10.00, butylene glycol caprylate/caprate 10.00, glycerin 10.00, MgSO4 0.70, decyl glucoside (nonionic surfactant) 1.50, 2,4-bis[[4-(2-ethylhexyloxy)-2-hydroxy]phenyl]-6-(4-methoxyphenyl)-1,3,5-triazine 6.00, TiO2 6.00, preservative, dyes, perfume, and H2O to 100.00 weight parts.

IT 58846-77-8, Decyl glucoside

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic and dermatol. water-in-oil sunscreen emulsions containing nonionic surfactants and silicone emulsifiers)

RN 58846-77-8 HCAPLUS

CN β -D-Glucopyranoside, decyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 101 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:68549 HCAPLUS

DOCUMENT NUMBER: 132:104443

TITLE: Reversed micellar systems, and their use for gene

delivery to parenchymal cells

INVENTOR(S): Wolff, Jon A.

PATENT ASSIGNEE(S): Mirus Corporation, USA SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
WO 2000004139	A1 20000127	WO 1999-US16107	19990716		
W: JP					
RW: AT, BE, CH,	CY, DE, DK, ES, E	FI, FR, GB, GR, IE, IT,	LU, MC, NL,		
PT, SE					
EP 1100889	A1 20010523	EP 1999-935624	19990716		
R: AT, BE, CH,	DE, DK, ES, FR, C	GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
IE, SI, LT,	LV, FI, RO				
PRIORITY APPLN. INFO.:		US 1998-93231P	P 19980717		
		WO 1999-US16107	W 19990716		

AB Disclosed herein are methods of preparing a gene delivery complex comprising solubilizing a nucleic acid into a reverse micelle with an internal water volume for delivery to parenchymal cells. Compds., such as polycations, which compact the nucleic acid can be added for easier delivery. Other mols., such as a surfactant having a disulfide bond, are used to interact with the nucleic acid-micelle complex to further enhance gene delivery.

IT 255818-97-4P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(reversed micellar systems, and uses of surfactants to enhance their ability to deliver genes to parenchymal cells)

RN 255818-97-4 HCAPLUS

CN β-D-Glucopyranose, 1-deoxy-1-(dodecyldithio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 102 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

3

ACCESSION NUMBER:

1999:761507 HCAPLUS

DOCUMENT NUMBER:

132:15575

TITLE:

Human mutant tissue factor compositions useful as

tissue factor antagonists

INVENTOR(S):

Ruf, Wolfram; Edgington, Thomas S. The Scripps Research Institute, USA

PATENT ASSIGNEE(S): SOURCE:

U.S., 30 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND .	DATE	APPLICATION NO.	DATE
	US 5994296	Α	19991130	US 1998-35241	19980305
	RITY APPLN. INFO.:			US 1998-35241	19980305
AB	The present inventi	on desc	ribes a muta	nt human tissue factor	protein which
	binds functional Fa	ctor VI	I/VIIa but i	s substantially free of	functional
	procoagulant cofact	or acti	vity, and co	mpns. containing the mu	tant protein.
	Also disclosed are	methods	for using t	he mutant human tissue	factor

proteins, and recombinant DNA vectors for expressing the protein. 29836-26-8, Octyl β-D-glucopyranoside 85618-21-9, IT

Octyl \(\beta - D - thioglucopyranoside \)

RL: NUU (Other use, unclassified); USES (Uses)

(detergent; human mutant tissue factor compns. useful as tissue factor antagonists)

29836-26-8 HCAPLUS RN

 β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

RN 85618-21-9 HCAPLUS

CN β-D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 103 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:718826 HCAPLUS

DOCUMENT NUMBER: 131:342001

TITLE: Multiple emulsions comprising a hydrophobic continuous

phase

INVENTOR(S): Krafft, Marie-Pierre; Riess, Jean G.; Zarif, Leila

PATENT ASSIGNEE(S): Alliance Pharmaceutical Corp., USA

SOURCE: U.S., 14 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5980936	Α	19991109	US 1997-908821	19970807
PRIORITY APPLN. INFO.:			US 1997-908821	19970807

AB Multiple emulsions comprising a discontinuous emulsified phase incorporating a highly polar liquid, a second component selected from the group consisting of fluorocarbons and hydrocarbons and a continuous hydrophobic phase are disclosed. In preferred embodiments, the hydrophobic phase may comprise a fluorocarbon or hydrocarbon. Addnl., the stable multiple emulsions of the present invention may further incorporate a bioactive agent and are particularly suitable for drug delivery including pulmonary drug delivery. Thus, 15 mL of dodecane (30% volume/volume) was added dropwise into 32.5 mL (65% volume/volume) of an

volume/volume) was added dropwise into 32.5 mL (65% volume/volume) of an aqueous

dispersion of natural egg yolk phospholipids (2.5 g, 5% volume/volume) and homogenized to yield a hydrocarbon-in-water emulsion having average particle size of 0.25 μm . A 2% w/v concentrated solution of the fluorinated surfactant perfluorooctyl (undecyl) dimorpholinophosphate in perfluorooctyl bromide was prepared Ten mL of the above hydrocarbon-in-water emulsion was then added dropwise to 80 mL of the fluorinated surfactant-containing fluorocarbon solution

while stirring vigorously. The obtained dispersion was then emulsified to obtain an emulsion with an average particle size of 5.5 μm . After four month of storage at 25°, creaming was noticed, but the preparation could readily be re-homogenized by simple hand shaking to provide an average particulate size of approx. 6.2 μm.

IT 152842-09-6

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (multiple emulsions comprising hydrophobic continuous phase)

152842-09-6 HCAPLUS RN

D-Gluconamide, 4-O-β-D-galactopyranosyl-N-[2-[[1-CN

(3,3,4,4,5,5,6,6,7,7,8,8,9,9,10,10,10-heptadecafluorodecyl)-10undecenyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+)...

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 24 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2004 ACS on STN L25 ANSWER 104 OF 206

ACCESSION NUMBER:

1999:708452 HCAPLUS

DOCUMENT NUMBER:

131:314185

TITLE:

Active hedgehog protein conjugate, process for its

production and use

INVENTOR(S):

Esswein, Angelika; Lang, Kurt; Rueger, Petra; Seytter,

Tilmann

PATENT ASSIGNEE(S):

Roche Diagnostics G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO.

DATE

10/06/2004

									-									
EP	9535	76			A1	1	999	1103	E	P	19	99-3	1080	32			19990	423
	R:	ΑT,	ΒĒ,	CH,	DE,	DK,	ES,	FR,	GB,	GR	≀, :	ΙΤ,	LI,	LU,	ΝL,	SE	, MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO											
EP	9535	75			A1	1	9993	1103	E	P	19	98-3	1079	11			19980	430
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	٤, :	IT,	LI,	LU,	NL,	SE	, MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO											
NZ	3353	85			Α	2	0000	929	N	ΙZ	199	99-3	3353	85			19990	426
MX	9903	976			Α	2	0000	0630	M	ĺΧ	199	99-3	3976				19990	428
SG	8002	8			A1	2	0010	0417	s	G	199	99-2	2117				19990	428
US	6468	978			В1	2	002	1022	U	JS	199	99-3	3011	99			19990	428
CA	2269	221			AA	1	999	1030	С	'A	199	99-2	2269	221			19990	429
NO	9902	090			Α	1	999:	1101	N	IO	199	99-2	2090				19990	429
ZA	9903	009			Α	1	999	1101	Z	Α	199	99-3	3009				19990	429
CN	1233	616			Α	1	9993	1103	С	N.	199	99-:	1063	02			19990	429
AU	9925	009			A1	1	999:	1111	Α	U	199	99-2	2500	9			19990	429
AU	7197	97			B2	2	0000	0518										
JP	2000	0536	99		A2	2	0000	0222	J	ſΡ	199	99-1	1250	05			19990	430
JP	3433	136			B2	2	0030	0804										
BR	9903	169			Α	2	000	1017	В	3R	199	99-3	3169				19990	430
US	2003	1395'	74		A1	2	0030	724	U	JS	200	02-2	2780	50			20021	021
PRIORITY	APP	LN.	INFO	. :					E	P	199	98-1	1079	11	Ī	4	19980	430
									E	ΈP	199	98-1	1167	33	i	4	19980	903
									U	JS	199	99-3	3011	99	7	A1	19990	428

AB A hedgehog conjugate is disclosed which is characterized in that it contains: (a) a polypeptide composed of 10 to 30 hydrophobic amino acids and/or amino acids which form transmembrane helixes and are pos. charged, (b) 1 to 4 aliphatic, saturated or unsatd. hydrocarbon residues with a chain length of 10 to 24 C atoms and with a hydrophobic action or (c) a hydrophobic thio compound covalently bound to a hedgehog protein and which has a several-fold increased activity and is suitable as a pharmaceutical agent.

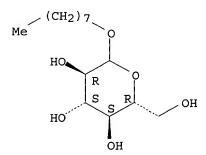
IT 41444-50-2, Octyl glucoside

RL: NUU (Other use, unclassified); PRP (Properties); USES (Uses) (active hedgehog protein conjugates for therapeutic use)

RN 41444-50-2 HCAPLUS

CN D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 105 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:704853 HCAPLUS

DOCUMENT NUMBER: 131:314184

TITLE: Lipid-nucleic acid particles prepared via a

hydrophobic lipid-nucleic acid complex intermediate

and use for gene transfer

Wheeler, Jeffery J.; Bally, Marcel B.; Zhang, INVENTOR(S):

Yuan-Peng; Reimer, Dorothy L.; Hope, Michael; Cullis,

Pieter R.; Scherrer, Peter

PATENT ASSIGNEE(S):

Inex Pharmaceuticals Corp., Can.

SOURCE:

U.S., 63 pp., Cont.-in-part of U.S. 5,705,385.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5976567	Α	19991102	US 1996-660025	19960606
US 5705385	Α	19980106	US 1995-485458	19950607
US 5981501	A	19991109	US 1995-484282	19950607
CA 2222328	AA	19961219	CA 1996-2222328	19960606
US 6534484	B1	20030318	US 1999~436933	19991108
US 6586410	B1	20030701	US 2000-566700	20000508
AU 771241	B2	20040318	AU 2000-71667	20001117
US 2002192651	A1	20021219	US 2001-875805	20010605
US 2003181410	A1	20030925	US 2003-374673	20030224
PRIORITY APPLN. INFO.:			US 1995-484282	A2 19950607
			US 1995-485458	A2 19950607
·			AU 1996-63307	A3 19960606
			US 1996-660025	A1 19960606
			US 1999-431594	A1 19991101
			US 1999-436933	A1 19991108
			US 2000-566700	A1 20000508

Novel lipid-nucleic acid particulate complexes which are useful for in AB vitro or in vivo gene transfer are described. The particles can be formed using either detergent dialysis methods or methods which utilize organic solvents. Upon removal of a solubilizing component (i.e., detergent or an organic solvent) the lipid-nucleic acid complexes form particles wherein the nucleic acid is serum-stable and is protected from degradation The particles thus formed have access to extravascular sites and target cell populations and are suitable for the therapeutic delivery of nucleic acids.

29836-26-8, Octyl- β -D-glucopyranoside TT

> RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)

(detergent; lipid-nucleic acid particles prepared via a hydrophobic lipid-nucleic acid complex intermediate and use for gene transfer)

29836-26-8 HCAPLUS RN

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 106 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:691194 HCAPLUS

DOCUMENT NUMBER: 131:307092

TITLE: Combination therapy using nucleic acids and

radiotherapy

INVENTOR(S): Joshi, Phalgun B.; Durand, Ralph; Graham, Roger W.

PATENT ASSIGNEE(S): Inex Pharmaceuticals Corporation, Can.; British

Columbia Cancer Agency

PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

SOURCE:

PATENT NO.						KIND DATE										
												1:	9990	422		
	AE, DE, JP, MN,	AL, DK, KE, MW,	AM, EE, KG, MX,	AT, ES, KP, NO,	AU, FI, KR, NZ,	AZ, GB, KZ, PL,	BA, GD, LC, PT,	GE, LK, RO,	GH, LR, RU,	GM, LS, SD,	HR, LT, SE,	HU, LU, SG,	ID, LV, SI,	IL, MD, SK,	IN, MG, SL,	IS, MK, TJ,
RW:	MD, GH, ES,	RU, GM, FI,	TJ, KE, FR,	TM LS, GB,	MW,	SD,	SL,	SZ, LU,	UG,	ZW,	AT, PT,	BE,	CH,	CY,	DE,	DK,
9935	596 913			AA A1		1999 1999	1028 1108	(CA 1	999-2	2325					
1082	418 AT,	BE,		A2		2001	0314]								
	5122	57		Т2		2002	0423	1	JS 1	998-	8266	5 P]	P 1	9980	422
								1 1	US 1: US 1: WO 1:	998-: 999-: 999-	1116: 2959: CA37:	37P 25]]	P 1: A 1: W 1:	9981: 9990: 9990:	209 421 422
	9954 9954 W: 2325 9935 7542 1082 R: 2002 Y APP	9954444 9954444 W: AE, DE, JP, MN, MD, RW: GH, ES, CI, 2325596 9935913 754244 1082418 R: AT, IE, 20025122 Y APPLN.	9954444 9954444 W: AE, AL, DE, DK, JP, KE, MN, MW, TM, TR, MD, RU, RW: GH, GM, ES, FI, CI, CM, 2325596 9935913 754244 1082418 R: AT, BE, IE, FI 2002512257 Y APPLN. INFO	9954444 9954444 W: AE, AL, AM,	9954444 A2 9954444 A3 W: AE, AL, AM, AT, DE, DK, EE, ES, JP, KE, KG, KP, MN, MW, MX, NO, TM, TR, TT, UA, MD, RU, TJ, TM RW: GH, GM, KE, LS, ES, FI, FR, GB, CI, CM, GA, GN, 2325596 AA 9935913 A1 754244 B2 1082418 A2 R: AT, BE, CH, DE, IE, FI 2002512257 T2 Y APPLN. INFO.:	9954444 A2 9954444 A3 W: AE, AL, AM, AT, AU, DE, DK, EE, ES, FI, JP, KE, KG, KP, KR, MN, MW, MX, NO, NZ, TM, TR, TT, UA, UG, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, ES, FI, FR, GB, GR, CI, CM, GA, GN, GW, 2325596 AA 9935913 A1 754244 B2 1082418 A2 R: AT, BE, CH, DE, DK, IE, FI 2002512257 T2 Y APPLN. INFO.:	9954444 A2 1999 W: AE, AL, AM, AT, AU, AZ, DE, DK, EE, ES, FI, GB, JP, KE, KG, KP, KR, KZ, MN, MW, MX, NO, NZ, PL, TM, TR, TT, UA, UG, US, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, ES, FI, FR, GB, GR, IE, CI, CM, GA, GN, GW, ML, 2325596 AA 1999 9935913 A1 1999 9935913 A1 1999 754244 B2 2002 1082418 A2 2001 R: AT, BE, CH, DE, DK, ES, IE, FI 2002512257 T2 2002	9954444 A2 19991028 9954444 A3 19991209 W: AE, AL, AM, AT, AU, AZ, BA, DE, DK, EE, ES, FI, GB, GD, JP, KE, KG, KP, KR, KZ, LC, MN, MW, MX, NO, NZ, PL, PT, TM, TR, TT, UA, UG, US, UZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, ES, FI, FR, GB, GR, IE, IT, CI, CM, GA, GN, GW, ML, MR, 2325596 AA 19991028 9935913 A1 19991108 754244 B2 20021107 1082418 A2 20010314 R: AT, BE, CH, DE, DK, ES, FR, IE, FI 2002512257 T2 20020423 Y APPLN. INFO.:	9954444 A2 19991028 9954444 A3 19991209 W: AE, AL, AM, AT, AU, AZ, BA, BB, DE, DK, EE, ES, FI, GB, GD, GE, JP, KE, KG, KP, KR, KZ, LC, LK, MN, MW, MX, NO, NZ, PL, PT, RO, TM, TR, TT, UA, UG, US, UZ, VN, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, ES, FI, FR, GB, GR, IE, IT, LU, CI, CM, GA, GN, GW, ML, MR, NE, 2325596 AA 19991028 A1 19991108 A2 19935913 A1 19991108 A1 1	9954444 A2 19991028 WO 1 9954444 A3 19991209 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, DE, DK, EE, ES, FI, GB, GD, GE, GH, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MN, MW, MX, NO, NZ, PL, PT, RO, RU, TM, TR, TT, UA, UG, US, UZ, VN, YU, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ES, FI, FR, GB, GR, IE, IT, LU, MC, CI, CM, GA, GN, GW, ML, MR, NE, SN, 2325596 AA 19991028 CA 1 9935913 A1 19991108 AU 1 754244 B2 20021107 1082418 A2 20010314 EP 1 1082418 A2 20010314 EP 1 1 2002512257 T2 20020423 JP 2 Y APPLN. INFO:: Y APPLN. INFO:: US 1 US 1 US 1 US 1 US 1	9954444 A2 19991028 WO 1999-0 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, TM, TT, UA, UG, US, UZ, VN, YU, ZA, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, 2325596 AA 19991028 CA 1999-2935913 A1 19991108 AU 1999-3754244 B2 20021107 1082418 A2 20010314 EP 1999-3754244 B2 20021107 1082418 A2 20010314 EP 1999-3754244 B2 20020423 JP 2000-3754244 B2 JP 30020423 JP 3	9954444 A2 19991028 WO 1999-CA373 9954444 A3 19991209 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 2325596 AA 19991028 CA 1999-23259 9335913 A1 1999108 AU 1999-35910 754244 B2 20021107 1082418 A2 20010314 EP 1999-9177 1082418 A2 20010314 EP 1999-9177 1082418 A2 20010314 EP 1999-9177 1082418 A2 20020423 JP 2000-5447 Y APPLN. INFO:: US 1998-8266 US 1998-1116 US 1998-1116 US 1999-2959 WO 1999-CA375	9954444 A2 19991028 WO 1999-CA372 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 2325596 AA 19991028 CA 1999-2325596 9335913 A1 19991108 AU 1999-35913 754244 B2 20021107 1082418 A2 20010314 EP 1999-917712 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, IE, FI 2002512257 T2 20020423 JP 2000-544776 Y APPLN. INFO.: US 1998-82665P US 1998-111635P US 1999-295925 WO 1999-CA372	9954444 A2 19991028 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 2325596 AA 19991028 CA 1999-2325596 9935913 A1 1999108 A0 1999-35913 754244 B2 20021107 1082418 A2 20010314 FP 1999-917712 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, IE, FI 2002512257 T2 20020423 JP 2000-544776 Y APPLN. INFO: US 1998-111635P US 1999-295925 WO 1999-CA372	9954444 A2 19991028 WO 1999-CA372 1: 9954444 A3 19991209 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 2325596 AA 19991028 CA 1999-2325596 1: 9935913 A1 1999108 AU 1999-35913 1: 754244 B2 20021107 1082418 A2 20010314 EP 1999-917712 1: R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, IE, FI 2002512257 T2 20020423 JP 2000-544776 1: US 1998-82665P P 1: US 1998-111637P P 1: US 1999-295925 A 1: US 1999-295925 A 1: US 1999-295925 A 1: US 1999-295925 A 1:	9954444 A3 19991209 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG 2325596 AA 19991028 CA 1999-2325596 AA 1999108 A1 1999108 CA 1999-35913 A1 1999108 A1 1999108 AU 1999-35913 A1 1999108 A1 1999108 A1 1999108 A1 1999108 A1 1999108 A1 1999108 A1 1999-111635P P 19980 US 1998-111637P P 19981

AB Methods are provided for increasing the efficiency of transformation of cycling cells, the methods comprising synchronizing cells at a first stage of the cell cycle, and transforming the cells at a second stage of the cell cycle within about one cell cycle of the first stage with a genetically engineered nucleic acid that encodes a desired gene product. The invention further relates to cancer therapy and, in particular, to methods of efficiently transforming cancer cells with nucleic acids that encode gene products that inhibit the growth of cancer cells.

IT 29836-26-8, Octyl- β -D-glucopyranoside

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination therapy using nucleic acids and radiotherapy)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L25 ANSWER 107 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:672548 HCAPLUS

DOCUMENT NUMBER: 131:291303

TITLE: Incorporation of drugs in carrier matrixes

INVENTOR(S): Andersson, Marie-Louise; Boissier, Catherine; Juppo,

Anne Marie; Larsson, Anette

PATENT ASSIGNEE(S): Astra Aktiebolag, Swed. SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: '1

PATENT INFORMATION:

										APPLICATION NO.								
	9952															.9990	409	
	W:	ΑE,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG	, BI	R, BY	, CA,	CH,	CN,	CU,	CZ,	
		DE,	DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH	I, GN	1, HR	, HU,	ID,	IL,	IN,	IS,	
		JP,	KE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR	2, LS	S, LT	, LU,	LV,	MD,	MG,	MK,	
		MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU	J, SI	, SE	, SG,	SI,	SK,	SL,	TJ,	
		TM,	TR,	TT,	UA,	UG,	US,	ÚΖ,	VN,	YU	J, ZA	A, ZW	, AM,	AZ,	BY,	KG,	ΚZ,	
		MD,	RU,	ΤJ,	TM													
	RW:	GH,	GM,	ΚE,	LS,	MW,	SD,	SL,	SZ,	ŪĠ	, zv	V, AT	, BE,	CH,	CY,	DE,	DK,	
		ES,	FI,	FR,	GB,	GR,	ΙE,	TT,	LU,	MC	, NI	, PT	, SE,	BF,	ВJ,	CF,	CG,	
		CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN	I, TI	, TG						
	5427															9990	402	
	9902															.9990		
CA	2327	522			AΑ													
	9940						1999	1101		AU	1999	9-406	53		1	.9990	409	
AU	7448	74			B 2		2002	0307										
BR	9909	636			Α.		2000	1219		BR	1999	9-963	5		1	.9990	409	
TR	2000	0296	0		T2		2000	1221		TR	2000	-200	00296	0	1	.9990	409	
EP	1069											9-924						
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	?, I?	C, LI	, LU,	ΝL,	SE,	MC,	PT,	
		•	SI,	•	•	•												
NZ	5071	90			Α		2002	0201		NZ	1999	9-507	190		1	.9990		
EE	2000	0059	5		Α		2002	0415		ΕE	2000	-595			1	.9990	409	
JP	2002 2208	5114	00		T2		2002	0416		JP	2000	-543	117		1	.9990	409	
																.9990	409	
	6372															.9990	430	
	2000						2000	1208								0001		
PRIORIT	Y APP	LN.	INFO	. :								3-128				.9980	414	
					_	_				WO .	1999	9-SE5		1		.9990	409	

AB A process is described for the incorporation of an active substance in a carrier system by forming an emulsion of the components and precipitating the

system by the use of fluid gas technique. Thus, poly(3-hydroxybutyric acid) (PHB) was dissolved in methylene chloride at 2 bar and 90°. Equal vols. of 2% PVP and Heliobacter pylori adhesion protein A (HpaA) stock solution [1.11 mg/mL HpaA in TRIS-HCl buffer; (10 mM, pH 8) and 2% n-octyl glucoside] were mixed. This mixture (3.8 mL) was injected (during homogenization at 20,000 rpm) to 15.2 mL methylene chloride containing of 1% (weight/weight) PHB and 0.4% (weight/weight) AOT in a 25 mL dispersion vessel.

The

total homogenization time was 3 min. According to SEM graphs, the particle size was 1-3 $\mu m.$ The anal. of the total amount of HpaA in the particles gave a result of 0.4% HpaA.

IT 29836-26-8

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (incorporation of drugs in carrier matrixes)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 108 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:655873 HCAPLUS

DOCUMENT NUMBER: 131:276977

TITLE: Elongated microstructures from perfluoroalkylated

amphiphiles

INVENTOR(S): Riess, Jean G.; Giulieri, Francoise; Krafft,

Marie-Pierre; Zarif, Leila

PATENT ASSIGNEE(S): Alliance Pharmaceutical Corp., USA

SOURCE: U.S., 9 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5965258	A	19991012	US 1994-214411	19940316
PRIORITY APPLN. I	NFO.:		US 1994-214411	19940316
AB Microstructu	res formed fro	m fluorina	ted amphiphiles and mixe	d fluorinated
and non-fluo	rinated amphip	hiles and	having the geometry of t	ubules,
			reparing them are disclo	
			or incorporating bioactiv	
other useful	substances fo	r controll	ed release in vivo. Thu	s, [(F-octyl)

ethyl]dimorpholino phosphoramidate (150 mg) was dissolved in chloroform and arranged in a thin layer by evaporation of the solvent. The film was then hydrated at 60° with water (2.5 mL) to yield a 6% w/v concentrated dispersion. The sample was then allowed to cool to room temp for 12 h so that tubules could be observed Their diameter was about 0.5 μ m and their length from about 5 to 10 μm . The fluorinated tubules were stable at room temperature after three years and had grown in size.

152842-12-1 TT

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (elongated microstructures from perfluoroalkylated amphiphiles)

152842-12-1 HCAPLUS RN

D-Gluconamide, 4-O-β-D-galactopyranosyl-N-[5-CN [(4,4,5,5,6,6,7,7,8,8,9,9,10,10,11,11,11-heptadecafluoro-1oxoundecyl)amino]-6-oxo-6-(undecylamino)hexyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS 30 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 109 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1999:640730 HCAPLUS

DOCUMENT NUMBER:

131:291268

TITLE:

Use of active P40 conjugates for immunostimulant nasal

delivery

INVENTOR(S):

Andreoni, Christine; Rauly, Isabelle; N'guyen, Thien;

Haeuw, Jean-francois; Baussant, Thierry

PATENT ASSIGNEE(S):

Pierre Fabre Medicament, Fr.

SOURCE:

PCT Int. Appl., 48 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT NO.	•		KIND	DATE	APPLICATION NO.	DATE
WO	9949892			A2	19991007	WO 1999-FR703	19990326
WO	9949892			A3	20000330		
	W: AU,	BR,	CA,	CN, JP	, MX, US		
	RW: AT,	BE,	CH,	CY, DE	, DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,
	PT,	SE					
FR	2776521			A1	19991001	FR 1998-3814	19980327
FR	2776521			B1	20001215		
CA	2324477			AA	19991007	CA 1999-2324477	19990326
AU	9929391			A1	19991018	AU 1999-29391	19990326

20030807 AU 764061 B2 BR 9909180 20001205 BR 1999-9180 19990326 Α EP 1066054 A2 20010110 EP 1999-910434 19990326 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE. FI JP 2002509897 20020402 JP 2000-540854 Т2 19990326 PRIORITY APPLN. INFO.: FR 1998-3814 A 19980327 WO 1999-FR703 W 19990326

AB The invention concerns the use of at least an enterobacteria outer membrane protein A fragment or a Klebsiella membrane protein (P40) fragment for preparing a pharmaceutical composition for nasal delivery, to improve

a mammal's immunity to an antigen or a hapten.

IT 41444-50-2, Octylglucopyranoside

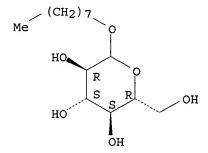
RL: NUU (Other use, unclassified); USES (Uses)

(use of active P40 conjugates for immunostimulant nasal delivery)

RN 41444-50-2 HCAPLUS

CN D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 110 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:603763 HCAPLUS

DOCUMENT NUMBER: 131:219030

TITLE: Cosmetic and/or pharmaceutical emulsions

INVENTOR(S): Ansmann, Achim; Kawa, Rolf PATENT ASSIGNEE(S): Henkel K.-G.a.A., Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19810012	A1	19990916	DE 1998-19810012	19980309
EP 945129	A2	19990929	EP 1999-103841	19990227
EP 945129	A3	20001115		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: DE 1998-19810012 A 19980309

OTHER SOURCE(S): MARPAT 131:219030

AB The title emulsions, containing polyol poly-12-hydroxystearates 0.1-10, alkyl and/or alkenyl oligoglycosides 0-10, silicones 0.1-20, and lower alcs. or polyols 5-20 weight%, are stable against phase separation during storage at

45° for ≥3 mo, are resistant to microbial growth even in the absence of preservatives, spread easily, and have good esthetic properties. Thus, a mixture of polyglyceryl-2 di(polyhydroxystearate) 5.0, decyl oleate 4.0, cetearyl isononanoate 4.0, hexyldecanol 3.0, dicaprylyl ether 3.0, and dimethicone 8.0 weight parts at 80° was combined with a mixture of 86% glycerin 5.0, EtOH 10.0, MgSO4 1.0, and H2O to 100 weight parts at 80° with stirring, and the combined mixture was cooled to 50°, homogenized, cooled to room temperature, and degassed to provide a lotion with a viscosity of 20 Pa s immediately after preparation and 30 Pa s after 40 days storage at 40°.

IT 27836-64-2, Lauryl glucoside

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(cosmetic and/or pharmaceutical emulsions)

RN 27836-64-2 HCAPLUS

CN D-Glucopyranoside, dodecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 190 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:132154 HCAPLUS

DOCUMENT NUMBER: 118:132154

TITLE: Synthetic LDL-like particle with selective cell

affinity, method of preparation, and pharmaceutical

composition for therapeutic delivery

INVENTOR(S): Samain, Daniel; Favre, Gilles; Nguyen, Frederique;

Peyrot, Marianne; Mercier, Philippe; Soulet, Nadine; Dirson, Roselyne; Cazes, Sylvie; De, Miguel Ignacio;

Meniali, Jaouad

PATENT ASSIGNEE(S): A et S Biovecteurs, Fr.; Centre Claudius Regaud

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE	
WO 9221330 A1 19921210 WO 1992-FR506 19920	0605
W: CA, JP, KR, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE	
FR 2677272 A1 19921211 FR 1991-6812 19910 FR 2677272 B1 19950303)605
CA 2088910 AA 19921206 CA 1992-2088910 19920 EP 547191 A1 19930623 EP 1992-912288 19920	

EP 547191 B1 19961204

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

JP 06500795 T2 19940127 JP 1992-511071 19920605
AT 145822 E 19961215 AT 1992-912288 19920605
PRIORITY APPLN. INFO.: FR 1991-6812 19910605
WO 1992-FR506 19920605

The title particles, which have selective affinity for certain types of cells (e.g. macrophages or tumor cells), comprise (1) a nonliq. hydrophilic nucleus (of e.g. a crosslinked polysaccharide); (2) a 1st layer of lipid covalently bonded to the above nucleus; (3) a 2nd layer of phospholipid bonded to the 1st layer via hydrophobic interactions; and (4) attached to the phospholipid layer, apolipoprotein B (apoB) mols., or protein or peptide ligands which can specifically recognize LDL receptors. The particles may contain a variety of therapeutic agents (antitumor agents, immunomodulators, antibacterials, HMG-CoA reductase inhibitors, oligonucleotides, etc.). Preparation of the particles (supramol. biovectors; SMBV) is described. SMBV-apoB behaved as natural LDL. SMBV-apoB particles which had incorporated doxorubicin were cytotoxic toward A549 pulmonary adenocarcinoma cells, which have a functional LDL receptor.

IT 29836-26-8

RL: BIOL (Biological study)

(in synthetic LDL-like particle preparation)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L25 ANSWER 191 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:45819 HCAPLUS

DOCUMENT NUMBER: 118:45819

TITLE: Topical and transdermal pharmaceuticals containing

terodiline (salts) and/or oxybutynin (salts)

INVENTOR(S): Ogiso, Taro

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273818	A2	19920930	JP 1991-119644	19910228
PRIORITY APPLN. INFO.:			JP 1991-119644	19910228

AB A preparation, useful for treatment of bladder disorders, contains terodiline

(I) (salts) and/or oxybutynin (II) (salts) as active ingredients. An ointment containing glycerin 63.3, propylene glycol 30.0, Hiviswako 104 (carboxyvinyl polymer) 2.0, triethanolamine 2.73, and I 2.0 g was applied to the skin of rats to show .apprx.2700 μ g/mL I permeation through the skin in 24 h, vs. .apprx.1800 μ g/mL, for the control ointment containing 5 weight% laurocapram.

IT 85618-21-9

RL: BIOL (Biological study)

(topical terodiline and/or oxybutynin prepns. containing, with good bioavailability)

RN 85618-21-9 HCAPLUS

CN β -D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 192 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1992:537669 HCAPLUS

DOCUMENT NUMBER:

117:137669

TITLE:

Liposomes with high blood-brain barrier permeability

INVENTOR(S):

Morishige, Hideaki Tsumura K. K., Japan

PATENT ASSIGNEE(S): SOURCE:

Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 04069332	A2	19920304	JP 1990-180664	19900710
	RITY APPLN. INFO.:			JP 1990-180664	19900710
AB				e on the membranes show	
	permeability of blo	od-brai	n barrier	An ether solution conta	aining egg yo
				, and β -octyl glucoside	
	umol was mixed with	200 μL	phosphate b	uffer solution contain	ing 56.8 mg

permeability of blood-brain barrier. An ether solution containing egg yolk phosphatidylcholine 20, cholesterol 10, and β -octyl glucoside 10 μmol was mixed with 200 μL phosphate buffer solution containing 56.8 mg TRH/mL and ultrasonicated to give liposomes, which (561 μg as TRH) were i.p. administered to mice to show much stronger inhibition against pentetrazole-induced convulsion than TRH itself.

IT 29836-26-8

RL: BIOL (Biological study)

(liposome membranes containing, with good blood-brain barrier permeability)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L25 ANSWER 193 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

1992:476537 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 117:76537

TITLE: Topical pharmaceuticals containing calcitonin as

absorption accelerator

Ogiso, Taro INVENTOR(S):

Toyo Jozo K. K., Japan PATENT ASSIGNEE(S):

Jpn. Kokai Tokkyo Koho, 7 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		- 			
	JP 04074133	A2	19920309	JP 1990-187075	19900717
	JP 3054175	B2	20000619		
PRIO	RITY APPLN. INFO.:			JP 1990-187075	19900717
AB	Topical prepns. con	ıtain ca	alcitonins a	nd ≥2 compds. chosen fr	om bile
	acid salts, and pro	tease i	nhibitors.	Carbopol-934 2.0, elca	tonin 0.025,
				noside 1.5, 5% gentamic	
	2, propylene glycol	10.0,	and H2O to	100 g were mixed to giv	e an ointment,
	which (0.5 g) was a	pplied	to rats to	show .apprx.4.5 mg Ca/d	L plasma 10 h
	later, vsapprx.7	mg/dL,	when elcat	onin was i.v. injected.	
IT	85618-21-9				
	RL: BIOL (Biologica	l study	7)		
	(calcitonin topi	cal pre	pns. contai	ning)	
RN	85618-21-9 HCAPLUS	}			

 β -D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

CN

ΙT 29836-26-8, n-Octyl β -D-glucopyranoside

RL: BIOL (Biological study)

(topical pharmaceuticals containing calcitonin and)

29836-26-8 HCAPLUS RN

 β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

Me
$$(CH_2)_7$$
 O R O R O R O R O R O R O R

L25 ANSWER 194 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:28153 HCAPLUS

DOCUMENT NUMBER: 116:28153

Enclosure of muramyl dipeptides on macrophage TITLE:

colony-stimulating factor in alkylmennoside-containing

liposomes to enhance their anticancer activity

Kiwada, Hiroshi; Sone, Saburo; Yamashita, Chikamasa; INVENTOR(S):

Matsuo, Hirotami; Ogura, Takeshi

Otsuka Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S):

Jpn. Kokai Tokkyo Koho, 7 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	JP 03173814	A2	19910729	JP 1989-279446	19891025
	JP 06086375	B4	19941102		
PRIO	RITY APPLN. INFO.:			JP 1989-249633	19890925
AB	Antitumor muramyl d	ipeptid	e or macroph	age colony-stimulating	factor is
	enclosed in alkylma	.nnoside	-containing	liposomes to enhance pha	agocytosis and
	antitumor activity	of mono	cytes or mac	rophages. Thus, muramy	1
	dipeptide-containin	g lipos	omes were pr	epared by dissolving hy	drogenated egg
	phosphatidylcholine	, cetyl	mannoside, d	icetyl phosphite, and cl	holesterol
	(mol ratio = 2:3:1:	4) in C	HCl3, evapor	ating to form a membrane	e, mixed with
	demethylated muramy	l dipep	tide in RPMI	1540 medium to give li	posomes.
IT	96790-89-5				

RL: BIOL (Biological study)

(liposomes containing, muramyl dipeptide or colony-stimulating factor in, antitumor activity enhancement by)

96790-89-5 HCAPLUS RN

D-Mannopyranoside, hexadecyl (9CI) (CA INDEX NAME) CN

L25 ANSWER 195 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:589804 HCAPLUS

DOCUMENT NUMBER: 115:189804

TITLE: Use of alkyl saccharides to enhance the penetration of

drugs

INVENTOR(S): Ke, Tai Lee; Shaw, Jack Michael PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA

SOURCE: Eur. Pat. Appl., 12 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 444778	A1	19910904	EP 1991-300608	19910128
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL,	SE
AU 9170976	A1	19910815	AU 1991-70976	19910211
AU 647448	B2	19940324		
CA 2036232	AA	19910815	CA 1991-2036232	19910213
ZA 9101069	Α	19911127	ZA 1991-1069	19910213
JP 04211011	A2	19920803	JP 1991-40546	19910213
US 5369095	Α	19941129	US 1993-31000	19930312
PRIORITY APPLN. INFO.:			US 1990-480471	19900214
			US 1991-745136	19910813

OTHER SOURCE(S): MARPAT 115:189804

Alkyl saccharides RIZ(R2)x {R1 = (un)substituted C8-28 aliphatic hydrocarbon with 0-5 double bond; R2 = C4-7 saccharide; x = 1-10; Z = 0, CO, CONH2, phosphate, sulfide} are used as penetration enhancers in ophthalmic pharmaceuticals. An ophthalmic composition contained p-aminoclonidine (I) 0.125, dodecyl maltoside (II) 0.05, benzalkonium chloride 0.01, Na2EDTA 0.01, NaH2PO2 0.18, Na2HPO4 0.12, mannitol 3.3, water to 100 weight/volume%. There was almost a 4 fold increase in the amount of I in the aqueous humor of rabbits after ophthalmic administration of above composition vs. those who received the above composition without II.

IT 69227-93-6

RL: BIOL (Biological study)

(ophthalmic pharmaceuticals containing, as penetration enhancer)

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

L25 ANSWER 196 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

1990:145573 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 112:145573

TITLE: Promoters for mucosal absorption of pharmaceuticals

Muranishi, Shozo INVENTOR(S):

Taiho Pharmaceutical Co., Ltd., Japan PATENT ASSIGNEE(S):

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01151528	A2	19890614	JP 1987-311680	19871208
PRIORITY APPLN. INFO.:			JP 1987-311680	19871208
OTHER SOURCE(S):	MARPAT	112:145573		

Pharmaceuticals contain active ingredients and monosaccharide C6-18 aliphatic hydrocarbon ethers or thioethers I or disaccharide C6-18 aliphatic hydrocarbon ethers or thioethers II (A = H or S; R = C6-18 aliphatic hydrocarbyl) as promoters for the mucosal absorption. Thus, a suppository was prepared containing cefaloridine 500, n-lauryl β -D-maltopyranoside 30, and Witepsol CO-35 1470 mg.

29836-26-8, n-Octyl β-D-glucopyranoside 69227-93-6 IT 76739-16-7 85618-21-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceuticals containing, as promoter for mucosal absorption)

RN 29836-26-8 HCAPLUS

β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME) CN

Absolute stereochemistry. Rotation (-).

RN 69227-93-6 HCAPLUS

CN β -D-Glucopyranoside, dodecyl 4-O- α -D-glucopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 76739-16-7 HCAPLUS

CN β -D-Glucopyranoside, octadecyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{17}$$
 OH

RN 85618-21-9 HCAPLUS

CN β -D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 197 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:125194 HCAPLUS

DOCUMENT NUMBER: 112:125194

TITLE: Liposomal nucleoside analogs for treating AIDS

INVENTOR(S): Hostetler, Karl Y.; Richman, Douglas D.

PATENT ASSIGNEE(S):

University of California, USA

SOURCE:

PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

APPLICATION NO. DATE PATENT NO. KIND DATE _____ ----------_____ ______ WO 1988-US3210 19880919 **A1** 19890406 WO 8902733 W: AU, JP RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE 19880919 19890418 AU 1988-25261 AU 8825261 A1 19880919 EP 380558 **A**1 19900808 EP 1988-908811 R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE 19880919 19910322 JP 1988-508005 JP 03501253 \cdot T2 19870922 US 1987-99755 PRIORITY APPLN. INFO.: 19880919 WO 1988-US3210

Phosphorylated nucleoside analogs are encapsulated in liposomes for use in AB treating AIDS and related retroviral infections. The nucleoside analogs are selected from the group consisting of azidothymidine, dideoxycytidine, dideoxyadenosine, and ribavirin and phosphorylated before the encapsulation to prevent leakage, resulting in reduced toxic side effects and enhanced inhibition of replication of HIV or related viruses present in monocytes and macrophages. 3H-labeled AZT-5'-monophosphate (I) was encapsulated in phosphatidylcholine/cholesterol liposomes; retention rate of I was higher than that of 3H-AZT. Effects of liposomes containing I on HIV-infected MT-2 cells, U937 cells, and human macrophages are detailed.

2238-90-6, Psychosine 52050-17-6 IT

RL: BIOL (Biological study)

(liposomes containing nucleoside phosphates and, for AIDS treatment)

2238-90-6 HCAPLUS ŔΝ

B-D-Galactopyranoside, (2S, 3R, 4E) -2-amino-3-hydroxy-4-octadecenyl CN

(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

52050-17-6 HCAPLUS RN

β-D-Glucopyranoside, (2S,3R,4E)-2-amino-3-hydroxy-4-octadecenyl (9CI) CN(CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

Me
$$(CH_2)_{12}$$
 E R S R R R OH OH

L25 ANSWER 198 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1990:84177 HCAPLUS

DOCUMENT NUMBER: 112:84177

TITLE: Manufacture of liposomes from mannobiose derivatives

INVENTOR(S): Tomikawa, Munehiro; Hirota, Sadao; Kikuchi, Hiroshi

PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

LANGUAGE: Japan FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				
JP 01027637	A2	19890130	JP 1988-80983	19880401
PRIORITY APPLN. INFO.:			JP 1987-80997	19870403

OTHER SOURCE(S):

MARPAT 112:84177

AB A lipid membrane useful in manufacturing liposomes having a specific affinity for macrophage cells in clin. treatment, contains mannobiose mono-fatty acid esters and(or) aminodeoxy mannobiose mono-fatty acid amides. Thus, a liposome suspension was prepared containing 0.5 µmol lipids/mL; the liposome was manufactured from egg yolk phosphatidylcholine, cholesterol, dicetyl phosphate, and mannobiose monoarachidonate. A number of mannobiose fatty acid esters and amides were synthesized.

IT 120503-72-2P 120503-73-3P 120575-77-1P 120575-78-2P 120575-79-3P 120575-80-6P 120575-83-9P 120575-84-0P 122170-39-2P 125280-22-0P 125280-23-1P 125355-31-9P

RL: PREP (Preparation)

(preparation of, for pharmaceutical liposome preparation)

RN 120503-72-2 HCAPLUS

CN D-Mannose, $4-O-\beta-D$ -mannopyranosyl-, 2-eicosanoate (9CI) (CA INDEX NAME)

RN 120503-73-3 HCAPLUS

CN D-Mannose, 4-O- β -D-mannopyranosyl-, 6-tetradecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120575-77-1 HCAPLUS

CN Eicosanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-mannopyranosyl)-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

RN 120575-78-2 HCAPLUS

CN Dodecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-mannopyranosyl)-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120575-79-3 HCAPLUS

CN Tetradecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-β-D-mannopyranosyl)-D-mannopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120575-80-6 HCAPLUS

CN Tetradecanamide, N- $(4-O-\beta-D-mannopyranosyl-D-mannopyranosyl)$ - (9CI) (CA INDEX NAME)

Me
$$(CH_2)_{12}$$
 $(CH_2)_{12}$ $(CH_2)_{12}$

120575-83-9 HCAPLUS RN

Octadecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-CN mannopyranosyl) -D-mannopyranosyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

120575-84-0 HCAPLUS RN

Octadecanamide, N- $(4-O-\beta-D-mannopyranosyl-D-mannopyranosyl)$ - (9CI) CN(CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{16}$$
 $(CH_2)_{16}$ $(CH_2)_{16}$

122170-39-2 HCAPLUS RN

Dodecanamide, N-(4-O-β-D-mannopyranosyl-D-mannopyranosyl)- (9CI) CNINDEX NAME)

Me
$$(CH_2)_{10}$$
 $(CH_2)_{10}$ $(CH_2)_{10}$

RN 125280-22-0 HCAPLUS

CN Eicosanamide, N- $(4-O-\beta-D-mannopyranosyl-D-mannopyranosyl)$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 125280-23-1 HCAPLUS

CN 9-Octadecenamide, N-(4-O- α -D-mannopyranosyl-D-mannopyranosyl)-, (Z)-(9CI) (CA INDEX NAME)

RN 125355-31-9 HCAPLUS

CN 9-Octadecenamide, N-[2,4,6-tri-O-benzoyl-3-O-(2,3,4,6-tetra-O-benzoyl- α -D-mannopyranosyl)-D-mannopyranosyl]-, (Z)- (9CI) (CA INDEX NAME)

L25 ANSWER 199 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1989:474384 HCAPLUS

DOCUMENT NUMBER:

111:74384

TITLE:

Liposomes sensitized to antigenic molecules of

intracellular parasites, their preparation, and their

use in diagnosis and vaccines

INVENTOR(S):

Legros, Franz; Ruysschaert, Jean Marie

Universite Libre de Bruxelles, Belg. PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO:	DATE
WO 8805307	A1 19880728	WO 1988-BE1	19880113
W: JP, US			
RW: AT, BE, CH,	DE, FR, GB, IT,	LU, NL, SE	
. EP 277930	A1 19880810	EP 1988-870002	19880113
R: ES, GR			
EP 349541	A1 19900110	EP 1988-901530	19880113
R: AT, BE, CH,	DE, FR, GB, IT,	LI, LU, NL, SE	
JP 02502007	T2 19900705	JP 1988-501615	19880113
PRIORITY APPLN. INFO.:		LU 1987-86736	19870115
		WO 1988-BE1	19880113

Diagnostic and immunization agents (vaccines) against intracellular AB parasites comprise liposomes sensitized to antigenic mols. specific to intracellular parasites, e.g. tuberculin, old tuberculin, lepromin, or HTLV-3 (human T-cell leukemia virus type 3). The sensitized liposomes are produced by encapsulation of the antigenic material or by contacting the preformed liposome with the antigen. Liposomes were formed in a solution of tuberculin PPD (purified protein derivative) 0.75 mg/mL, NaCl 150 mM, lipid 10-20 mg/mL containing egg phosphatidylcholine and cholesterol (4:3), and a fluorescent marker (calcein) and used in a liposome immune lysis assay of human blood sera. Of the above liposomes 30.4% were lysed, compared to 11.8% for nonsensitized liposomes, with sera of tuberculosis patients; the values were 6.6 and 3%, resp., with sera of nontuberculosis patients. Guinea pigs vaccinated with the PPD liposomes (formed without calcein) developed cellular immunity to PPD and PPD liposomes but not PPD-free

liposomes.

IT 29836-26-8, Octylglucoside

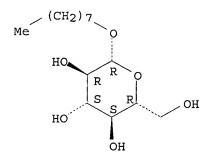
RL: ANST (Analytical study)

(in AIDS virus-sensitized liposome preparation)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L25 ANSWER 200 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1989:412534 HCAPLUS

DOCUMENT NUMBER:

111:12534

TITLE:

Octyl- β -D-(thio)glucopyranosides as percutaneous

absorption accelerators

INVENTOR(S):

Muranishi, Shozo; Kamiyama, Fumio Sekisui Chemical Co. Ltd., Japan

PATENT ASSIGNEE(S): SOURCE:

Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 63218630	A2	19880912	JP 1987-52449	19870306	
JP 06011716	B4	19940216			
PRIORITY APPLN. INFO.:			JP 1987-52449	19870306	
OTHER SOURCE(S):	MARPAT	111:12534			

AB Percutaneous absorption accelerators containing the title compds. (I; X = 0, S) are described. A mixts. containing 0.3% and 1.5% I (X = 0) and 6-carboxyfluorescein (II) were tested using rat's skin in vitro and the concns. of II were .apprx.900 μg/mL and .apprx.1500 μg/mL after 32 h, vs .apprx.900 μg/mL and <100 μg/mL for a mixture containing 2.0% azone (AZ) and 3.0% polyoxyethylene hydrogenated castor oil (HCO-60) as a percutaneous absorption accelerator and a mixture containing 0.2% AZ and 3.0

HCO

60, resp., and the concentration for control was nearly 0. An ointment was formulated containing I (X = S) 6, nifedipine 10, macrogol 4000 60, and macrogol 1500 40 weight parts.

IT 29836-26-8, Octyl-β-D-glucopyranoside 85618-21-9

RL: BIOL (Biological study)

(percutaneous absorption accelerator)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 85618-21-9 HCAPLUS

CN β-D-Glucopyranoside, octyl 1-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 201 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:237159 HCAPLUS

DOCUMENT NUMBER: 110:237159

TITLE: Transdermal dosage forms containing D-(thio)glucosides

INVENTOR(S): Muranishi, Shozo; Azuma, Masato; Iwakawa, Masaharu

PATENT ASSIGNEE(S): Sekisui Chemical Co. Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 63218631	A2	19880912	JP 1987-52450	19870306	
JP 06017316	B4	19940309			
PRIORITY APPLN. INFO.:			JP 1987-52450	19870306	
OTHER SOURCE(S):	MARPAT	110:237159			

AB Transdermal formulations containing title compds. I and/or II [X = 0,S; R1,R2 = C4-20 (un)saturated hydrocarbyl which may contain polyoxyalkylene] are discussed. A transdermal tape was formulated containing lauryl-β-D-glucopyranoside 5, indomethacin 8, and 2-ethylhexyl acrylate-Bu acrylate-vinylpyrrolidone copolymer 100 weight parts.

IT 29836-26-8, Octyl- β -D-glucopyranoside 39848-72-1

64344-04-3 64395-91-1 64395-92-2

120979-80-8

RL: BIOL (Biological study)

(percutaneous absorption accelerator)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 39848-72-1 HCAPLUS

CN β -D-Glucopyranose, 1-octadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64344-04-3 HCAPLUS

CN α -D-Glucopyranose, 1-octadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64395-91-1 HCAPLUS

CN α -D-Glucopyranose, 1-dodecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 64395-92-2 HCAPLUS

CN β -D-Glucopyranose, 1-dodecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120979-80-8 HCAPLUS

CN β -D-Glucopyranose, 1-thio-, 1-dodecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 202 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1989:141589 HCAPLUS

DOCUMENT NUMBER:

110:141589

TITLE: Intranasal compositions containing calcitonins or

parathyroid hormones

INVENTOR(S): Yamamoto, Nakayuki; Sakakibara, Hideo; Mizuno, Kimio

PATENT ASSIGNEE(S): Toyo Jozo Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	E APPLICATION NO.		
JP 63243033	A2	19881007	JP 1987-76309	19870331	
PRIORITY APPLN. INFO.:			JP 1987-76309	19870331	

AB Intranasal compns. contain ≥1 compound chosen from alkyl β-D-glucosides and alkyl N-methylglucamides as absorption accelerators and polypeptides chosen from calcitonins or parathyroid hormones. Elcatonin (100 MRC units), Na citrate 4.63, citric acid 0.37, NaCl 7.00, n-octyl β-D-glucopyranoside (I) 50 mg, and H2O to 1 mL were mixed to give a nasal composition, which was administered at 10 U/0.1 mL/kg in anesthetized rats. The concentration of Ca in blood was 10.28 and 7.98

mg/dL before and 4 h after the administration, resp., vs. 10.85 and 10.67 mg/dL, in the absence of I, resp.

IT 29836-26-8 85339-31-7

RL: BIOL (Biological study)

(absorption enhancer, for elcatonin-containing nasal compns.)

RN 29836-26-8 HCAPLUS

CN β-D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 85339-31-7 HCAPLUS

CN β -D-Glucopyranoside, dodecyl-1-14C 4-O- α -D-glucopyranosyl-(9CI) (CA INDEX NAME)

Me
$$(CH_2)_{10}$$
 OH OH OH OH OH OH OH

L25 ANSWER 203 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:479719 HCAPLUS

DOCUMENT NUMBER: 109:79719

TITLE: Functionalized pharmaceutical liposomes containing an

amphiphilic compound, especially lipopolysaccharides,

in the membrane matrix.

INVENTOR(S): Kida, Masaaki; Kitabata, Isako; Kubotsu, Kazuhisa;

Sakata, Yoshitsugu

PATENT ASSIGNEE(S): Wako Pure Chemical Industries, Ltd., Japan

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: Englis
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 247497	A2	19871202	EP 1987-107259	19870519 .
EP 247497	A3	19880914		
EP 247497	B1	19920304		
R: AT, BE, CH,	DE, ES	, FR, GB, GF	R, IT, LI, LU, NL, SE	
JP 63096560	A2	19880427	JP 1986-242746	19861013
JP 07107535	B4	19951115		
US 4861597	A	19890829	US 1987-51349	19870519
AT 72973	E	19920315	AT 1987-107259	19870519
ES 2032776	T 3	19930301	ES 1987-107259	19870519
JP 63107742	A2	19880512	JP 1987-123542	19870520
PRIORITY APPLN. INFO.:		,	JP 1986-115405	19860520
			JP 1986-242746	19861013
	•		EP 1987-107259	19870519

AB Functionalized liposomes containing a high-mol.-weight amphiphilic compound, e.g.

lipopolysaccharides (LPS), as one of the matrix materials have a very high encapsulation efficiency and readily undergo lysis. Antigens, antibodies, etc., can be immobilized on the liposomes efficiently with a sufficient binding rate by using the amphiphilic compound as a spacer. Dipalmitoylphosphatidylcholine, dipalmitoylphosphatidylglycerol, cholesterol, and LPS were mixed in CHCl3-MeOH; the dried residue was treated with alkaline phosphatase (AP) in CHCl3-Et2O and HEPES buffer, and the mixture was vortexed, the organic solvent was removed, the material was centrifuged to remove free AP and the residue was suspended in NaHCO3 buffer. The above liposome suspension was treated with NaIO4, centrifuged, and IgG was added to give IgG-attached AP-containing liposomes. The liposomes contained 127 μg attached IgG of the 300 μg used in

preparation, and retained 70% of AP activity; in contrast, liposomes containing ganglioside rather than LPS retained $69/300~\mu g$, and 45% AP activity.

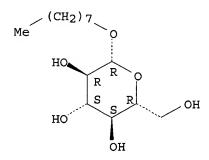
IT 29836-26-8, Octyl glucoside RL: BIOL (Biological study)

(liposomes containing lipopolysaccharides and)

RN 29836-26-8 HCAPLUS

CN β -D-Glucopyranoside, octyl (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L25 ANSWER 204 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:226830 HCAPLUS

DOCUMENT NUMBER: 108:226830

TITLE: Liposome membrane containing lactosylamines having

affinity for hepatic cells

INVENTOR(S): Hirota, Sadao; Kikuchi, Hiroshi PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
JP 62201814	A2	19870905	JP 1986-259449	19861030	
JP 07064722	B4	19950712			
PRIORITY APPLN. INFO.:			JP 1985-244744	19851031	
AD Timesemes and macro			nodocovilactoro mono fatt		

AB Liposomes are prepared containing aminodeoxylactose mono-fatty acid amides having a specific affinity for hepatic cells. In a pilot study L- α -dimyristoylphosphatidylcholine 68.6, cholesterol 68.6, dicetyl phosphate 6.8, and N-arachidyl- β -lactosylamine (I) 16 μ mol were dissolved in a mixture of CHCl3-MeOH (2:1), added to a test tube, and then the solvent was removed in a N atmospheric To this was added 6 mL of a phosphate

buffer-saline solution containing 240 μCi of 3H-inulin. The mixture was treated

with ultrasound waves to give a liposome suspension. It was then heated to 40-45°, and filtered through a polycarbonate filter with 0.2 μM pore diameter. The filtrate was centrifuged at 150,000 + g for 1 h twice, and the supernatant discarded. The precipitation was suspended in a phosphate-saline to give 62 mL of liposome suspension. This suspension contained 0.64 μCi inulin in liposome/0.5 mL.

IT 103807-21-2P 103838-64-8P

RL: PREP (Preparation)

(preparation of, for liposome membrane)

RN 103807-21-2 HCAPLUS

CN Eicosanamide, N-(4-O- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 103838-64-8 HCAPLUS

CN Eicosanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L25 ANSWER 205 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1988:150924 HCAPLUS

DOCUMENT NUMBER: 108:150924

TITLE: Preparation of higher aliphatic acid derivatives of

lactosylamine useful in drug delivery systems such as

liposomes

INVENTOR(S): Miyaji, Hidenori; Kitaguni, Hidesaburo; Hirota, Sadao;

Kikuchi, Hiroshi

PATENT ASSIGNEE(S): Meito Sangyo Co., Ltd., Japan; Daiichi Seiyaku Co.,

Ltd.

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

Patent

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 62209092 A2 19870914 JP 1986-257713 19861029 JP 06099462 **B4** 19941207 PRIORITY APPLN. INFO.: JP 1985-244846 19851031 The title lactose derivs. (I; R = H, acyl; COR1 = C12-30 aliphatic acid residue), useful in organ-targeting drug-delivery systems, e.g., liposomes targeting the liver, were prepared A solution of arachidic acid in benzene and 1(-ethoxycarbonyl)-2-ethoxy-1,2-dihydroquinoline were successively added to a solution of 2,2',3,3',4',6,6'-hepta-O-acetyl- β -lactosylamine in EtOH and the mixture was stirred for 48 h at room temperature to give β -I (R = Ac, COR1 = eicosanoyl) which was deacetylated with MeONa/MeOH to give β -I (R = H, COR1 = eicosanoyl) (II). When a suspension of 3H-inulin and liposomes consisting of II 16, L- α -dimyristoylphosphatidylcholin e 68.8, cholesterol 68.8, and dicetyl phosphate 6.8 μmol was administered to rats i.v., it showed much higher distribution to the liver (40.2%) than to serum (13.3%), demonstrating the high affinity of the liposome to hepatocytes. 103807-21-2P 103838-64-8P 113715-11-0P ΙT 113715-12-1P 113715-13-2P 113715-14-3P 113715-15-4P 113715-16-5P 113715-17-6P 113715-18-7P 113715-19-8P 113731-52-5P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for hepatocytes-targeted liposomes) 103807-21-2 HCAPLUS RNEicosanamide, N- $(4-0-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)$ -CN

Absolute stereochemistry.

(9CI)

Me
$$(CH_2)_{18}$$
 $(CH_2)_{18}$ $(CH_2)_{18}$

(CA INDEX NAME)

RN 103838-64-8 HCAPLUS

CN Eicosanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

RN 113715-11-0 HCAPLUS

CN Dodecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-12-1 HCAPLUS

CN Dodecanamide, N-(4-O- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-13-2 HCAPLUS

CN Tetradecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl-β-D-galactopyranosyl)-β-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

RN 113715-14-3 HCAPLUS

CN Tetradecanamide, N-(4-O- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{12}$$
 $(CH_2)_{12}$ $(CH_2)_{12}$

RN 113715-15-4 HCAPLUS

CN Hexadecanamide, N-(4-0- β -D-galactopyranosyl- β -D-glucopyranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{14}$$
 $(CH_2)_{14}$ $(CH_2)_{14}$

RN 113715-16-5 HCAPLUS

CN Octadecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 113715-17-6 HCAPLUS

CN Octadecanamide, N- $(4-0-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)$

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me
$$(CH_2)_{16}$$
 $(CH_2)_{16}$ $(CH_2)_{16}$

RN 113715-18-7 HCAPLUS

CN 9-Octadecenamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]-, (Z)- (9CI) (CA INDEX NAME)

Me- (CH₂)₇- CH- CH- (CH₂)₇- C- NH O CH₂- OAC
$$\rightarrow$$
 OAC \rightarrow OAC \rightarrow OAC \rightarrow OAC

RN 113715-19-8 HCAPLUS

CN 9-Octadecenamide, N- $(4-O-\beta-D-galactopyranosyl-\beta-D-glucopyranosyl)$ -, (Z)- (9CI) (CA INDEX NAME)

RN 113731-52-5 HCAPLUS

CN Hexadecanamide, N-[2,3,6-tri-O-acetyl-4-O-(2,3,4,6-tetra-O-acetyl- β -D-galactopyranosyl)- β -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

L25 ANSWER 206 OF 206 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1987:599569 HCAPLUS

DOCUMENT NUMBER:

107:199569

TITLE:

Lipid-containing plastics Valencia, Gregorio Parera Biocompatibles Ltd., UK

PATENT ASSIGNEE(S): SOURCE:

INVENTOR(S):

PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT						APPLICATION NO.	
WO	87026	84		A1		WO 1986-GB678	
		DK, JI		DE	DD OD TO	III NI CE	
						LU, NL, SE	10061104
						EP 1986-906871	19861104
EP		-			19920415		
						LI, LU, NL, SE	
JP	63501	298		T2	19880519	JP 1986-506055	19861104
					19960612		
EP	45299	5		A2	19911023	EP 1991-111023	19861104
					19911211		
	R:	AT, BI	E, CH,	DE,	FR, GB, IT,	LI, LU, NL, SE	
	74938					AT 1986-906871	19861104
					19870702	DK 1987-3398	19870702
US	56249	75		Α	19970429	US 1994-193638	19940207
PRIORITY						GB 1985-27071	
						EP 1986-906871	19861104
						US 1986-926729	
						WO 1986-GB678	
						US 1988-205497	
						US 1990-582124	
						US 1991-789892	
		(1-1			13		
AB Pla	astics	ופומו	nas) (contai	n libias as	plasticizers. Poly(viny	ı ıorındı) was

AB Plastics (blends) contain lipids as plasticizers. Poly(vinyl formal) was plasticized with soybean and egg yolk lecithin.

IT 2238-90-6, Psychosine

RL: MOA (Modifier or additive use); USES (Uses) (plasticizers, for plastics and rubbers)

RN 2238-90-6 HCAPLUS

CN β -D-Galactopyranoside, (2S,3R,4E)-2-amino-3-hydroxy-4-octadecenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

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